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(54) Title: METHODS OF TREATING VASCULAR INJURIES

(57) Abstract: The present invention relates to use of compounds and implantable devices including those compounds in treating, preventing, or reducing intimal thickening, vascular remodeling, restenosis (e.g., coronary, peripheral, carotid restenosis), vascular diseases, (e.g., organ transplant-related, cardiac, lung and renal), and hypertension (e.g., primary and secondary hypertension, systolic hypertension, pulmonary hypertension, and hypertension-induced vascular remodeling resulting in target organ damage).



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METHODS OF TREATING VASCULAR INJURIES

BACKGROUND OF THE INVENTION

[001] TGF β (Transforming Growth Factor β) is a member of a large family of dimeric polypeptide growth factors that includes, for example, activins, inhibins, bone morphogenetic proteins (BMPs), growth and differentiation factors (GDFs) and mullerian inhibiting substance (MIS). TGF β exists in three isoforms (TGF β 1, TGF β 2, and TGF β 3) and is present in most cells, along with its receptors. Each isoform is expressed in both a tissue-specific and developmentally regulated fashion. Each TGF β isoform is synthesized as a precursor protein that is cleaved intracellularly into a C-terminal region (latency associated peptide (LAP)) and an N-terminal region known as mature or active TGF β . LAP is typically non-covalently associated with mature TGF β prior to secretion from the cell. The LAP-TGF β complex cannot bind to the TGF β receptors and is not biologically active. TGF β is generally released (and activated) from the complex by a variety of mechanisms including, for example, interaction with thrombospondin-1 or plasmin.

[002] Following activation, TGF β binds at high affinity to the type II receptor (TGF β RII), a constitutively active serine/threonine kinase. The ligand-bound type II receptor phosphorylates the TGF β type I receptor (Alk 5) in a glycine/serine rich domain, which allows the type I receptor to recruit and phosphorylate downstream signaling molecules, Smad2 or Smad3. See, e.g., Huse, M. et al., *Mol. Cell.* 8: 671-682 (2001). Phosphorylated Smad2 or Smad3 can then complex with Smad4, and the entire hetero-Smad complex translocates to the nucleus and regulates transcription of various TGF β -responsive genes. See, e.g., Massagué, J. *Ann. Rev. Biochem. Med.* 67: 773 (1998).

[003] Activins are also members of the TGF β superfamily, which are distinct from TGF β in that they are homo- or heterodimers of activin β a or β b. Activins signal in a manner similar to TGF β , that is, by binding to a constitutive serine-threonine receptor kinase, activin type II receptor (ActRIIB), and activating a type I serine-threonine receptor, Alk 4, to phosphorylate Smad2 or Smad3. The consequent formation of a hetero-Smad complex with Smad4 also results in the activin-induced regulation of gene transcription.

[004] Indeed, TGF β and related factors such as activin regulate a large array of cellular processes, e.g., cell cycle arrest in epithelial and hematopoietic cells, control of mesenchymal cell proliferation and differentiation, inflammatory cell recruitment, immunosuppression, wound healing, and extracellular matrix production. See, e.g., Massagué, J. *Ann. Rev. Cell.*

Biol. 6: 594-641 (1990); Roberts, A. B. and Sporn M. B. *Peptide Growth Factors and Their Receptors*, 95: 419-472 Berlin: Springer-Verlag (1990); Roberts, A. B. and Sporn M. B. *Growth Factors* 8:1-9 (1993); and Alexandrow, M. G., Moses, H. L. *Cancer Res.* 55: 1452-1457 (1995). Hyperactivity of TGF β signaling pathway underlies many human disorders (e.g., excess deposition of extracellular matrix, an abnormally high level of inflammatory responses, fibrotic disorders, and progressive cancers). See, e.g. Blobe G.C., Schiemann W.P., Lodish H.F. *N. Eng. J. Med.* 342: 1350-8 (2000). Similarly, activin signaling and overexpression of activin is linked to pathological disorders that involve extracellular matrix accumulation and fibrosis (see, e.g., Matsuse, T. et al., *Am. J. Respir. Cell Mol. Biol.* 13: 17-24 (1995); Inoue, S. et al., *Biochem. Biophys. Res. Comm.* 205: 441-448 (1994); Matsuse, T. et al, *Am. J. Pathol.* 148: 707-713 (1996); De Bleser et al., *Hepatology* 26: 905-912 (1997); Pawlowski, J.E., et al., *J. Clin. Invest.* 100: 639-648 (1997); Sugiyama, M. et al., *Gastroenterology* 114: 550-558 (1998); Munz, B. et al., *EMBO J.* 18: 5205-5215 (1999)), inflammatory responses (see, e.g., Rosendahl, A. et al., *Am. J. Respir. Cell Mol. Biol.* 25: 60-68 (2001)), cachexia or wasting (see Matzuk, M. M. et al., *Proc. Nat. Acad. Sci. USA* 91: 8817-8821 (1994); Coerver, K.A. et al, *Mol. Endocrinol.* 10: 534-543 (1996); Cipriano, S.C. et al. *Endocrinology* 141: 2319-27 (2000)), diseases of or pathological responses in the central nervous system (see Logan, A. et al. *Eur. J. Neurosci.* 11: 2367-2374 (1999); Logan, A. et al. *Exp. Neurol.* 159: 504-510 (1999); Masliah, E. et al., *Neurochem. Int.* 39: 393-400 (2001); De Groot, C. J. A. et al, *J. Neuropathol. Exp. Neurol.* 58: 174-187 (1999), John, G. R. et al, *Nat Med.* 8: 1115-21 (2002)) and hypertension (see Dahly, A. J. et al., *Am. J. Physiol. Regul. Integr. Comp. Physiol.* 283: R757-67 (2002)). Studies have shown that TGF β and activin can act synergistically to induce extracellular matrix production (see, e.g., Sugiyama, M. et al., *Gastroenterology* 114: 550-558, (1998)). It is therefore desirable to develop modulators (e.g., antagonists) to members of the TGF β family to prevent and/or treat disorders involving this signaling pathway.

SUMMARY OF THE INVENTION

[005] In general, the compounds of formulae I, II, III, IV, V, and VI, described herein, unexpectedly exhibit systemic bioavailability and are useful in combating restenosis (e.g., coronary restenosis, peripheral restenosis, and carotid restenosis), vascular diseases (e.g., intimal thickening, vascular remodeling, or organ transplant-related vascular disease), and hypertension (e.g., primary or secondary, systolic hypertension, pulmonary hypertension or hypertension-induced vascular remodeling) when administered to a subject (e.g., a patient).

[006] Methods of inhibiting intimal thickening or vascular remodeling include administering to a subject (e.g., a human patient) in need thereof an inhibitor of TGF β type I receptor or Alk4. Embodiments of these aspects may include one or more of the following. The inhibitor is a compound of formula I, II, III, IV, V, or VI. The inhibitor is administered locally. The inhibitor is administered via an implantable device such as a delivery pump or a stent.

[007] In another aspect, the invention features an implantable device, such as a delivery pump or stent, including an inhibitor of TGF β type I receptor or Alk4. The inhibitor can be a compound of formula I, II, III, IV, V, or VI.

Definitions:

[008] As used herein, an “alkyl” group refers to a saturated aliphatic hydrocarbon group containing 1-8 (e.g., 1-6 or 1-4) carbon atoms. An alkyl group can be straight or branched. Examples of an alkyl group include, but are not limited to, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, n-heptyl, and 2-ethylhexyl. An alkyl group can be optionally substituted with one or more substituents such as alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroarylalkoxy, amino, nitro, carboxy, cyano, halo, hydroxy, sulfo, mercapto, alkylsulfanyl, alkylsulfanyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, cycloalkyl-alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, heterocycloalkyl-carbonylamino, heterocycloalkyl-alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, urea, thiourea, sulfamoyl, sulfamide, alkoxycarbonyl, or alkylcarbonyloxy.

[009] As used herein, an “alkenyl” group refers to an aliphatic carbon group that contains 2-8 (e.g., 2-6 or 2-4) carbon atoms and at least one double bond. Like an alkyl group, an alkenyl group can be straight or branched. Examples of an alkenyl group include, but are not limited to, allyl, isoprenyl, 2-butenyl, and 2-hexenyl. An alkenyl group can be optionally substituted with one or more substituents such as alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroarylalkoxy, amino, nitro, carboxy, cyano, halo, hydroxy, sulfo, mercapto, alkylsulfanyl, alkylsulfanyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, cycloalkyl-alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, heterocycloalkyl-carbonylamino, heterocycloalkyl-alkylcarbonylamino, heteroarylcarbonylamino,

heteroaralkylcarbonylamino, urea, thiourea, sulfamoyl, sulfamide, alkoxycarbonyl, or alkylcarbonyloxy.

[010] As used herein, an “alkynyl” group refers to an aliphatic carbon group that contains 2-8 (e.g., 2-6 or 2-4) carbon atoms and has at least one triple bond. An alkynyl group can be straight or branched. Examples of an alkynyl group include, but are not limited to, propargyl and butynyl. An alkynyl group can be optionally substituted with one or more substituents such as alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroarylalkoxy, amino, nitro, carboxy, cyano, halo, hydroxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, cycloalkyl-alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl-alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, urea, thiourea, sulfamoyl, sulfamide, alkoxycarbonyl, or alkylcarbonyloxy.

[011] As used herein, an “amino” group refers to $-NR^X R^Y$ wherein each of R^X and R^Y is independently hydrogen, hydroxyl, alkyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, or heteroaralkyl. When the term “amino” is not the terminal group (e.g., alkylcarbonylamino), it is represented by $-NR^X-$ wherein R^X has the same meaning as defined above.

[012] As used herein, an “aryl” group refers to phenyl, naphthyl, or a benzofused group having 2 to 3 rings. For example, a benzofused group includes phenyl fused with one or two C_{4-8} carbocyclic moieties, e.g., 1,2,3,4-tetrahydronaphthyl, indanyl, or fluorenyl. An aryl is optionally substituted with one or more substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl), alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, aryl, heteroaryl, alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroaralkyloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl.

[013] As used herein, an “aralkyl” group refers to an alkyl group (e.g., a C₁₋₄ alkyl group) that is substituted with an aryl group. Both “alkyl” and “aryl” are as defined above. An example of an aralkyl group is benzyl.

[014] As used herein, a “cycloalkyl” group refers to an aliphatic carbocyclic ring of 3-10 (e.g., 4-8) carbon atoms. Examples of cycloalkyl groups include cyclopropyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, norbornyl, cubyl, octahydro-indenyl, decahydro-naphthyl, bicyclo[3.2.1]octyl, bicyclo[2.2.2]octyl, bicyclo[3.3.1]nonyl, and bicyclo[3.2.3]nonyl. A “cycloalkenyl” group, as used herein, refers to a non-aromatic carbocyclic ring of 3-10 (e.g., 4-8) carbon atoms having one or more double bond. Examples of cycloalkenyl groups include cyclopentenyl, 1,4-cyclohexa-di-enyl, cycloheptenyl, cyclooctenyl, hexahydro-indenyl, octahydro-naphthyl, bicyclo[2.2.2]octenyl, and bicyclo[3.3.1]nonenyl. A cycloalkyl or cycloalkenyl group can be optionally substituted with one or more substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl), alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, aryl, heteroaryl, alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroaralkyloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl.

[015] As used herein, a “heterocycloalkyl” group refers to a 3- to 10-membered (e.g., 4- to 8-membered) saturated ring structure, in which one or more of the ring atoms is a heteroatom, e.g., N, O, or S. Examples of a heterocycloalkyl group include piperidinyl, piperazinyl, tetrahydropyranyl, tetrahydrofuryl, dioxolanyl, oxazolidinyl, isooxazolidinyl, morpholinyl, octahydro-benzofuryl, octahydro-chromenyl, octahydro-thiochromenyl, octahydro-indolyl, octahydro-pyrindinyl, decahydro-quinolinyl, octahydro-benzo[*b*]thiophenyl, 2-oxa-bicyclo[2.2.2]octyl, 1-aza-bicyclo[2.2.2]octyl, 3-aza-bicyclo[3.2.1]octyl, and 2,6-dioxatricyclo[3.3.1.0^{3,7}]nonyl. A “heterocycloalkenyl” group, as used herein, refers to a 3- to 10-membered (e.g., 4- to 8-membered) non-aromatic ring structure having one or more double bonds, and wherein one or more of the ring atoms is a heteroatom, e.g., N, O, or S. A heterocycloalkyl or heterocycloalkenyl group can be optionally substituted with one or more

substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl), alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, aryl, heteroaryl, alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroaralkyloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl.

[016] A “heteroaryl” group, as used herein, refers to a monocyclic, bicyclic, or tricyclic ring structure having 5 to 15 ring atoms wherein one or more of the ring atoms is a heteroatom, e.g., N, O, or S, and wherein one or more rings of the bicyclic or tricyclic ring structure is aromatic. Some examples of heteroaryl are pyridyl, furyl, pyrrolyl, thienyl, thiazolyl, oxazolyl, imidazolyl, indolyl, tetrazolyl, benzofuryl, benzothiazolyl, xanthene, thioxanthene, phenothiazine, dihydroindole, and benzo[1,3]dioxole. A heteroaryl is optionally substituted with one or more substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl), alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, aryl, heteroaryl, alkoxy, cycloalkyloxy, heterocycloalkyloxy, aryloxy, heteroaryloxy, aralkyloxy, heteroaralkyloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl. A “heteroaralkyl” group, as used herein, refers to an alkyl group (e.g., a C₁₋₄ alkyl group) that is substituted with a heteroaryl group. Both “alkyl” and “heteroaryl” are as defined above.

[017] As used herein, “cyclic moiety” includes cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, or heteroaryl, each of which has been defined previously.

[018] As used herein, an “acyl” group refers to a formyl group or alkyl-C(=O)- where “alkyl” has been defined previously. Acetyl and pivaloyl are examples of acyl groups.

[019] As used herein, a “carbamoyl” group refers to a group having the structure $-O-CO-NR^X R^Y$ or $-NR^X-CO-O-R^Z$ wherein R^X and R^Y have been defined above and R^Z is alkyl, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, or heteroaralkyl.

[020] As used herein, a “carboxy” group and a “sulfo” group refer to $-COOH$ and $-SO_3H$, respectively.

[021] As used herein, an “alkoxy” group refers to an alkyl-O- group wherein “alkyl” has been defined previously.

[022] As used herein, a “sulfoxy” group refers to $-O-SO-R^X$ or $-SO-O-R^X$, wherein R^X has been defined above.

[023] As used herein, a “halogen” or “halo” group refers to fluorine, chlorine, bromine or iodine.

[024] As used herein, a “sulfamoyl” group refers to the structure $-SO_2-NR^X R^Y$ or $-NR^X-SO_2-R^Z$ wherein R^X , R^Y , and R^Z have been defined above.

[025] As used herein, a “sulfamide” group refers to the structure $-NR^X-S(O)_2-NR^Y R^Z$ wherein R^X , R^Y , and R^Z have been defined above.

[026] As used herein, a “urea” group refers to the structure $-NR^X-CO-NR^Y R^Z$ and a “thiourea” group refers to the structure $-NR^X-CS-NR^Y R^Z$. R^X , R^Y , and R^Z have been defined above.

[027] As used herein, an effective amount is defined as the amount which is required to confer a therapeutic effect on the treated patient, and is typically determined based on age, surface area, weight, and condition of the patient. The interrelationship of dosages for animals and humans (based on milligrams per meter squared of body surface) is described by Freireich et al., *Cancer Chemother. Rep.*, 50: 219 (1966). Body surface area may be approximately determined from height and weight of the patient. See, e.g., Scientific Tables, Geigy Pharmaceuticals, Ardsley, New York, 537 (1970). As used herein, a “patient” refers to a mammal, including a human.

[028] An antagonist is a molecule that binds to the receptor without activating the receptor. It competes with the endogenous ligand(s) or substrate(s) for binding site(s) on the receptor

and, thus inhibits the ability of the receptor to transduce an intracellular signal in response to endogenous ligand binding.

[029] Unless otherwise defined, all technical and scientific terms used herein have the same meaning as commonly understood by one of ordinary skill in the art to which this invention belongs. All publications, patent applications, patents, and other references mentioned herein are incorporated by reference in their entirety. In addition, the materials, methods, and examples are illustrative only and not intended to be limiting.

[030] Other features and advantages of the invention will be apparent from the following detailed description, and from the claims.

BRIEF DESCRIPTION OF THE DRAWINGS

[031] Figure 1 is an illustration of a delivery device.

DETAILED DESCRIPTION OF THE INVENTION

[032] The inhibitors described herein are effective at treating, preventing, or reducing intimal thickening, vascular remodeling, restenosis (e.g., coronary, peripheral, carotid restenosis), vascular diseases, (e.g., organ transplant-related, cardiac, and renal), and hypertension (e.g., primary and secondary, systolic, pulmonary, and hypertension-induced vascular remodeling resulting in target organ damage).

[033] Without wishing to be bound by any particular theory, one possible explanation for the efficacy of the compounds described herein may be their inhibitory effect on the TGF β and activin pathways.

[034] The pathological activation of the TGF β and activin pathway plays a critical role in the progression of fibrotic diseases. The critical serine-threonine kinase in the TGF β type I receptor (TGF β RI) and the activin type I receptor (Alk4) are attractive targets for blockade of the TGF β pathway for several important reasons. TGF β RI kinase activity is required for TGF β signaling as is Alk4 for activin signaling. Kinases have proven to be useful targets for development of small molecule drugs. There is a good structural understanding of the TGF β RI kinase domain allowing the use of structure-based drug discovery and design to aid in the development of inhibitors.

[035] TGF β or activin-mediated pathological changes in vascular flow and tone are often the cause of morbidity and mortality in a number of diseases (see, e.g., Gibbons G.H. and Dzau V.J. *N Eng. J. Med* 330:1431-1438 (1994)). Typically, the initial response of the vasculature to injury is an infiltration of adventitial inflammatory cells and induction of activated myofibroblasts or smooth muscle cells (referred to as myofibroblasts from hereon). TGF β is initially produced by infiltrating inflammatory cells and activates myofibroblasts or smooth muscle cells. These activated myofibroblasts can also secrete TGF β as well as respond to it. Within the first few days following injury, myofibroblasts secreting TGF β migrate from the various layers of the vascular wall towards the lumen where they undergo proliferation and extracellular matrix secretion resulting in intimal thickening. Additionally, TGF β induces activated myofibroblasts to contract which results in luminal narrowing. These vascular remodeling processes, intimal thickening and vascular contraction, restrict blood flow to the tissues supported by the effected vasculature and result in tissue damage. Activin is also produced in response to injury and shows very similar actions in inducing activated myofibroblasts or activated smooth muscle cells intimal thickening and vascular remodeling. See, for example, Pawlowski et al., Stimulation of activin A expression in rat aortic smooth muscle cells by thrombin and angiotensin II correlates with neointimal formation *in vivo*, published in 1997 in *J. Clin. Invest.* 100:639-648; Woodruff TK, Regulation of cellular and system function by activin, published in 1998 in *Biochem Pharmacol.* 55:953-963; Molloy et al., Novel cardiovascular actions of the activins, published in 1999 in *J Endocrinol.* 161(2):179-85.; and Harada K et al., Immunoreactive activin A levels in normal subjects and patients with various diseases, published in 1996 in *J Clin Endocrinol Metab.* 81(6):2125-30.

[036] In coronary, peripheral or carotid artery disease, balloon angioplasty or stent placement is used to increase lumen size and blood flow. However, the physical damage created by stretching the vessel wall causes injury to the vessel wall tissue. TGF β elevation following injury induces myofibroblasts in 2-5 days and frequently results in restenosis within 6 months of balloon angioplasty or within a few years of stent placement in human patients. Following balloon angioplasty, both intimal thickening and vascular remodeling due to myofibroblast contraction, cause narrowing of the lumen and decreased blood flow. Stent placement physically prevents remodeling, but hyperplasia and extracellular matrix deposition by activated myofibroblasts proliferating at the luminal side of the stent results in

intimal thickening within the stented vessel resulting in the eventual impairment of blood flow.

[037] The treatment of arterial stenotic diseases by surgical grafts, e.g. coronary bypass or other bypass surgery, also can elicit restenosis in the grafted vessel. In particular, vein grafts undergo intimal thickening and vascular remodeling through a similar mechanism involving TGF β -induced intimal thickening and vascular remodeling. In this case, the injury is either due to the overdilatation of the thin-walled vein graft placed into an arterial vascular context or due to anastomotic or ischemic injury during the transplantation of the graft.

[038] The loss of patency in arteriovenous or synthetic bridge graft fistulas is another vascular remodeling response involving increased TGF β production. See, e.g., Ikegaya N. et al., *J. Am. Soc. Nephrol.*, 11:928-35 (2000); Heine G.H. et al., *Kidney Int.*, 64:1101-7 (2003). Loss of fistula patency causes complications for renal dialysis or other treatments requiring chronic access to the circulatory system (Ascher E., *Ann. Vasc. Surg.*, 15:89-97 (2001)). Blockade of TGF β by TGF β RI inhibitors will be beneficial for preventing restenosis and extending arteriovenous fistula patency.

[039] Elevated TGF β is implicated in chronic allograft vasculopathy both in animals and humans. Vascular injury, intimal thickening and vascular remodeling is a characteristic pathology in chronic allograft failure. The fibrotic response in chronic allograft failure initiates in the vasculature of the donor organ. Chronic allograft vasculopathy in allografted hearts often manifests within 5 years of transplantation and is the main cause of death in long term survivors of cardiac transplant. Early detection of cardiac allograft vasculopathy measured as intimal thickening by intravascular ultrasound, as well as the elevation of plasma TGF β , has been suggested as a prognostic marker for late cardiac allograft failure (see, e.g., Mehra MR et al., 2004, *Am. J. Transplant.*, 4:1184). Cardiac biopsies of grafted hearts also suggest that graft tissue expression of TGF β correlates significantly to vasculopathy and the number of rejection episodes (see, e.g., Aziz, T. et al., 2000, *J. Thorac. Cardiovasc. Surg.*, 119: 700). Finally, patients with high-producing TGF β 1 genotypes are more susceptible to earlier onset cardiac-transplant coronary vasculopathy (see, e.g., Densem, CG et al., 2000, *J. Heart Lung Transplant*, 19:551; Aziz, T. et al., 2000, *J. Thorac. Cardiovasc. Surg.*, 119: 700; and Holweg, CT, 2001, *Transplantation*, 71:1463).

[040] Elevation of TGF β can be induced by ischemic, immune and inflammatory responses to the allograft organ. Animal models of acute and chronic renal allograft rejection identify

the elevation of TGF β as a significant contributor to graft failure and rejection (see, e.g., Nagano, H. et al., 1997, *Transplantation*, 63: 1101; Paul, L.C. et al., 1996, *Am. J. Kidney Dis.*, 28: 441; and Shihab, F.S. et al., 1996, *Kidney Int.*, 50: 1904). Rodent models of chronic allograft nephropathy (CAN) show elevation of TGF β mRNA and immunostaining. In renal allografts TGF β immunostaining is strongly positive in interstitial inflammatory and fibrotic cells, but also in blood vessels and glomeruli. In humans, the loss of renal function 1 year post renal allograft correlates with TGF β staining in the grafted kidney. See, e.g., Cuhaci, B. et al., 1999, *Transplantation*, 68: 785). Graft biopsies show also that renal dysfunction correlates with chronic vascular remodeling, i.e., vasculopathy, and the degree of TGF β expression correlates significantly with chronic vasculopathy (see, e.g., Viklicky, O. et al., 2003, *Physiol Res.* 52: 353).

[041] The use of immunosuppressive agents such as cyclosporine A in organ transplantation has not prevented vasculopathy and chronic allograft nephropathy suggesting non-immune mechanisms are involved in allograft failure. In fact, cyclosporin A and other immunosuppressants have been shown to induce TGF β expression and may contribute to vasculopathy (see, e.g., Moien-Afshari, F. et al., 2003, *Pharmacol. Ther.*, 100: 141; and Jain, S. et al., 2000, *Transplantation*, 69: 1759).

[042] TGF β is implicated in chronic allograft rejection in both renal and lung transplants due to the clear TGF β -related fibrotic pathology of this condition as well as the ability of immune suppressants, esp cyclosporin A, to induce TGF β (Jain, S. et al., 2000 *Transplantation*, 69: 1759). TGF β blockade improved renal function while decreasing collagen deposition, renal TGF β expression as well as vascular afferent arteriole remodeling in a cyclosporine A-induced renal failure model using an anti-TGF β monoclonal antibody (Islam, M. et al., 2001 *Kidney Int.*, 59: 498; Khanna, A.K. et al., 1997 *Transplantation*, 67: 882). These data are strongly indicative of a causal role for TGF β in the development and progression of chronic allograft vasculopathy and chronic allograft failure.

[043] Hypertension is a major cause of morbidity and mortality in the U.S. population affecting approximately 1 in 3 individuals. The effect of hypertension on target organs include increased incidence of cardiac failure, myocardial infarction, stroke, renal failure, aneurysm and microvascular hemorrhage. Hypertension-induced damage to the vasculature results in vascular remodeling and intimal thickening which are a major causative factor in many of these morbidities (Weber, W.T. 2000 *Curr. Opin. Cardiol.* 15:264-72). Animal

experiments suggest that TGF β is elevated upon induction of hypertension and anti-TGF β monoclonal antibody blockade of this pathway decreases blood pressure and renal pathology in hypertensive rats (Xu, C. et al., 2001 *J. Vasc. Surg.*, 33:570; Dahly, A.J. et al., 2002 *Am. J. Physiol. Regul. Integr. Comp. Physiol.*, 283:R757). In humans, plasma TGF β is elevated in hypertensive individuals compared to normotensive controls and plasma TGF β is also higher in hypertensive individuals with manifest target organ disease compared to hypertensive individuals without apparent target organ damage (Derhaschnig, U. et al., 2002 *Am. J. Hypertens.*, 15:207; Suthanthiran, M. 2000 *Proc. Natl. Acad. Sci. U.S.A.*, 97:3479). There is also evidence suggesting that high TGF β -producing genotypes of TGF β are a risk factor for development of hypertension (Lijnen, P.J. 2003 *Am. J. Hypertens.*, 16:604; Suthanthiran, M. 2000 *Proc. Natl. Acad. Sci. U.S.A.*, 97:3479). Thus the inhibition of the TGF β pathway may provide a effective therapeutic approach for hypertension or hypertension-induced organ damage.

[044] The vascular injury response in the pulmonary vasculature results in pulmonary hypertension which can lead to overload of the right heart and cardiac failure (Runo, J.R., Loyd, J.E., 2003 *Lancet* 361(9368):1533-44; Sitbon, O. et al., 2002 *Prog. Cardiovasc. Dis.*, 45: 115-28; Jeffery, T.K., Morrell, N.W. 2002 *Cardiovasc. Dis.*, 45:173-202). Prevention of pulmonary vascular remodeling by TGF β RI inhibitors can be of practical utility in diseases such as primary or secondary pulmonary hypertension (Sitbon, O. et al., 2002, *Prog. Cardiovasc. Dis.*, 45: 115-28; Humbert, M. et al., *J. Am. Coll. Cardiol.*, 2004 43:13S-24S). Inhibition of the progression of vascular remodeling over time will prevent the progression of pulmonary pathology in these life threatening diseases. Secondary pulmonary hypertension occurs often as a manifestation of scleroderma and is one of the primary causes of morbidity and mortality in scleroderma patients (Denton, C.P., Black, C.M. 2003, *Rheum. Dis. Clin. North. Am.*, 29:335-49). Pulmonary hypertension is also a sequelae of mixed connective tissue disease, chronic obstructive pulmonary disease (COPD) and lupus erythematosus (Fagan, K.A., Badesch, D.B., 2002, *Prog. Cardiovasc. Dis.*, 45:225-34; and Presberg, K.W., Dincer, H.E., 2003, *Curr. Opin. Pulm. Med.*, 9:131-8).

[045] Many of the diseases described above involving vascular remodeling are particularly severe in diabetic patients (Reginelli, J.P., Bhatt, D.L., 2002, *J. Invasive Cardiol.*, 14 Suppl E:2E-10E). Elevated glucose in diabetes can itself induce TGF β which leads to the increased vascular remodeling and intimal thickening response to vascular injury (Ziyadeh, F.J., *Am. Soc. Nephrol.*, 2004, 15 Suppl 1:S55-7). In particular, diabetic patients have significantly

higher rates of restenosis, vein graft stenosis, peripheral artery disease, chronic allograft nephropathy and chronic allograft vasculopathy (Reginelli, J.P., Bhatt, D.L., 2002, *J. Invasive Cardiol.*, 14 Suppl E:2E-10E; Eisen, H., Ross, H., 2004, *J. Heart Lung Transplant.*, 23:S207-13; Valentine, H., 2004, *J. Heart Lung Transplant.*, 23:S187-93). Thus, blockade of TGF β is of particular utility in diabetic patients at risk for hypertension-related organ failure, diabetic nephropathy, restenosis or vein graft stenosis in coronary or peripheral arteries, and chronic failure of allograft organ transplants (Endemann, D.H. et al., 2004, *Hypertension*, 43(2):399-404; Ziyadeh, F.J., *Am. Soc. Nephrol.*, 2004 15 Suppl 1:S55-7; and Jerums, G. et al., 2003, *Arch. Biochem. Biophys.*, 419:55-62).

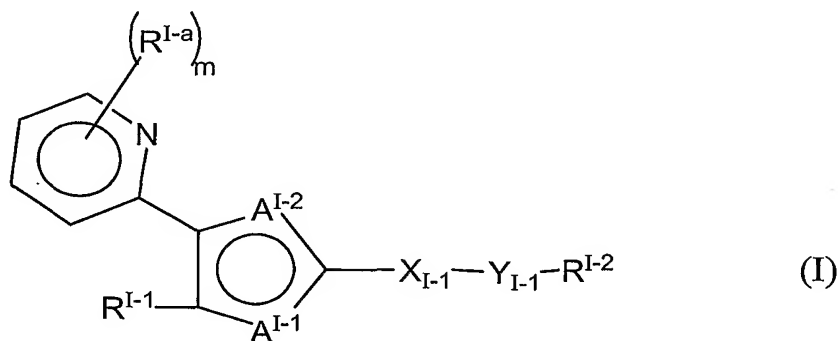
[046] Surprisingly, TGF β RI and Alk4 antagonists are effective at treating, preventing, or reducing intimal thickening, vascular remodeling, restenosis (e.g., coronary, peripheral, and carotid restenosis), vascular diseases, (e.g., organ transplant-related, cardiac, and renal), and hypertension (e.g., systolic, pulmonary, and hypertension-induced vascular remodeling resulting in target organ damage). Changes in vascular remodeling and intimal thickening may be qualified by measuring the intimal versus medial vascular thickness.

TGF β RI and Alk 4 Inhibitors

[047] The TGF β and activin inhibitory activity of compounds can be assessed by methods described below.

[048] Examples of these antagonists are shown below in formulae I, II, III, IV, V, and VI.

[049] In one embodiment, the antagonists have the structure shown in formula I:



[050] In formula I, R^{I-1} can be aryl, heteroaryl, aralkyl, or heteroaralkyl. Each R^{I-a}, independently, can be alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl,

alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl. X_{1-1} can be cycloalkyl or heterocycloalkyl. Y_{1-1} can be a bond, $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^{1-b})-$, $-N(R^{1-b})-C(O)-$, $-O-C(O)-N(R^{1-b})-$, $-N(R^{1-b})-C(O)-O-$, $-O-S(O)_p-N(R^{1-b})-$, $-N(R^{1-b})-S(O)_p-O-$, $-N(R^{1-b})-C(O)-N(R^{1-c})-$, $-N(R^{1-b})-S(O)_p-N(R^{1-c})-$, $-C(O)-N(R^{1-b})-S(O)_p-$, $-S(O)_p-N(R^{1-b})-C(O)-$, $-C(O)-N(R^{1-b})-S(O)_p-N(R^{1-c})-$, $-C(O)-O-S(O)_p-N(R^{1-b})-$, $-N(R^{1-b})-S(O)_p-N(R^{1-c})-C(O)-$, $-N(R^{1-b})-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^{1-b})-$, $-N(R^{1-b})-S(O)_p-$, $-N(R^{1-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{1-b})(R^{1-c}))_q-$, wherein each of R^{1-b} and R^{1-c} is independently hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl. p can be 1 or 2, and q can be 1-4. R^{1-2} can be hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl. Each of A^{1-1} and A^{1-2} , independently, can be O, S, N, or NR^{1-b} , provided that at least one of A^{1-1} and A^{1-2} can be N. m can be 0, 1, 2, or 3. In other words, the 2-pyridyl ring can be unsubstituted or substituted with 1 to 3 R^{1-a} groups. Note that when $m \geq 2$, two adjacent R^{1-a} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. That is, the 2-pyridyl ring can fuse with a cyclic moiety to form a moiety, e.g., 7H-[2]pyrindinyl, 6,7-dihydro-5H-[1]pyrindinyl, 5,6,7,8-tetrahydroquinoliny, 5,7-dihydro-furo[3,4-b]pyridinyl, or 3,4-dihydro-1H-thiopyrano[4,3-c]pyridinyl, that can be optionally substituted with one or more substituents such as alkyl (including substituted alkyl such as carboxyalkyl, hydroxyalkyl, and haloalkyl (e.g., trifluoromethyl)), alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylthio, sulfoxy, sulfamoyl, oxo, or carbamoyl.

[051] Compounds of formula I and methods for producing the same are known in the art. For instance, WO 03/087304, which is incorporated in its entirety by reference, describes synthetic methods for producing inhibitors of formula I. Examples of compounds of formula I include, but are not limited to,

- 1) 4-(4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;

- 2) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 3) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 4) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 5) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 6) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 7) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 8) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 9) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 10) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 11) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 12) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 13) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 14) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzyl ester;
- 15) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2,4-dichloro-benzylamide;
- 16) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-yl]-ethanone;
- 17) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-furan-2-yl-methyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 18) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 19) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]cyclohexylamine;

- 20) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-phenyl-methanesulfonamide;
- 21) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 22) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 23) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 24) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-ethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 25) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-pyridine;
- 26) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-nitro-benzyl ester;
- 27) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4,5-dimethoxy-2-nitro-benzyl ester;
- 28) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 3-fluoro-benzylamide;
- 29) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-fluoro-benzylamide;
- 30) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzylamide;
- 31) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 32) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methyl-benzylamide;
- 33) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methoxy-benzylamide;
- 34) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzylamide;
- 35) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzoic acid;
- 36) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid amide;
- 37) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzonitrile;

- 38) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 39) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 40) {5-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-dimethyl-amine;
- 41) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 42) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 43) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 44) 1-{4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-phenyl}-ethanone;
- 45) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 46) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-fluoro-5-trifluoromethyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 47) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-cyclohexylmethyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 48) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-cyclopropanecarboxylic acid ethyl ester;
- 49) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-pyrrolidine-1-carboxylic acid tert-butyl ester;
- 50) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 51) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 52) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 53) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 54) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 55) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 56) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonylmethyl]-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 57) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 58) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 59) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-fluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 60) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 61) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 62) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-p-tolylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 63) 3-(4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 64) 3-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 65) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 66) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 67) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 68) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-pyridine;
- 69) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 70) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 71) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 72) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 73) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 74) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 75) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-bis-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 76) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 77) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 78) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 79) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 80) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 81) 4-[5-Benzo[1,3]dioxol-5-yl-1-methyl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 82) 4-[4-Benzo[1,3]dioxol-5-yl-1-methyl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 83) {4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 84) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-phenoxy-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 85) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 86) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 87) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 88) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 89) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 90) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 91) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 92) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 93) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 94) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 95) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 96) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 97) 4-[5-Benzo[1,3]dioxol-5-yl-1-hydroxy-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 98) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 99) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-pyridin-2-yl-methanesulfonamide;
- 100) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 101) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 102) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 103) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 104) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-bromo-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 105) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 106) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-2-trifluoromethyl-furan-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 107) 4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl-fluoride;
- 108) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-trifluoromethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 109) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-bromo-pyridin-2-yl)-1-hydroxy-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 110) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-bromo-pyridine;
- 111) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 112) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 113) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-2H-imidazol-2-yl]-piperidine-1-sulfonic acid dimethylamide;
- 114) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-3-phenyl-propan-1-one;
- 115) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 116) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonitrile;
- 117) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylamine;
- 118) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-phenyl-methanesulfonamide;
- 119) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 120) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-pyridin-2-yl-methanesulfonamide;
- 121) 2-{5-Benzo[1,3]dioxol-5-yl-2-[4-(1H-tetrazol-5-yl)-bicyclo[2.2.2]oct-1-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 122) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;

- 123) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 124) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 125) Thiophene-3-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 126) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 127) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 128) Methanesulfonic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl ester;
- 129) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetonitrile;
- 130) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetic acid;
- 131) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-methanesulfonamide;
- 132) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 133) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 134) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 135) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-phenyl-methanesulfonamide;
- 136) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 137) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzylamide;
- 138) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-2-ylmethyl)-amide;
- 139) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid 3-chloro-4-fluoro-benzylamide;

- 140) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (furan-2-ylmethyl)-amide;
- 141) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 142) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 143) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazol-4-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 144) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 145) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 146) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 147) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-2-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 148) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 149) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 150) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methylamide;
- 151) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid ethylamide;
- 152) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid butylamide;
- 153) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid isopropylamide;
- 154) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (3-imidazol-1-yl-propyl)-amide;
- 155) 2-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-phenylamine;
- 156) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1-methyl-5-methylsulfonyl-1H-[1,2,4]triazol-3-yl)-amide;

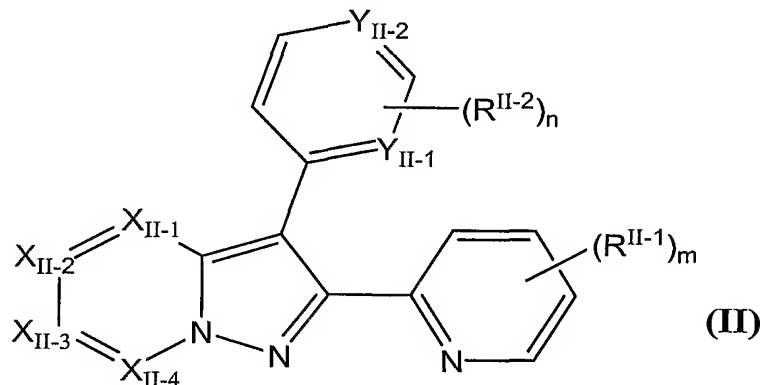
- 157) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid cyclohexylamide;
- 158) {4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-pyrrolidin-1-yl-methanone;
- 159) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dimethylamide;
- 160) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid diethylamide;
- 161) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dipropylamide;
- 162) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (5,7-difluoro-benzothiazol-2-yl)-amide;
- 163) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzothiazol-2-ylamide;
- 164) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1H-benzoimidazol-2-yl)-amide;
- 165) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (2-hydroxy-1-methyl-2-phenyl-ethyl)-amide;
- 166) 4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-4-ylmethyl)-amide;
- 167) {4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(3-chloro-phenyl)-methanone;
- 168) {4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-fluoro-phenyl)-methanone;
- 169) {4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-methoxy-phenyl)-methanone;
- 170) 4-[5-Benzo[1,3]dioxo1-5-yl-4-(6-cyclopropyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 171) 4-[5-Benzo[1,3]dioxo1-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 172) 4-[5-Benzo[1,3]dioxo1-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 173) {4-[4-Benzo[1,3]dioxo1-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-carbamic acid benzyl ester;

- 174) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydrazide;
- 175) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-acetamide;
- 176) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-methanesulfonamide;
- 177) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-phenyl-methanesulfonamide;
- 178) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 179) Propane-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 180) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 181) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-4-yl-methanesulfonamide;
- 182) (4-Methoxy-benzyl)-{4-[5-(6-methyl-pyridin-2-yl)-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-amine;
- 183) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 184) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 185) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 186) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 187) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 188) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 189) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 190) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;

- 191) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 192) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 193) N-{4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-sulfamide;
- 194) Sulfamic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl ester;
- 195) {4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 196) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-methanesulfonamide;
- 197) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-benzenesulfonamide;
- 198) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 199) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 200) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 201) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 202) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 203) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 204) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 205) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-methanesulfonamide;
- 206) 2,2,2-Trifluoro-N-{4-[4-(6-methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 207) 4-[4-(5-Fluoro-6-methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

- 208) {4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-(4-methoxy-benzyl)-amine;
- 209) 4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-ylamine;
- 210) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-ethyl-pyridine;
- 211) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 212) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 213) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide; and
- 214) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide.

[052] In another embodiment, the antagonists have the structure shown below in formula II:



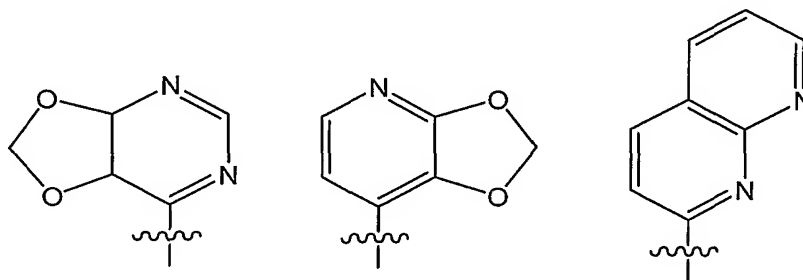
[053] In formula II, each of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} can be independently CR^{II-x} or N, provided that only two of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} can be N simultaneously. Each of Y_{II-1} and Y_{II-2} can be independently CR^{II-y} or N, provided that at least one of Y_{II-1} and Y_{II-2} must be N. In other words, the ring having Y_{II-1} and Y_{II-2} ring atoms can be a pyrimidinyl or pyridyl. Each R^{II-1} can be independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy,

heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl. Each R^{II-2} can be independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl. m can be 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{II-1} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. n can be 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{II-2} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. Each of R^{II-x} and R^{II-y} can be independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl,

heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl) alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

[054] As defined above in formula II, when $m \geq 2$, two adjacent R^{II-1} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. That is, the 2-pyridyl ring can fuse with a 4- to 8-membered cyclic moiety to form a moiety such as 7H-[1]pyrindinyl, 6,7-dihydro-5H-[1]pyrindinyl, 5,6,7,8-tetrahydro-quinolinyl, 5,7-dihydro-furo[3,4-b]pyridinyl, or 3,4-dihydro-1H-thiopyrano[4,3-c]pyridinyl. The fused ring moiety can be optionally substituted with one or more substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl; see the definition of "alkyl" below), alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, aralkyloxy, heteroarylalkoxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, cycloalkyl-alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, heterocycloalkyl-carbonylamino, heterocycloalkyl-alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl.

[055] Similarly, when $n \geq 2$, two adjacent R^{II-2} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety, thereby forming a ring fused with the pyridyl or pyrimidinyl group. Some examples of such a moiety are shown below:



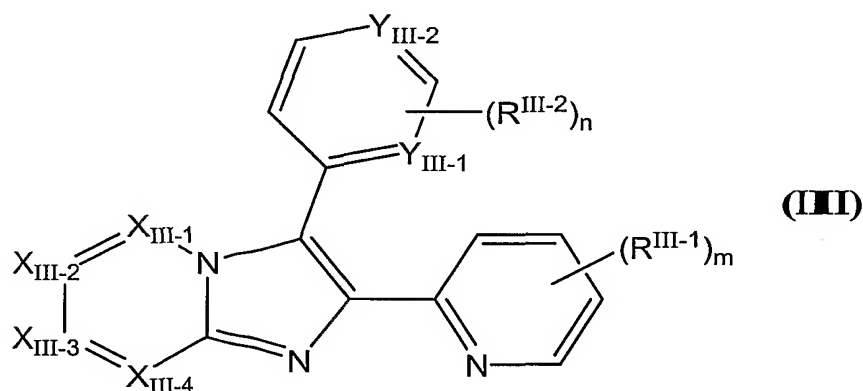
[056] The 4- to 8-membered cyclic moiety formed by two adjacent R^{II-2} groups can be optionally substituted with substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl; see the definition of "alkyl" below), alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, aralkyloxy, heteroarylalkoxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl,

alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, cycloalkyl-alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, heterocycloalkylcarbonylamino, heterocycloalkyl-alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylsulfanyl, sulfoxy, urea, thiourea, sulfamoyl, sulfamide, oxo, or carbamoyl.

[057] Compounds of formula II and methods for producing the same are known in the art. For instance, WO 04/022054, which is incorporated in its entirety by reference, describes synthetic methods for producing inhibitors of formula II. Examples of compounds of formula II include, but are not limited to,

- 215) 4-[2-(6-Methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 216) 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 217) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 218) 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine;
- 219) 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 220) 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine;
- 221) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine;
- 222) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 223) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine; or a pharmaceutically acceptable salt or N-oxide thereof.

[058] In another embodiment, the antagonists have the structure shown in formula III:



[059] In formula III, each of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} can be independently CR^{III-x} or N, provided that only two of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} can be N simultaneously. Each of Y_{III-1} and Y_{III-2} can be independently CR^{III-y} or N, provided that at least one of Y_{III-1} and Y_{III-2}

must be N. Each of R^{III-1} can be independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl. Each of R^{III-2} can be independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, (cycloalkyl)alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroaryl-sulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)aryl-sulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl. m can be 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{III-1} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. n can be 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{III-2} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. Each of R^{III-x} and R^{III-y} can be independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino,

(heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl; or a pharmaceutically acceptable salt or N-oxide thereof.

[060] Compounds of formula III and methods for producing the same are known in the art. For instance, WO 04/21989, which is incorporated in its entirety by reference, describes synthetic methods for producing inhibitors of formula III. Examples of compounds of formula III include, but are not limited to,

- 224) (2-Methoxy-ethyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 225) (3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-propyl)-carbamic acid tert-butyl ester;
- 226) (3-Imidazol-1-yl-propyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 227) (4-Methoxy-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 228) [2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 229) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 230) (4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-carbamic acid tert-butyl ester;
- 231) (4-Amino-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 232) (5-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-pentyl)-carbamic acid tert-butyl ester;
- 233) [3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;

- 234) [3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-7-yl]-methanol;
- 235) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-morpholin-4-yl-ethyl)-amine;
- 236) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-2-yl-ethyl)-amine;
- 237) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-3-yl-ethyl)-amine;
- 238) [3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 239) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-4-yl-ethyl)-amine;
- 240) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(3-morpholin-4-yl-propyl)-amine;
- 241) [3-(4-Methyl-piperazin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 244) [3-(4-Methyl-piperidin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 245) [4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-yl]-pyridin-3-ylmethylamine;
- 246) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((R)-1-phenyl-ethyl)-amine;
- 247) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((S)-1-phenyl-ethyl)-amine;
- 248) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(1H-tetrazol-5-yl)-amine;
- 249) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2H-pyrazol-3-yl)-amine;
- 250) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-morpholin-4-yl-ethyl)-amine;
- 251) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-2-yl-ethyl)-amine;
- 252) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-3-yl-ethyl)-amine;

- 253) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-4-yl-ethyl)-amine;
- 254) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-morpholin-4-yl-propyl)-amine;
- 255) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-piperidin-1-yl-propyl)-amine;
- 256) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-[1,3,4]thiadiazol-2-yl-amine;
- 257) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 258) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 259) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 260) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 261) {7,7-Dimethyl-8-[5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-pentyl]-2-oxo-4-trifluoromethyl-7,8-dihydro-2H-1-oxa-8-aza-anthracen-5-yl}-methanesulfonic acid;
- 262) 2-(2,7-Difluoro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-3,5,6-trifluoro-4-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-methylsulfanyl]-benzoic acid;
- 263) -(6-Methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 264) 2-(6-Methyl-pyridin-2-yl)-3-(2-piperidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 265) 2-(6-Methyl-pyridin-2-yl)-3-(2-pyrrolidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 266) 2-(6-Methyl-pyridin-2-yl)-3-[2-(1H-tetrazol-5-yl)-pyrimidin-4-yl]-imidazo[1,2-a]pyridine;
- 267) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyridine;
- 268) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyrimidin-7-ylamine;
- 269) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-ylamine;
- 270) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 271) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid;

- 272) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 273) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 274) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 275) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-methoxy-ethyl)-amide;
- 276) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 277) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide;
- 278) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid amide;
- 279) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid cyclopropylamide;
- 280) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ethylamide;
- 281) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid hydroxyamide;
- 282) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methoxy-amide;
- 283) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 284) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid;
- 285) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 286) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-amino-ethyl)-amide;
- 287) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 288) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-hydroxy-ethyl)-amide;

- 289) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-oxo-2-pyridin-3-yl-ethyl)-amide;
- 290) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 291) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (piperidin-3-yl-methyl)-amide;
- 292) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid 2,2-dimethylhydrazide;
- 293) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid amide;
- 294) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid cyclopropylamide;
- 295) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 296) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethylamide;
- 297) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid hydroxyamide;
- 298) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid methoxy-amide;
- 299) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 300) 3-(2-Azetidin-1-yl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 301) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 302) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 303) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-7-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 304) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-8-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 305) 3,3-Dimethyl-N-[2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-butyramide;
- 306) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;

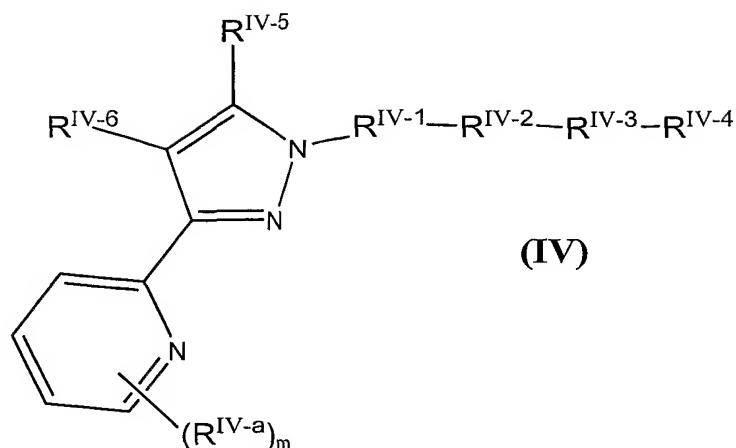
- 307) 3-(2-Methylsulfanyl-pyrimidin-4-yl)-2-pyridin-2-yl-imidazo[1,2-a]pyridine;
- 308) 3,6-Dichloro-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-2-(2,4,5,7-Tetrachloro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-terephthalamic acid;
- 309) 3-[2-(2-Methyl-aziridin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 310) 3-[2-(4-Methyl-piperazin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 311) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-amino}-propionic acid methyl ester;
- 312) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-amino}-propionic acid methyl ester;
- 313) 3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-phenol;
- 314) 4-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-benzenesulfonamide;
- 315) 4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 316) 4-[2-(6-Chloro-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 317) 4-[2-(6-Methyl-pyridin-2-yl)-7-trifluoromethyl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 318) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 319) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carbonitrile;
- 320) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carboxylic acid amide;
- 321) 4-[6-Bromo-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 322) 4-[6-Chloro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 323) 4-[6-Fluoro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 324) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-morpholin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 325) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-2-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;

- 326) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-3-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 327) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 328) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 329) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 330) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 331) 4-[7-Aminomethyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 332) 4-[7-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 333) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 334) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 335) 4-[8-Bromo-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 336) 4-[8-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 337) 6-Chloro-3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 338) 5-Dimethylamino-naphthalene-1-sulfonic acid (4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-amide;
- 339) 6-(2,7-Difluoro-6-hydroxy-3-oxo-3H-xanthen-9-yl)-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-isophthalamide;
- 340) 6-Amino-9-[2-carboxy-5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-phenyl]-xanthen-3-ylidene-ammonium;
- 341) 6-Bromo-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 342) 6-Fluoro-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 343) 7-Amino-4-methyl-3-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methyl]-2-oxo-2H-chromene-6-sulfonic acid;

- 344) Cyclobutyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 345) Cyclopentyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 346) Cyclopropyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 347) Cyclopropyl-methyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 348) Dimethyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl} -amine;
- 349) Isopropyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl} -amine;
- 350) Methyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350a) N-(2- {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-acetamide;
- 351) N-(4- {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-acetamide;
- 352) N,N-Dimethyl-N'- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-ethane-1,2-diamine;
- 353) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 354) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 355) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 356) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-methanesulfonamide;
- 357) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-methanesulfonamide;
- 358) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 359) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;

- 360) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 361) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 362) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 363) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 364) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butylamide;
- 365) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 366) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 367) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 368) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 369) N-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide;
- 370) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-butane-1,4-diamine;
- 371) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-propane-1,3-diamine;
- 372) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(BODIPY FL) amide; and
- 373) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(Texas Red-X) amide
- 374) N-[3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide; and
- 375) N-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide.

[061] In yet another embodiment, the antagonists have the structure shown in formula IV:



or an N-oxide or a pharmaceutically acceptable salt thereof.

[062] Each R^{IV-a} can be independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl.

[063] R^{IV-1} can be a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, wherein each of $r1$ and $r2$ is independently 2 or 3.

[064] R^{IV-2} can be cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, or a bond.

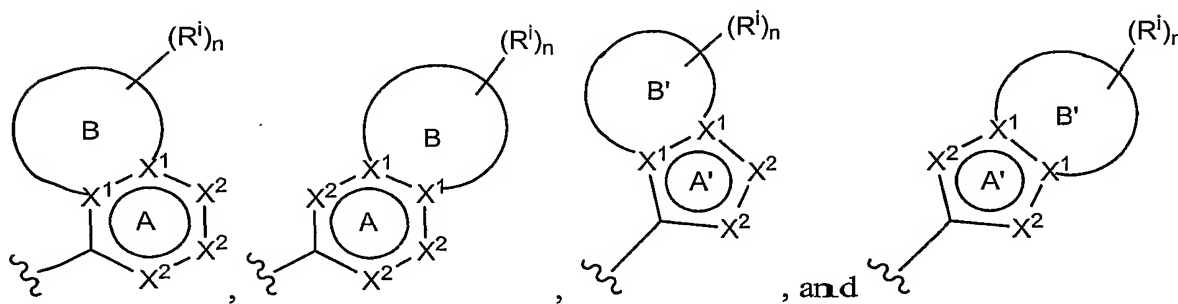
[065] R^{IV-3} can be $-C(O)-$, $-C(O)O-$, $-OC(O)-$, $-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-$, $-O-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-O-$, $-O-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-O-$, $-N(R^{IV-b})-C(O)-N(R^{IV-c})-$, $-N(R^{IV-b})-S(O)_p-N(R^{IV-b})-$, $-C(O)-N(R^{IV-b})-S(O)_p-$, $-S(O)_p-N(R^{IV-b})-C(O)-$, $-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-$, $-N(R^{IV-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{IV-b})(R^{IV-c}))_q-$, or a bond; wherein each of R^{IV-b} and R^{IV-c} is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; p is 1 or 2; and q is 1-4.

[066] R^{IV-4} can be hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl.

[067] R^{IV-5} can be hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryl, aryloxy, arylsulfinyl, heteroaryl, heteroaryloxy, or heteroarylsulfinyl.

[068] R^{IV-6} can be (1) a 5- to 6-membered heterocyclyl containing 1-3 hetero ring atoms selected from the group consisting of $-O-$, $-S-$, $-N=$, and $-NR^{IV-d}$, wherein R^{IV-d} can be hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; said heterocyclyl being substituted with R^{IV-e} and optionally substituted with one to two R^{IV-f} ; where R^{IV-e} is oxo, thioxo, alkoxy, alkylsulfinyl, $-NH_2$, $-NH$ (unsubstituted alkyl), or $-N$ (unsubstituted alkyl) $_2$, and R^{IV-f} can be alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; or

[069] (2) a fused ring heteroaryl selected from the group consisting of:



Ring A can be an aromatic ring containing 0-4 hetero ring atoms, and ring B can be a 5- to 7-membered aromatic or nonaromatic ring containing 0-4 hetero ring atoms, provided that at least one of ring A and ring B contains one or more hetero ring atoms. Ring A' can be an aromatic ring containing 0-4 hetero ring atoms, and ring B' can be a 5- to 7-membered saturated or unsaturated ring containing 0-4 hetero ring atoms, provided that at least one of ring A' and ring B' contains one or more hetero ring atoms. Each hetero ring atom can be —

O—, —S—, —N=, or —NR^{IV-g}—. Each X¹ can be independently N or C. Each X² can be independently —O—, —S—, —N=, —NR^{IV-g}—, or —CHR^{IV-h}— in which R^{IV-g} can be hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl. Each of R^{IV-h} and R^{IV-i} can be independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonyl-amino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkyl-sulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl. n can be 0-2. m can be 0-3, and when m \geq 2, two adjacent R^{IV-a} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety;

[070] In compounds of formula IV, if R^{IV-6} is 2-naphthyridinyl, 4-quinolinyl, imidazo[1,2-a]pyridyl, or benzimidazolyl, then -R^{IV-1}-R^{IV-2}-R^{IV-3}-R^{IV-4} is not H, unsubstituted alkyl, -CH₂-C(O)-N(H)-alkyl, -CH₂-C(O)-N(alkyl)₂, or benzyl.

[071] Compounds of formula IV and methods for producing the same are known in the art. For instance, WO 04/072033, which is incorporated in its entirety by reference, describes synthetic methods for producing inhibitors of formula IV. Examples of compounds of formula IV include, but are not limited to,

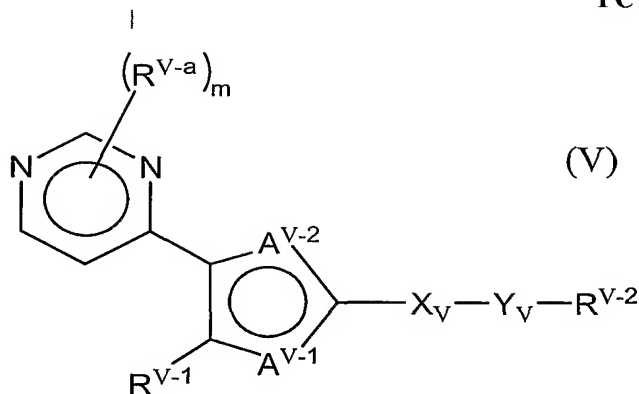
- 376) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propylamine,
- 377) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-acetamide,
- 378) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-methanesulfonamide,
- 379) dimethyl-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-amine,
- 380) 4-{3-pyridin-2-yl-1-[2-(1H-tetrazol-5-yl)-ethyl]-1H-pyrazol-4-yl}-quinoline,
- 381) 4-[3-pyridin-2-yl-1-(3-pyrrolidin-1-yl-propyl)-1H-pyrazol-4-yl]-quinoline,
- 382) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyridin-2-ylamine,
- 383) 2,4-dimethoxy-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 384) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionic acid,
- 385) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indole,
- 386) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-pyridine,
- 387) N-hydroxy-3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionamide,
- 388) 2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethylamine,

- 389) N-[2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethyl]-methanesulfonamide,
390) 2-methyl-4-methylsulfanyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
391) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridine,
392) 2-[4-(2,3-dihydro-benzofuran-5-yl)-1H-pyrazol-3-yl]-pyridine,
393) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[d]isoxazole,
394) 3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propionitrile,
395) N-{3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propyl}-methanesulfonamide,
396) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-6-methyl-pyridine,
397) [4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-acetonitrile,
398) N-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethyl}-methanesulfonamide,
399) 4-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-2-methylsulfanyl-pyrimidine,
400) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-phthalazin-1-one,
401) 1-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2,3-dihydro-indol-1-yl]-ethanone,
402) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
403) 3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
404) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-4H-benzo[1,4]oxazin-3-one,
405) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoxaline,
406) 3-(4-nitro-benzyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
407) 5-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
408) 4-methyl-7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3,4-dihydro-1H-benzo[e][1,4]diazepine-2,5-dione,
409) 2,3-dimethyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
410) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
410a) 1-methoxy-4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-isoquinoline,
411) 2-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
411a) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-isoquinolin-1-one,
412) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-trifluoromethyl-pyridine,
412a) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-vinyl-pyridine,
413) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propenyl-pyridine,
414) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-ethyl-pyridine,
415) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propyl-pyridine,
416) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-cyclopropyl-pyridine,
417) 1-[6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridin-2-yl]-ethanol,

- 418) 4-methoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
- 419) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline,
- 420) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-ylamine,
- 421) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 422) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrido[1,2-a]pyrimidin-4-one,
- 423) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 424) 3-methyl-6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3H-quinazolin-4-one,
- 425) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid,
- 426) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
- 427) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
- 428) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-isopropyl-pyridine,
- 429) 2-(4-benzo[1,3]dioxol-5-yl-5-trifluoromethyl-1H-pyrazol-3-yl)-6-bromo-pyridine,
- 430) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 431) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 432) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 432a) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-methyl-3H-quinazolin-4-one,
- 433) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-b]pyridazine,
- 433a) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoline,
- 434) 6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-3-fluoro-2-methyl-pyridine,
- 435) 7-methoxy-3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 436) (4-morpholin-4-yl-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amine,
- 437) 4-isopropoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
- 438) 6-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-quinolin-4-ylamine,
- 439) {4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-carbamic acid benzyl ester,
- 440) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexylamine,
- 441) N-{4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-methanesulfonamide,
- 442) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 443) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 444) 1-tert-butyl-3-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-urea,
- 445) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]thiadiazole,

- 446) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]oxadiazole,
447) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-benzooxazole,
448) 4-morpholin-4-yl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
449) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
450) 4-(4-methoxy-phenyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
451) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-benzo[1,2,5]thiadiazole,
452) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzothiazole,
453) 3-(3-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
454) 5-methyl-thiophene-2-carboxylic acid [6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amide,
455) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-phenyl-benzo[c]isoxazole,
456) 3-(4-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
457) 3-(4-chloro-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
458) 3-(4-ethyl-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
459) (4-methoxy-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-methanone,
460) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3-thiophen-3-yl-benzo[c]isoxazole,
461) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid,
462) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid methylamide,
463) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid dimethylamide,
464) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid (2,2-dimethyl-propyl)-amide,
465) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid phenylamide,
466) morpholin-4-yl-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazol-3-yl]-methanone,
467) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid benzylamide, and
468) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid cyclopentylamide.

[072] In another embodiment, the antagonists have the structure shown in formula V.



wherein R^{V-1} can be heteroaryl.

[073] Each R^{V-a} , independently, can be alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aryl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl.

[074] X_V can be cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or a bond.

[075] Y_V can be a bond, $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^{V-b})-$, $-N(R^{V-b})-C(O)-$, $-O-C(O)-N(R^{V-b})-$, $-N(R^{V-b})-C(O)-O-$, $-C(O)-N(R^{V-b})-O-$, $-O-N(R^{V-b})-C(O)-$, $-O-S(O)_p-N(R^{V-b})-$, $-N(R^{V-b})-S(O)_p-O-$, $-S(O)_p-N(R^{V-b})-O-$, $-O-N(R^{V-b})-S(O)_p-$, $-N(R^{V-b})-C(O)-N(R^{V-c})-$, $-N(R^{V-b})-S(O)_p-N(R^{V-c})-$, $-C(O)-N(R^{V-b})-S(O)_p-$, $-S(O)_p-N(R^{V-b})-C(O)-$, $-C(O)-N(R^{V-b})-S(O)_p-N(R^{V-c})-$, $-C(O)-O-S(O)_p-N(R^{V-b})-$, $-N(R^{V-b})-S(O)_p-N(R^{V-c})-C(O)-$, $-N(R^{V-b})-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^{V-b})-$, $-N(R^{V-b})-S(O)_p-$, $-N(R^{V-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{V-b})(R^{V-c}))_q-$. Each of R^{V-b} and R^{V-c} , independently, can be hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl. p can be 1 or 2, and q can be 1-4.

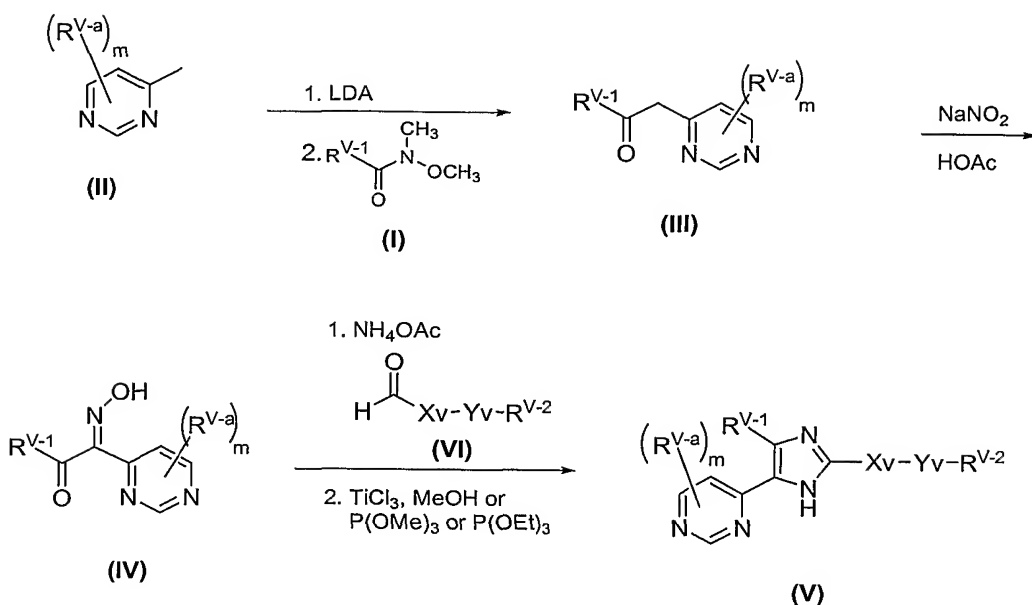
[076] R^{V-2} can be hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl,

(heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl.

[077] Each of A^{v-1} and A^{v-2} , independently, can be N or NR^{v-b} . It is to be understood that when A^{v-1} is NR^{v-b} , A^{v-2} is N, and vice versa. The variable, m, can be 0, 1, 2, or 3. In other words, the pyrimidinyl ring can be unsubstituted or substituted with 1-3 R^{v-a} groups. Note that when $m \geq 2$, two adjacent R^{v-a} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety. That is, the pyrimidinyl ring can fuse with a cyclic moiety to form a moiety, that can be optionally substituted with one or more substituents such as alkyl (including carboxyalkyl, hydroxyalkyl, and haloalkyl such as trifluoromethyl), alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, aroyl, heteroaroyl, amino, nitro, carboxy, alkoxycarbonyl, alkylcarbonyloxy, aminocarbonyl, alkylcarbonylamino, cyano, halo, hydroxy, acyl, mercapto, alkylthio, sulfoxy, sulfamoyl, oxo, or carbamoyl. Note also that if X_v is a bond, then Y_v is a bond; R^{v-2} is hydrogen or alkyl; m is 1, 2, or 3; and at least one R^{v-a} is substituted at the 2-pyrimidinyl position (i.e., the position of the pyrimidinyl ring that is between the two nitrogen ring atoms).

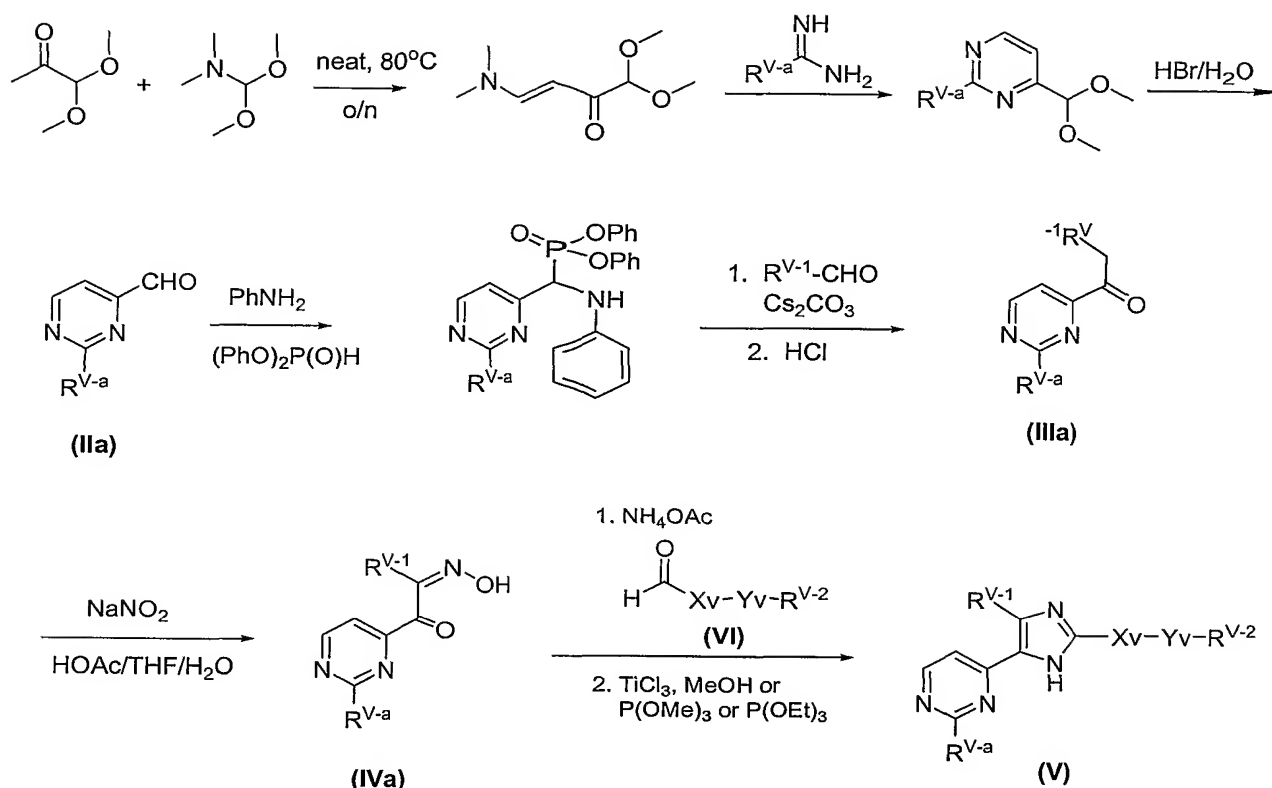
[078] Compounds of formula V may be prepared by a number of known methods from commercially available or known starting materials. In one method, compounds of formula V are prepared according to Scheme described below. Specifically, in Scheme V-1a, optionally substituted 2-methylpyrimidine (II) is deprotonated by LDA before reacting with R^{v-1} -substituted carboxylic acid methoxy-methyl-amide (I) to form an R^{v-1} -(6-methylpyrimidinyl)-ketone (III), wherein R^{v-1} has been defined above. The methoxy-methyl-amide can be prepared by reacting a corresponding acid chloride (i.e., R^{v-1} -CO-Cl) with *N,O*-dimethylhydroxylamine hydrochloride. The R^{v-1} -(6-methylpyrimidinyl)-ketone (III) can then be treated with sodium nitrite in acetic acid to afford an α -keto-oxime (IV), which can undergo a further reaction with an appropriate substituted (and optionally protected) aldehyde (VI) in the presence of ammonium acetate to yield a compound of formula V.

Scheme V-1a



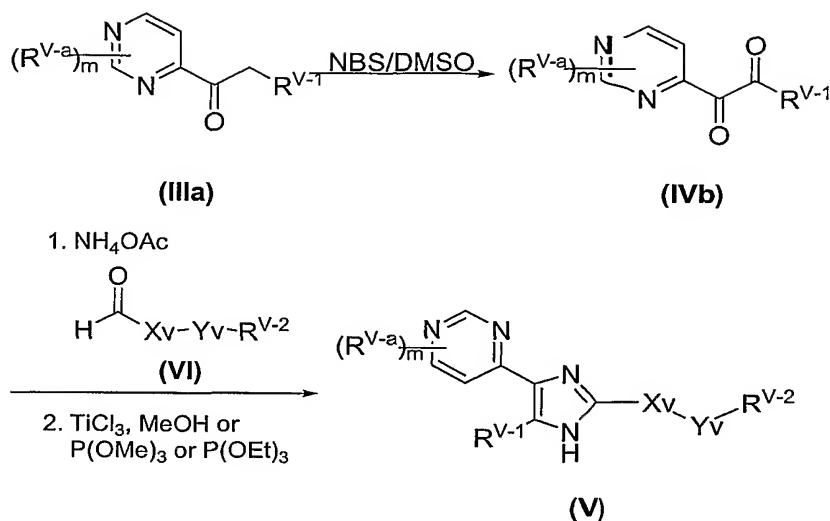
[079] In another method, the above-described compounds of formula V can be prepared according to Scheme V-1b below. Specifically, 1,1-dimethoxy-propan-2-one can first react with dimethoxymethyl-dimethyl-amine at an elevated temperature to produce the intermediate 4-dimethylamino-1,1-dimethoxy-but-3-en-2-one, which can then react an R^{V-a} -substituted amidine to form an R^{V-a} -substituted pyrimidine-2-carbaldehyde (IIa). This carbaldehyde (IIa) can then react with aniline and diphenyl phosphite to form a resulting N,P -acetal, which can further couple with an R^{V-1} -substituted aldehyde to produced an $(R^{V-1}$ -methyl)-pyrimidinyl-ketone (IIIa). See, e.g., Journet et al., *Tetrahedron Lett.* 39:1717-1720 (1998). Treatment of the $(R^{V-1}$ -methyl)-pyrimidinyl-ketone (IIIa) with sodium nitrite in acetic acid produces an α -keto-oxime (IVa), which can undergo a reaction with an appropriate substituted (and optionally protected) aldehyde (VI) to yield a compound of formula V as described in Scheme V-1a above.

Scheme V-1b



[080] In another method, the above-described compounds of formula V can be prepared according to Scheme V-1c below. Specifically, an ($\text{R}^{\text{V-1}}$ -methyl)-pyrimidinyl-ketone (IIIa) (described above) can be oxidized to form a pyrimidinyl-diketone (IVb), which can undergo reaction with an appropriate substituted (and optionally protected) aldehyde (VI) to yield a compound of formula V (V) as described above.

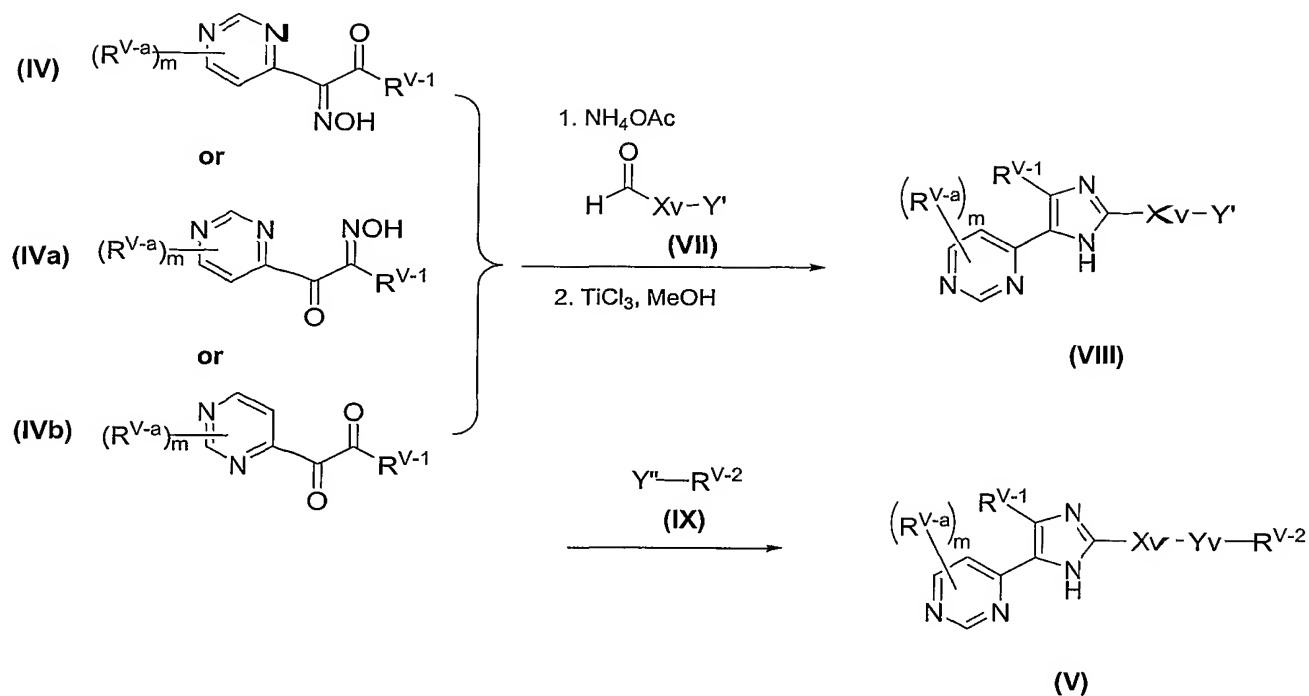
Scheme V-1c



[081] If compound (VI) is in its protected form, appropriate deprotecting agents can be applied to the resulting compound after the coupling reaction of compound (IV) or (IVa) and compound (VI) to yield a compound of formula V (V). See, e.g., T. W. Greene, *Protective Groups in Organic Synthesis*, John Wiley & Sons, Inc., New York (1981), for suitable protecting groups.

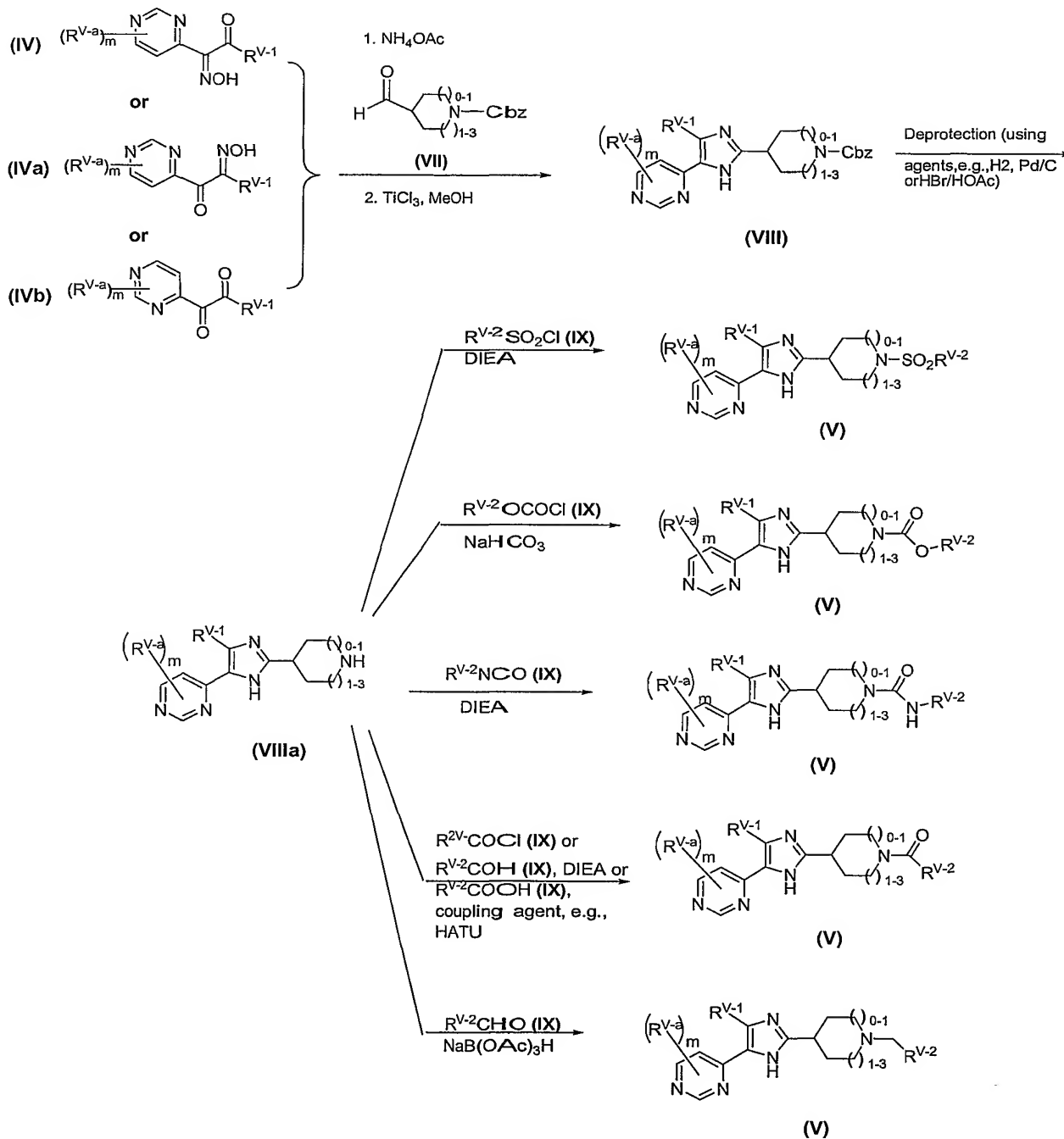
[082] Alternatively, a compound of formula V can be prepared by reacting intermediate (IV) or (IVa) with an aldehyde (VII) to yield a further intermediate (VIII), which can then react with compound (IX) to yield a compound of formula V. Note that moieties Y' and Y'' are precursors of moiety Y_v . See Scheme V-2 below. In addition, desired substitutions at R^{V-a} can be obtained by selecting, for example, the appropriate compound (IIa) intermediate.

Scheme V-2



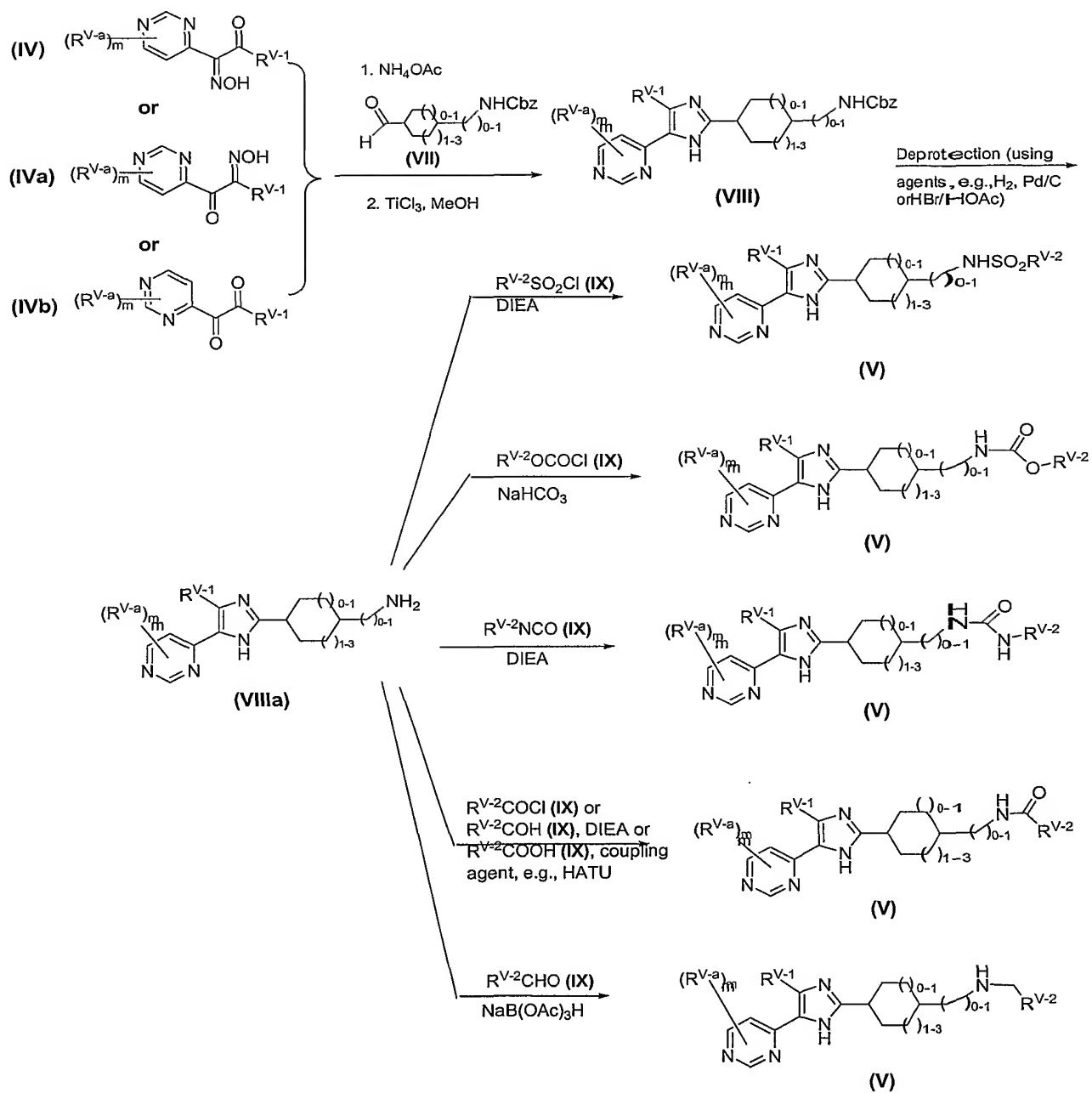
In some embodiments, moiety X_v in compound (VII) is a nitrogen-containing heterocycloalkyl (e.g., piperidine). The nitrogen ring atom can be protected by a nitrogen protecting group (e.g., Cbz, Boc, or FMOC) before coupling to compound (IV) or (IVa) and deprotected afterwards (see first step of Scheme 3) to yield compound (VIIIa). This compound can further react with various compounds (IX) to produce a compound of formula V. See second steps of Scheme V-3 below. It should be noted that compound (VIII) or compound (VIIIa) can be a compound of formula V as well.

Scheme V-3

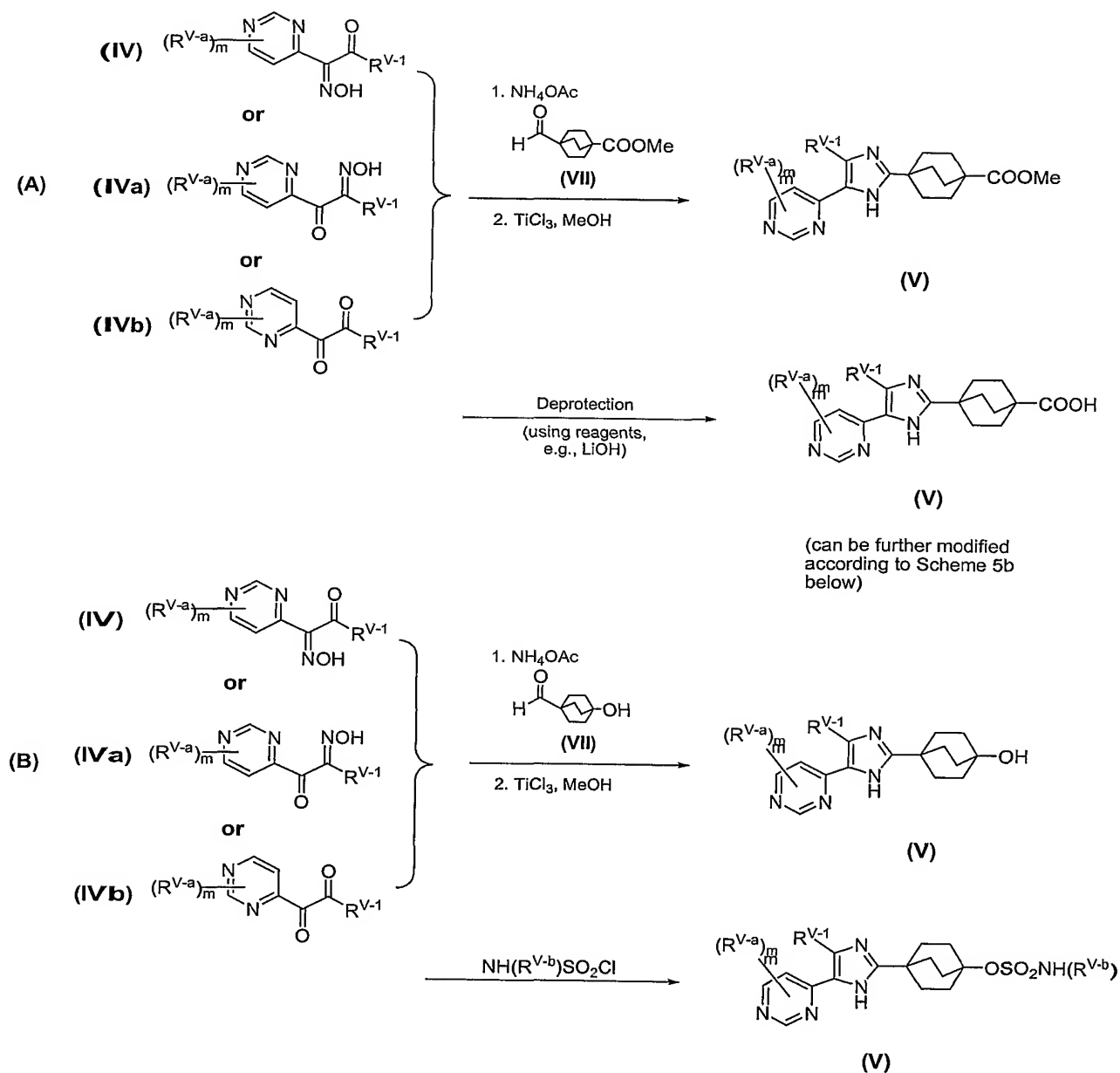


[083] Similarly, when moiety X in compound (VII) is a cycloalkyl (e.g., cyclopentyl, cyclohexyl, or bicyclo[2.2.2]octane), it can be further functionalized to form a compound of formula V as depicted in Schemes V-4, V-5a, V-5b, and V-5c below.

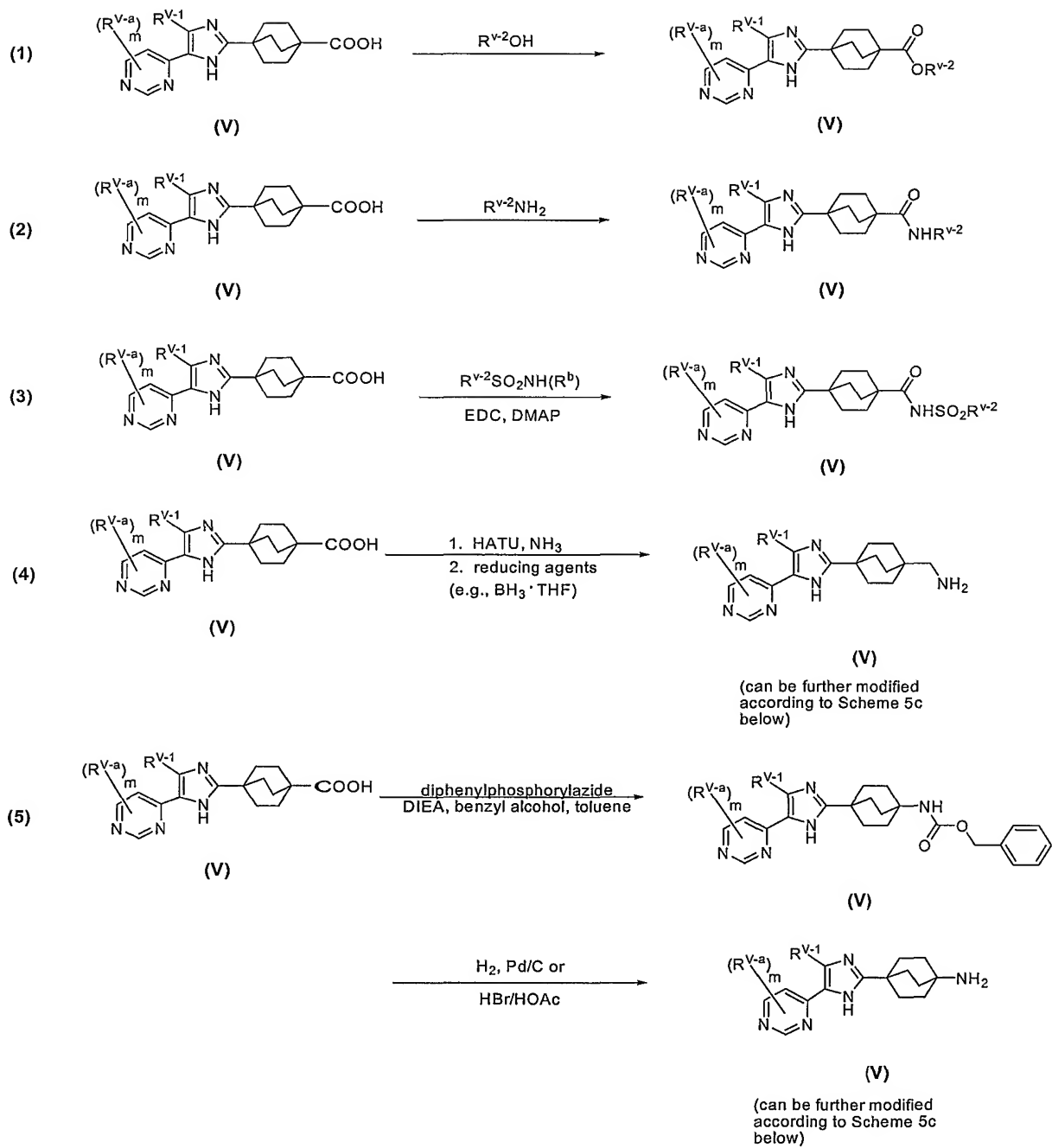
Scheme V-4



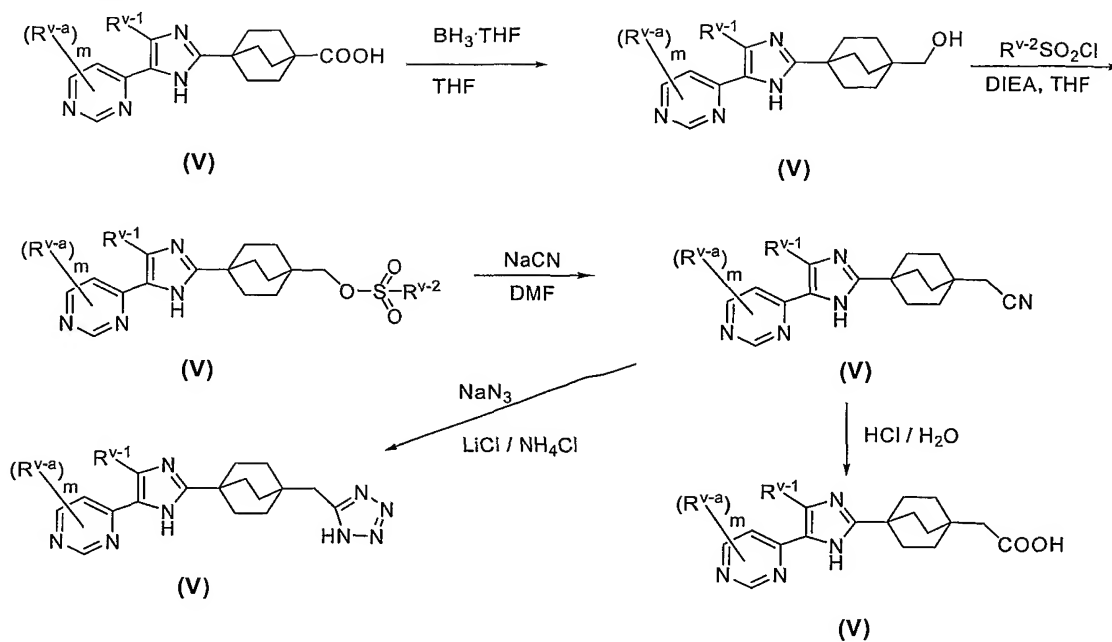
Scheme V-5a



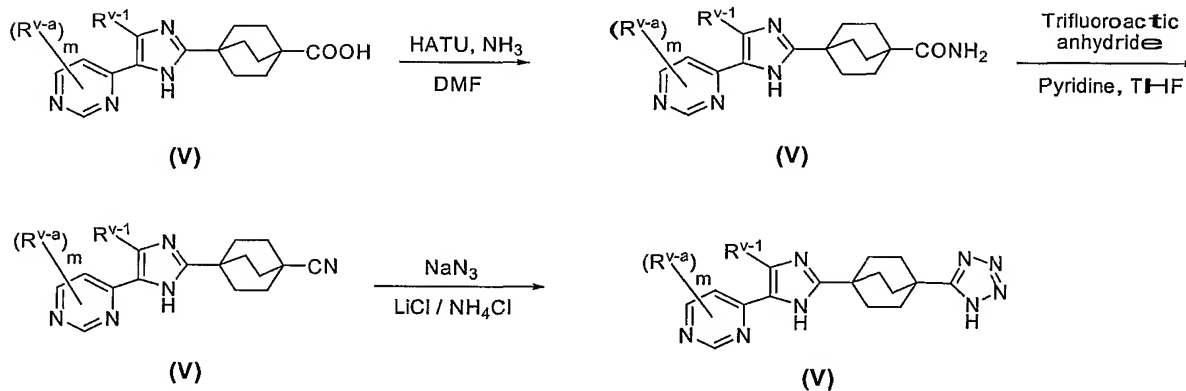
Scheme V-5b



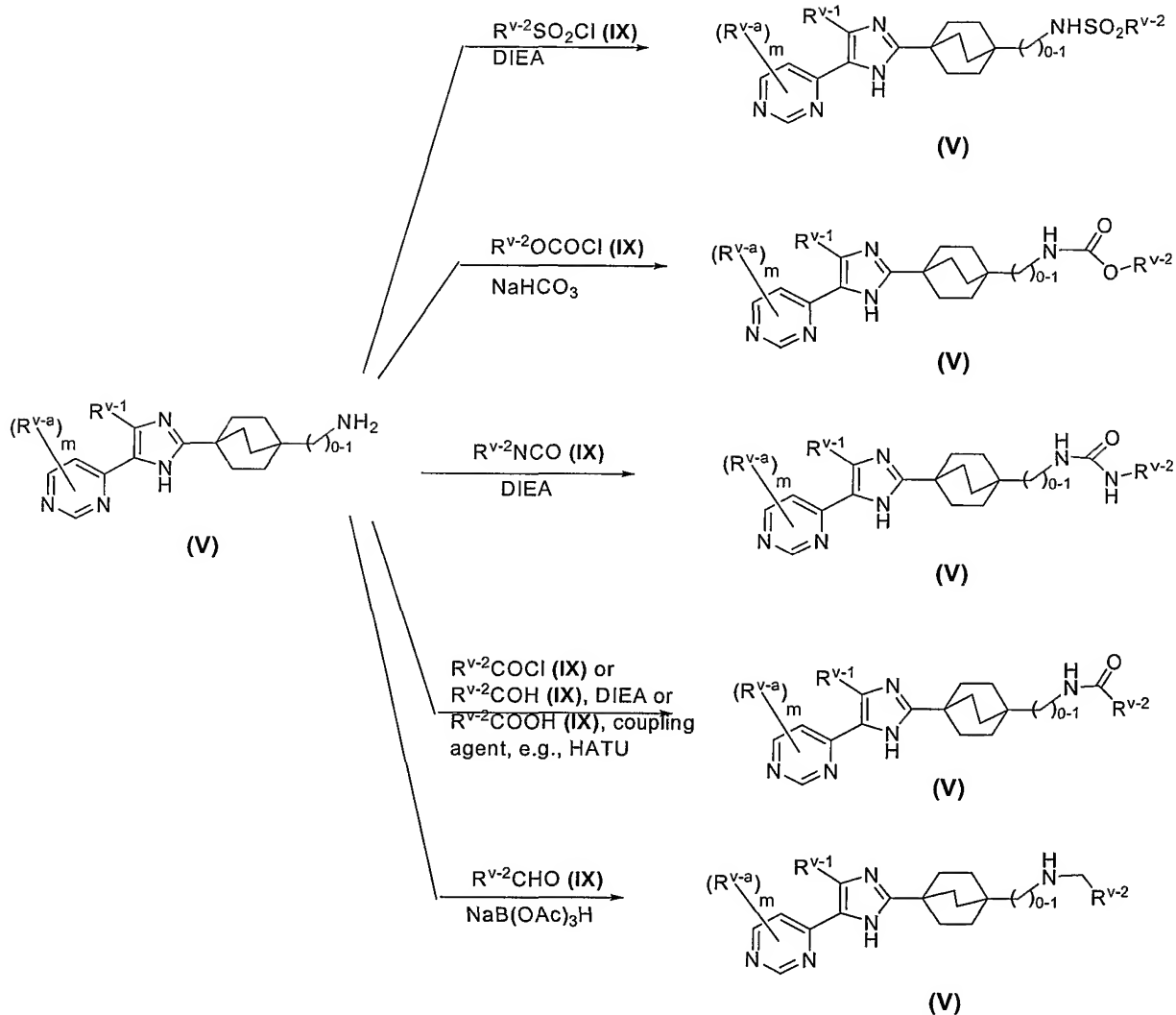
(6)



(7)

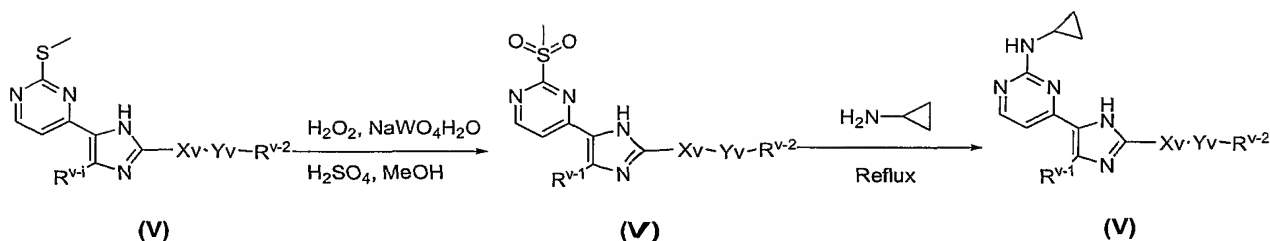


Scheme V-5c



[084] As is well known to a skilled person in the art to which the present invention relates, desired substitutions can be placed on the pyrimidinyl ring in the last steps of the synthesis. See, e.g., Scheme V-6 below.

Scheme V-6



[085] Compounds of formula V wherein R^{v-b} is not hydrogen can also be prepared by known methods. For example, compounds of formula V wherein A^{v-1} is N and A^{v-2} is NH (or vice versa) can be treated with $R^b\text{I}$ (e.g., alkyl iodide) and CsCO_3 to produce a compound of formula V wherein R^{v-b} is alkyl. See, e.g., Liverton, et al., *J. Med. Chem.*, 42: 2180-2190 (1999).

[086] As will be obvious to a skilled person in the art to which the present invention relates, some starting materials and intermediates may need to be protected before undergoing synthetic steps as described above. For suitable protecting groups, see, e.g., T. W. Greene, *Protective Groups in Organic Synthesis*, John Wiley & Sons, Inc., New York (1981).

[087] Examples of compounds of formula V include, but are not limited to,

469) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfonyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzamide;

470) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfonyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzonitrile;

471) 4-[5-(2-methanesulfonyl-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

472) 4-[5-(2-methoxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

473) 4-[5-(2-hydroxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

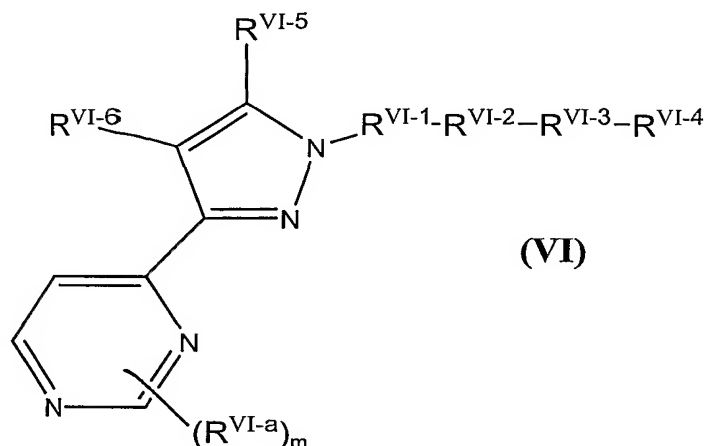
474) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

475) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;

- 476) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 477) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 478) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 479) 4-[5-(2-amino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 480) {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 481) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 482) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 483) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 484) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 485) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 486) 6-[2-tert-butyl-5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 487) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 488) {4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 489) 6-[5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 490) 6-[2-tert-butyl-5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 491) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 492) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 493) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 494) 4-[5-(2-methyl-pyrimidin-4-yl)-4-[1,2,4]triazolo[4,3-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;

- 495) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 496) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 497) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 498) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexanol; and
- 499) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol.

[088] In still another embodiment, the antagonists have the structure shown in formula VI:



[089] In formula VI, each R^{VI-a} , independently, can be alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, $-NH_2$, $-NH$ (unsubstituted alkyl), $-N$ (unsubstituted alkyl)₂, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl.

[090] R^{VI-1} can be a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, wherein each of $r1$ and $r2$, independently, is 2 or 3.

[091] R^{VI-2} can be cycloalkylene, heterocycloalkylene, cycloalkenylene, heterocycloalkenylene, arylene, heteroarylene, or a bond.

[092] R^{VI-3} can be $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^{VI-b})-$, $-N(R^{VI-b})-C(O)-$, $-O-C(O)-N(R^{VI-b})-$, $-N(R^{VI-b})-C(O)-O-$, $-C(O)-N(R^{VI-b})-O-$, $-O-N(R^{VI-b})-C(O)-$, $-O-S(O)_p-N(R^{VI-b})-$, $-N(R^{VI-b})-S(O)_p-O-$, $-S(O)_p-N(R^{VI-b})-O-$, $-O-N(R^{VI-b})-S(O)_p-$, $-N(R^{VI-b})-C(O)-N(R^{VI-c})-$, $-N(R^{VI-b})-S(O)_p-N(R^{VI-c})-$, $-C(O)-N(R^{VI-b})-S(O)_p-$, $-S(O)_p-N(R^{VI-b})-C(O)-$, $-C(O)-N(R^{VI-b})-S(O)_p-N(R^{VI-c})-$, $-C(O)-O-S(O)_p-N(R^{VI-b})-$, $-N(R^{VI-b})-S(O)_p-N(R^{VI-c})-C(O)-$, $-N(R^{VI-b})-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^{VI-b})-$, $-N(R^{VI-b})-S(O)_p-$, $-N(R^{VI-b})-$, $-S(O)_p-$, $-O-$, $-S-$, $-(C(R^{VI-b})(R^{VI-c}))_q-$, or a bond. Each of R^{VI-b} and R^{VI-c} , independently, can be hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl. p can be 1 or 2 and q can be 1–4.

[093] R^{VI-4} can be hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl.

[094] R^{VI-5} can be hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryloxy, arylsulfinyl, heteroaryloxy, or heteroarylsulfinyl.

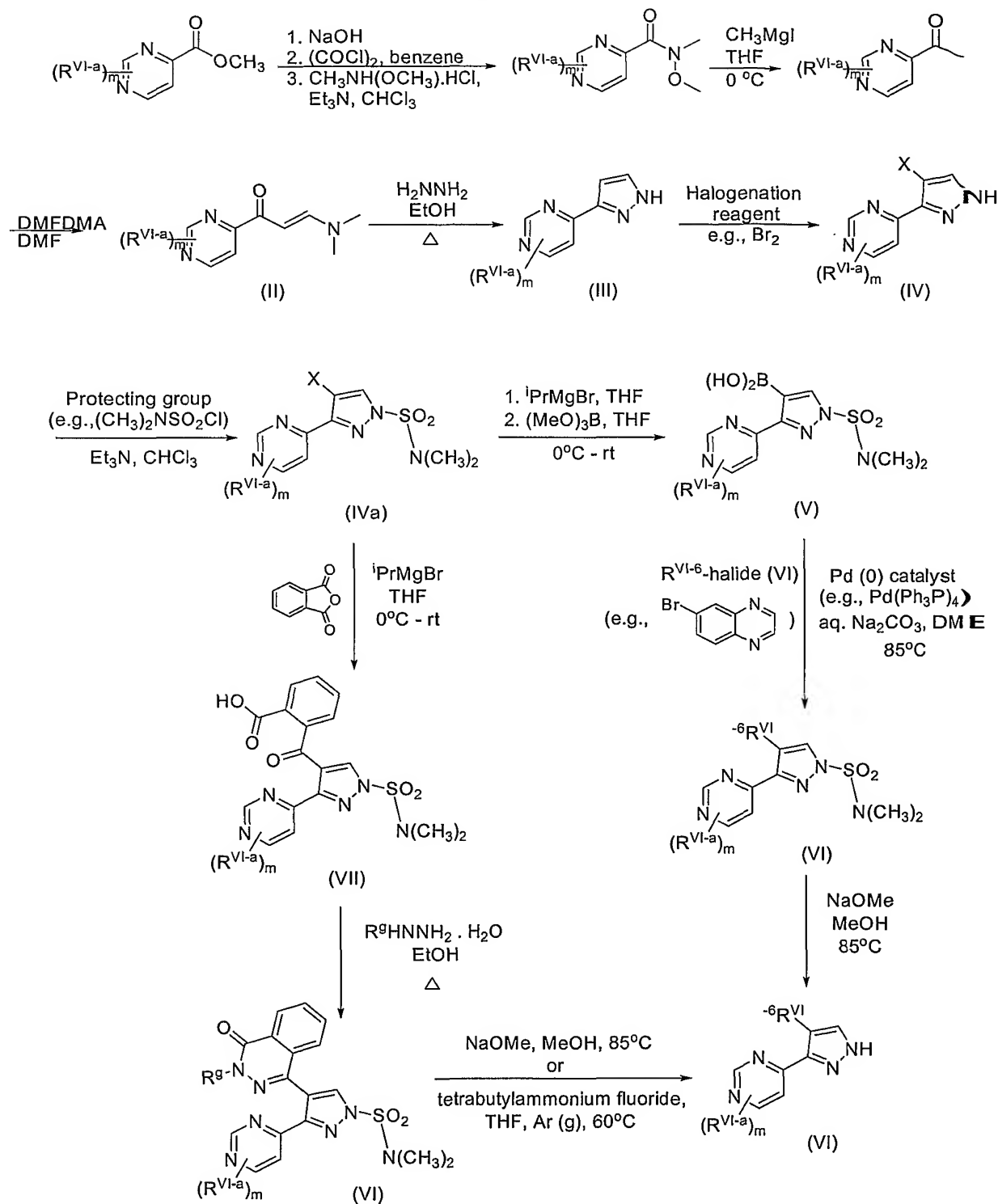
[095] R^{VI-6} can be a 5- to 6-membered monocyclic heterocyclyl or a 8- to 11-membered bicyclic heteroaryl, and optionally substituted with alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl.

[096] The value of m can be 0-3, and when $m \geq 2$, two adjacent R^a groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety.

[097] Compounds of formula VI are commercially available or may be prepared by a number of known methods from commercially available or known starting materials.

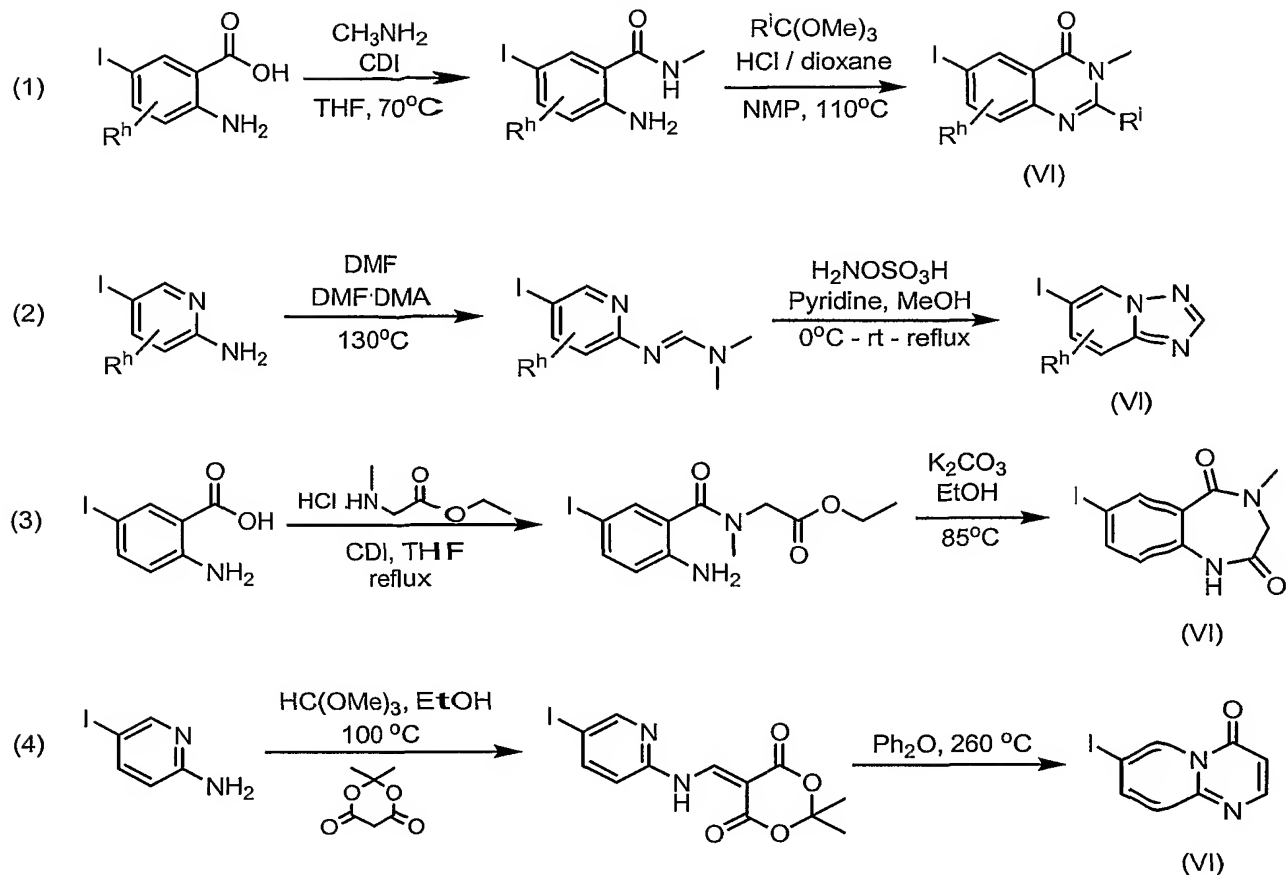
[098] In one method, compounds of formula VI are prepared according to Scheme VI-1 shown below. Specifically, a pyrimidine of formula II, which contains a 2-(α , β -unsaturated carbonyl) substituent can cyclize with hydrazine to form a pyrazole core ring to produce a 2-(pyrazol-3-yl)-pyrimidine intermediate (III). Note that the pyrimidine of formula II can be prepared by known methods (see, e.g., Jameson, D. and Guise, L. *Tetrahedron Letters*, 32(18): 1999-2002). The intermediate (III) can be further substituted at the 4-position of the pyrazole core ring with a good leaving group such as halo (e.g., iodo or bromo) by reacting with a halogenation reagent (e.g., bromination reagent such as Br₂ or iodination reagent such as N-iodosuccinimide) to form a 2-(4-halo-pyrazol-3-yl)-pyrimidine (IV). Note that halo is represented by moiety X in Scheme VI-1. The halo substituent forms an ideal platform for R^{VI-6} substitutions. For example, the iodo substituent can be converted into a boronic acid substituent (see compound (V) below), which can react with a R^{VI-6}-halide (VI) (e.g., an aryl halide or a heteroaryl halide) via Suzuki coupling reaction to form a compound of formula VI. Other substitution reactions can also be employed to produce a wide range of compounds of formula VI (see, e.g., via a reaction between the protected iodinated compound (IVa) and phthalic anhydride to form a di-keto intermediate (VII), which can undergo a cyclization reaction with an R^g-substituted hydrazine to form a compound (VI); for reference, see *J. Med. Chem.*, 44(16): 2511-2522 (2001). It should be noted that the pyrazole core ring should be properly protected (see, e.g., the N,N-dimethylaminosulfonyl group of compound (IVa)) to eliminate undesired side reactions.

Scheme VI-1



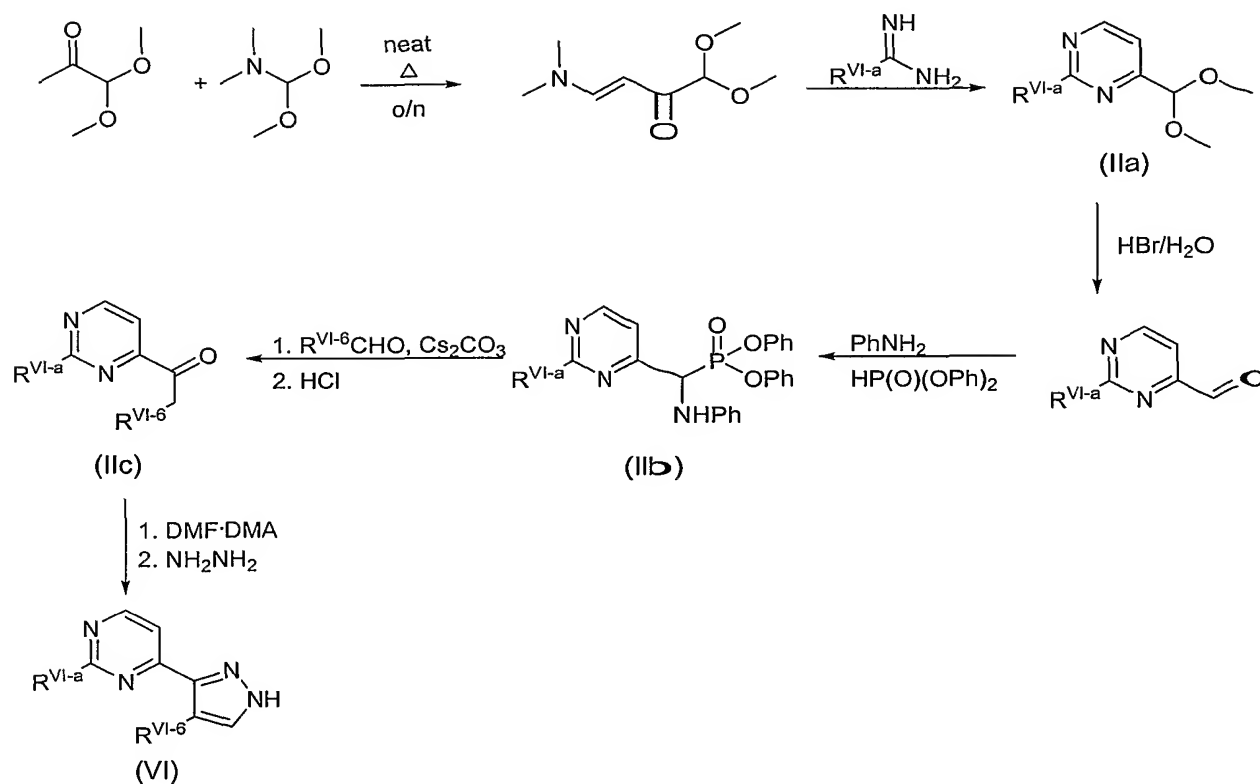
[O99] Exemplary reactions for preparing a compound of R^{VI-6} shown in Scheme VI-1 are shown below in Scheme VI-2.

Scheme VI-2



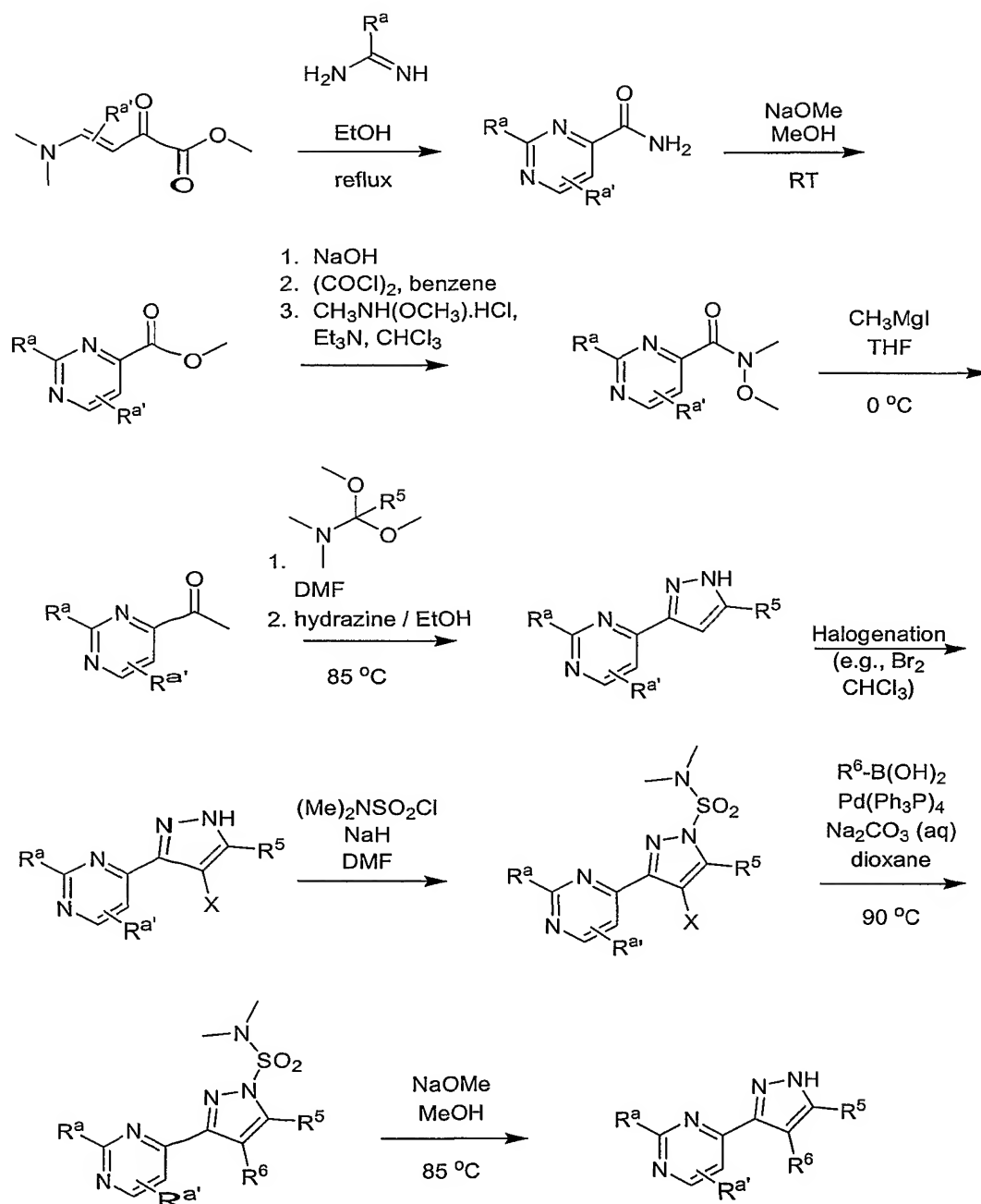
[0100] Alternatively, a compound of formula VI can be prepared according to Scheme VI-3 shown below. Specifically, a dimethoxymethyl-substituted pyrimidine of formula (IIa) can be prepared by reacting dimethylformamide dimethylacetal with 1,1-dimethoxy-propan-2-one to form 4-dimethylamino-1,1-dimethoxy-but-3-en-2-one as an intermediate, which can further react with an R^{VI-a} -substituted acetamidine (i.e., R^{VI-a} -C(NH)-NH₂) to produce a compound of formula (IIa). See Reilly, T.A. et al., *J. Heterocyclic Chem.* 24(4):955 (1989). The compound of formula (IIa) can then be deprotected in an acidic medium (e.g., aqueous HBr) and react with aniline and diphenylphosphite to form a compound of formula (IIb), which can then react with an R^{VI-6} -substituted aldehyde to form a compound of formula (IIc). Further reaction of a compound of formula (IIc) with N,N-dimethylformamide dimethylacetal (DMFDMA), followed by hydrazine hydrate, yields a compound of formula VI.

Scheme VI-3



[0101] Another method for preparing a compound of formula VI is shown in Scheme VI-4 below. Note that in this Scheme, $R^{a'}$ has the same meaning as R^{VI-a} , which has been defined above, and X represents halo. Similar to the method described in Scheme VI-1, this method requires halogenation at the R^{VI-6} position as an intermediate step. See Nesi, R. et al., *J. Chem. Soc., Perkin Trans I*, 8:1667-1770 (1980); Nagamitsu, T. et al., *J. Org. Chem.*, 60(25):8126-8127 (1995); and Guanti, G. and Riva, R. *Tetrahedron: Asymmetry*, 12(8):1185-1200 (2001) for references for synthesis shown in the first four steps.

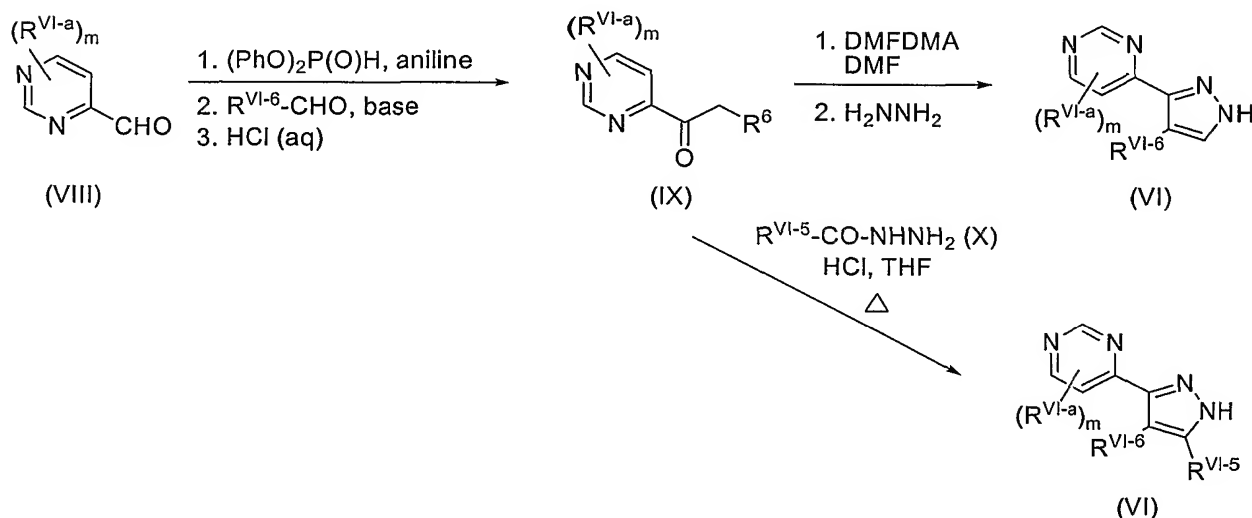
Scheme VI-4



[0102] A compound of formula VI can also be prepared via a phenylacetyl pyrimidine compound (IX) as shown in Scheme VI-5 below. Specifically, a pyrimidine-carboxyaldehyde compound (VIII) is converted to the N,P acetal intermediate with aniline and diphenylphosphite. This acetal intermediate is then coupled to an aldehyde substituted with $\text{R}^{\text{VI-6}}$ in basic condition (e.g., Cs_2CO_3) to afford an enamine intermediate, which is hydrolyzed to a ketone intermediate (IX). For reference, see, e.g., Journet et al., *Tetrahedron Letters* v. 39, p. 1717-1720 (1998). Cyclizing the ketone intermediate (IX) with N,N-

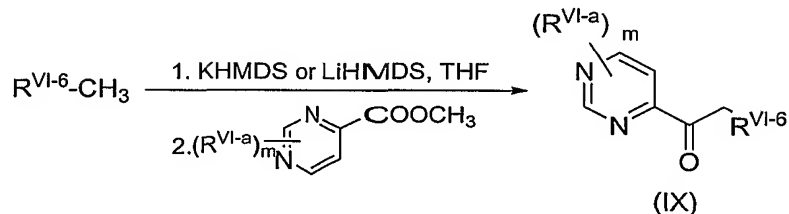
dimethylformamide dimethyl acetal and hydrazine affords the pyrazole ring of the desired compound of formula VI. The pyrazole ring of a compound of formula VI can also be formed by cyclizing the ketone intermediate (IX) with an R^{VI-5} -substituted carboxylic acid hydrazide (X). For reference, see, e.g., *Chemistry of Heterocyclic compounds* 35(11): 1319-1324 (2000).

Scheme VI-5



[0103] Another method of preparing the intermediate (IX) is depicted in Scheme VI-6 below. For reference, see, e.g., WO 02/066462, WO 02/062792, and WO 02/062787.

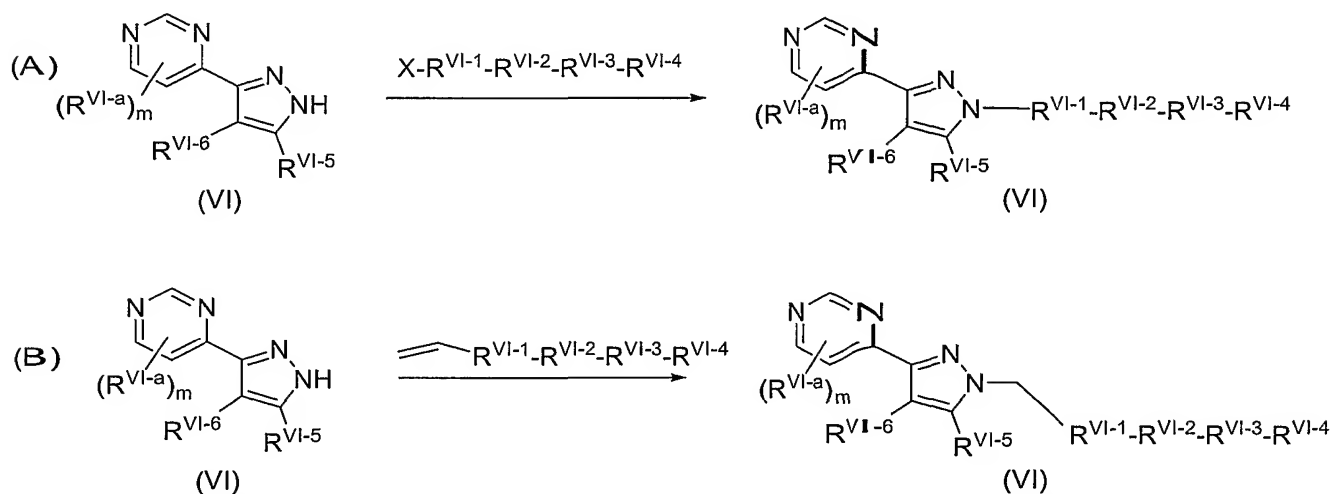
Scheme VI-6



[0104] Exemplary methods for preparing a compound of formula VI wherein $-R^{VI-1}-R^{VI-2}-R^{VI-3}-R^{VI-4}$ is not hydrogen are shown in Scheme VI-7 below. In reaction (A), a compound of formula VI wherein the 1-position of the pyrazole core ring is unsubstituted undergoes a substitution reaction with $X-R^{VI-1}-R^{VI-2}-R^{VI-3}-R^{VI-4}$ where X is a leaving group such as trifluoromethylsulfonate, tosylate, and halide, e.g., Cl, Br, or I. Alternatively, a compound of formula VI wherein the 1-position of the pyrazole core ring is unsubstituted can undergo a conjugate addition reaction as shown in reaction (B) below. As is well known to a skilled

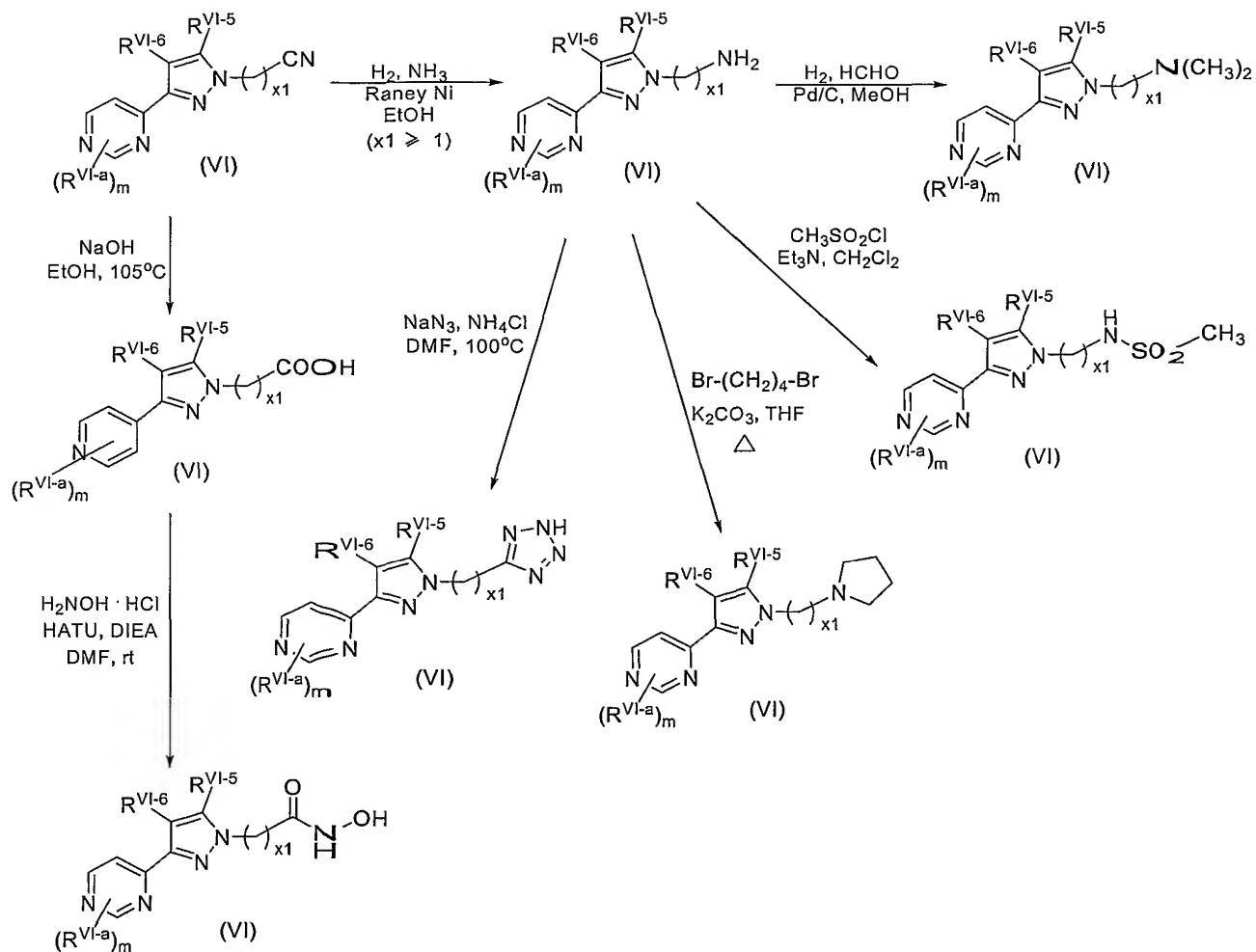
person in the art to which this invention relates, the electrophile or acceptor in the addition reaction generally contains a double bond connecting to an electron-withdrawing group or a double bond conjugating to groups such as carbonyl, cyano, or nitro.

Scheme VI-7



The $-R^{VI-1}-R^{VI-2}-R^{VI-3}-R^{VI-4}$ group can be further transformed into other functionalities as shown in Scheme VI-8 below. For example, a compound of formula VI wherein the $-R^{VI-1}-R^{VI-2}-R^{VI-3}-R^{VI-4}$ group is cyanoalkyl can be reduced to aminoalkyl, which can be further converted to other functionalities such as heteroaralkyl, heterocycloalkylalkyl, and carboxylic acid.

Scheme VI-8



[0105] Substituents at the pyrimidinyl ring (i.e., R^{VI-a}) can also be converted into other functionalities. For example, a compound of formula VI wherein R^{VI-a} is bromo (which can be obtained by employing a bromo-substituted compound of formula VI (Sigma-Aldrich, St. Louis, MO)) can be converted into other functionalities such as alkyl, alkenyl, cycloalkyl and the like, by known methods.

[0106] Likewise, substituents of the R^{VI-6} moiety can be further converted into other functionalities as well. As will be obvious to a skilled person in the art, some starting materials and intermediates may need to be protected before undergoing synthetic steps as described above. For suitable protecting groups, see, e.g., T. W. Greene, *Protective Groups in Organic Synthesis*, John Wiley & Sons, Inc., New York (1981).

[0107] Examples of compounds of formula VI include, but are not limited to,
 500) 4-(4-benzo[1,3]dioxol-5-yl)-1H-pyrazol-3-yl)-2-methyl-pyrimidine,
 500a) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 501) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 502) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 502a) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 503) 6-[3-(2-cyclopropyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 504) 4-(4-benzo[1,3]dioxol-5-yl)-1H-pyrazol-3-yl)-2-trifluoromethyl-pyrimidine,
 505) 7-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 and
 506) 6-[3-(2-Trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoline.

Routes of Administration

[0108] As defined above, an effective amount is the amount which is required to confer a therapeutic effect on the treated subject, e.g. a patient. For a compound of formulae (I, II, III, IV, V, and VI), an effective amount can range from about 1 mg/kg to about 150 mg/kg (e.g., from about 1 mg/kg to about 100 mg/kg). Effective doses will also vary, as recognized by those skilled in the art, dependent on route of administration, excipient usage, and the possibility of co-usage with other therapeutic treatments including use of other therapeutic agents and/or radiation therapy.

[0109] The compounds of formulae I, II, III, IV, V, and VI can be administered by any method that permits the delivery of the compounds to combat vascular injuries. For instance, the compounds of formulae I, II, III, IV, V, and VI can be administered via pills, tablets, capsules, aerosols, suppositories, liquid formulations for ingestion or injection or for use as eye or ear drops, dietary supplements, and topical preparations. A pharmaceutically acceptable composition includes the aqueous solution of the compound of formula I, II, III, IV, V, or VI, in an isotonic saline, 5% glucose or another well-known pharmaceutically acceptable excipient. Solubilizing agents such as cyclodextrins, or other solubilizing agents well-known to those familiar with the art, can be utilized as pharmaceutical excipients for delivery of the therapeutic compounds. As to route of administration, the compositions can be administered orally, intranasally, transdermally, intradermally, vaginally, intraaurally, intraocularly, buccally, rectally, transmucosally, or via inhalation, or intravenous administration. The compositions may be delivered intravenously via a balloon catheter. The compositions can be administered to an animal (e.g., a mammal such as a human, non-human

primate, horse, dog, cow, pig, sheep, goat, cat, mouse, rat, guinea pig, rabbit, hamster, gerbil, ferret, lizard, reptile, or bird).

[0110] The compounds of formulae I, II, III, IV, V, and VI also can be delivered by implantation (e.g., surgically) with an implantable device. Examples of implantable devices include, but are not limited to, stents, delivery pumps, vascular filters, and implantable control release compositions. Any implantable device can be used to deliver the compound provided that 1) the device, compound and any pharmaceutical composition including the compound are biocompatible, and 2) that the device can deliver or release an effective amount of the compound to confer a therapeutic effect on the treated patient within a short or over an extended period of time.

[0111] Delivery of therapeutic agents via stents, delivery pumps (e.g., mini-osmotic pumps), and other implantable devices is known in the art. See for example, "Recent Developments in Coated Stents" by Hofma et al., published in *Current Interventional Cardiology Reports* 2001, 3:28-36, the entire contents of which, including references cited therein, are incorporated herein. Other descriptions of implantable devices, such as stents, can be found, e.g., in U.S. Patent Nos. 6,569,195 and 6,322,847; and PCT International Publication Numbers WO 04/0044405, WO 04/0018228, WO 03/0229390, WO 03/0228346, WO 03/0225450, WO 03/0216699, and WO 03/0204168, each of which is also incorporated herein by reference in its entirety.

[0112] Referring to Figure 1, a delivery device, such as stent 10, includes a compound 20 of formula I, II, III, IV, V, or VI. The compound, as a therapeutic agent, may be incorporated into or onto the stent using methodologies known in the art. In some embodiments, a stent can include interlocked meshed cables. Each cable can include metal wires for structural support and polymeric wires for delivering the therapeutic agent. The polymeric wire can be dosed by immersing the polymer in a solution of the therapeutic agent. Alternatively, the therapeutic agent can be embedded in the polymeric wire during the formation of the wire from polymeric precursor solutions. In other embodiments, stents or implantable devices can be coated with polymeric coatings that include the therapeutic agent. The polymeric coating can be designed to control the release rate of the therapeutic agent.

[0113] Controlled release of therapeutic agents can utilize various technologies. Devices are known having a monolithic layer or coating incorporating a heterogeneous solution and/or dispersion of an active agent in a polymeric substance (e.g., a polyester), where the diffusion

of the agent is rate limiting, as the agent diffuses through the polymer to the polymer–fluid interface and is released into the surrounding fluid. In some devices, a soluble substance is also dissolved or dispersed in, or chemically bonded to, the polymeric material, such that additional pores or channels are left after the material dissolves. A matrix device is generally diffusion limited as well, but with the channels or other internal geometry of the device also playing a role in releasing the agent to the fluid. The channels can be pre-existing or left behind by released agent or other soluble substances.

[0114] Erodible or degradable devices typically have the active agent physically immobilized in the polymer. The active agent can be dissolved and/or dispersed throughout the polymeric material. The polymeric material is often hydrolytically degraded over time through hydrolysis of labile bonds, allowing the polymer to erode into the fluid and releasing the active agent into the fluid. Hydrophilic polymers have a generally faster rate of erosion relative to hydrophobic polymers. Hydrophobic polymers are believed to have almost purely surface diffusion of active agent, having erosion from the surface inwards. Hydrophilic polymers are believed to allow water to penetrate the surface of the polymer, allowing hydrolysis of labile bonds beneath the surface, which can lead to homogeneous or bulk erosion of polymer.

[0115] The implantable device coating can include a blend of polymers each having a different release rate of the therapeutic agent. For instance, the coating can include a polylactic acid/polyethylene oxide (PLA-PEO) copolymer and a polylactic acid/polycaprolactone (PLA-PCL) copolymer. The polylactic acid/polyethylene oxide (PLA-PEO) copolymer can exhibit a higher release rate of therapeutic agent relative to the polylactic acid/polycaprolactone (PLA-PCL) copolymer. The relative amounts and dosage rates of therapeutic agent delivered over time can be controlled by changing the relative amounts of the faster releasing polymers relative to the slower releasing polymers. For higher initial release rates the proportion of faster releasing polymer can be increased relative to the slower releasing polymer. If most of the dosage is desired to be released over a long time period, most of the polymer can be the slower releasing polymer. The stent can be coated by spraying the stent with a solution or dispersion of polymer, active agent, and solvent. The solvent can be evaporated, leaving a coating of polymer and active agent. The active agent can be dissolved and/or dispersed in the polymer. In some embodiments, the copolymers can be extruded over the stent body.

[0116] In still other embodiments, compounds of formula I can be administered in conjunction with one or more other agents that inhibit the TGF β signaling pathway or treat the corresponding pathological disorders (e.g., fibrosis or progressive cancers) by way of a different mechanism of action. Examples of these agents include angiotensin converting enzyme inhibitors, nonsteroid, steroid anti-inflammatory agents, and chemotherapeutics or radiation, as well as agents that antagonize ligand binding or activation of the TGF β receptors, e.g., anti-TGF β , anti-TGF β receptor antibodies, or antagonists of the TGF β type II receptors.

[0117] The invention will be further described in the following examples, which do not in any way limit the scope of the invention described in the claims.

Example 1: Balloon Catheter Injury of the Rat Carotid Artery

[0118] The ability of compounds of formulae I, II, III, IV, V, and VI to prevent the stenotic fibrotic response was tested by administration of the test compounds (i.v., p.o., or s.c) to rats that have undergone balloon catheter injury of the carotid artery.

[0119] Sprague Dawley rats (400g, 3 to 4 months old) were anesthetized by i.p. injection with 10 mg/kg xylazine (Xyla-Ject, Phoenix Pharmaceuticals) and 80 mg/kg ketamine (Ketaset, Fort Dodge). The left carotid artery and the aorta were denuded with a 2F balloon catheter (Edwards Life Sciences) according to the procedure described in Clowes et al., *Lab Invest.* 49: 327-333 (1983). Test compounds of formulae I, II, III, IV, V, and VI were each administered to the treatment group (n=5-10 rats) (i.v., p.o., or s.c.; qod, once per day, bid, tid or by continuous s.c. infusion via an Alzet mini-osmotic pump) starting the day of surgery and subsequently for 14 more days. The control group (n=5 rats) received the same volume of vehicle administered using the same regimen as the test compound-treated rats. The animals were sacrificed under anesthesia 14 days post-balloon injury. Exsanguination and then perfusion fixation was carried out under physiological pressure with 0.9% sodium chloride, injection USP (310 mOsmol/L, pH 5.6 (4.5-7.0)) and (10% neutral buffered formalin). The injured carotid artery was excised, post-fixed and embedded for histological and morphometric analysis. Sections (5 μ m) were cut from the proximal, middle and distal segments of the denuded vessel and analyzed using image analysis software. The circumference of the lumen and the lengths of the internal elastic lamina (IEL) and the external elastic lamina (EEL) were determined by tracing along the luminal surface the perimeter of the neointima (IEL) and the perimeter of the tunica media (EEL), respectively.

The lumen (area within the lumen), medial (area between the IEL and EEL) and intimal (area between the lumen and the IEL) areas were also determined using morphometric analysis. Statistical analysis used ANOVA to determine statistically significant differences between the means of treatment groups ($p \leq 0.05$). Multiple comparisons between groups were then performed using the Dunnett's Multiple Comparisons test. The Student *t* test was used to compare the means between 2 groups, and differences were considered significant if $P \leq 0.05$. All data are shown as mean + SEM.

[0120] Statistically significant decreases were seen in intimal area, intimal/medial ratio in injured arteries of test compound-treated rats compared to those of the vehicle-treated rats. Conversely, the lumen area, IEL and EEL lengths showed a statistically significant increase in injured arteries of test compound-treated rats compared to those of the vehicle-treated rats. These results show that inhibition of the TGF β RI kinase prevents the stenotic response to balloon-catheter arterial injury by inhibiting the fibrotic expansion of the neointima and vessel remodeling.

Example 2: Cell-Free Assay for Evaluating Inhibition of Autophosphorylation of TGF β Type I Receptor

[0121] The serine-threonine kinase activity of TGF β type I receptor was measured as the autophosphorylation activity of the cytoplasmic domain of the receptor containing an N-terminal poly histidine, TEV cleavage site-tag, e.g., His-TGF β RI. The His-tagged receptor cytoplasmic kinase domains were purified from infected insect cell cultures using the Gibco-BRL FastBac HTb baculovirus expression system.

[0122] To a 96-well Nickel FlashPlate (NEN Life Science, Perkin Elmer) was added 20 μ l of 1.25 μ Ci 33 P-ATP/25 μ M ATP in assay buffer (50 mM Hepes, 60 mM NaCl, 1 mM MgCl₂, 2 mM DTT, 5 mM MnCl₂, 2% glycerol, and 0.015% Brij[®] 35). 10 μ l of each test compound of formula I prepared in 5% dimethyl sulfoxide (DMSO) solution were added to the FlashPlate. The assay was then initiated with the addition of 20 μ l of assay buffer containing 12.5 μ mol of His-TGF β RI to each well. Plates were incubated for 30 minutes at room temperature and the reactions were then terminated by a single rinse with Tris-buffered saline (TBS). Radiation from each well of the plates was read on a TopCount (Packard). Total binding (no inhibition) was defined as counts measured in the presence of DMSO solution containing no test compound and non-specific binding was defined as counts measured in the presence of EDTA or no-kinase control.

[0123] Alternatively, the reaction performed using the above reagents and incubation conditions but in a microcentrifuge tube was analyzed by separation on a 4-20% SDS-PAGE gel and the incorporation of radiolabel into the 40 kDa His-TGF β RI SDS-PAGE band was quantitated on a Storm Phosphoimager (Molecular Dynamics).

[0124] Compounds of formulae I, II, III, IV, V, and VI typically exhibited low IC₅₀ values of less than 10 μ M; some exhibited IC₅₀ values of less than 1 μ M; and some even exhibited IC₅₀ values of less than 50 nM.

Example 3: Cell-Free Assay for Evaluating Inhibition of Activin Type I Receptor Kinase Activity

[0125] Inhibition of the Activin type I receptor (Alk 4) kinase autophosphorylation activity by compounds of formulae I, II, III, IV, V, and VI, can be determined in a similar manner to that described above in Example 2 except that a similarly His-tagged form of Alk 4 (His-Alk 4) is used in place of the His-TGF β RI.

Example 4: TGF β Type I Receptor Ligand Displacement FlashPlate Assay

[0126] 50 nM of tritiated 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline (custom-ordered from PerkinElmer Life Science, Inc., Boston, MA) in assay buffer (50 mM Hepes, 60 mM NaCl₂, 1 mM MgCl₂, 5 mM MnCl₂, 2 mM 1,4-dithiothreitol (DTT), 2% Brij[®] 35; pH 7.5) was premixed with a test compound of formula I, II, III, IV, V, or VI, in 1% DMSO solution in a v-bottom plate. Control wells containing either DMSO without any test compound or control compound in DMSO were used. To initiate the assay, His-TGF β Type I receptor in the same assay buffer (Hepes, NaCl₂, MgCl₂, MnCl₂, DTT, and 30% Brij[®] added fresh) was added to a nickel coated FlashPlate (PE, NEN catalog number: SMP107), while the control wells contained only buffer (i.e., no His-TGF β Type I receptor). The premixed solution of tritiated 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline and the test compound was then added to the wells. The wells were aspirated after an hour at room temperature and radioactivity in wells (emitted from the tritiated compound) was measured using TopCount (PerkinElmer Lifesciences, Inc., Boston MA).

[0127] Compounds of formulae I, II, III, IV, V, and VI typically exhibited K_i values of less than 10 μ M; some exhibited K_i values of less than 1 μ M; and some even exhibited K_i values of less than 50 nM.

Example 5: Assay for Evaluating Cellular Inhibition of TGF β Signaling and Cytotoxicity

[0128] Biological activity of the compounds of formulae I, II, III, IV, V, and VI was determined by measuring their ability to inhibit TGF β -induced PAI-Luciferase reporter activity in HepG2 cells.

[0129] Specifically, HepG2 cells were stably transfected with the PAI-luciferase reporter grown in DMEM medium containing 10% FBS, penicillin (100 U/ml), streptomycin (100 μ g/ml), L-glutamine (2 mM), sodium pyruvate (1 mM), and non-essential amino acids (1x). The transfected cells were then plated at a concentration of 2.5×10^4 cells/well in 96-well plates and starved for 3-6 hours in media with 0.5% FBS at 37°C in a 5% CO₂ incubator. The cells were then stimulated with 2.5 ng/ml TGF β ligand in the starvation media containing 1% DMSO either in the presence or absence of a test compound of formula I, II, III, IV, V, or VI and incubated as described above for 24 hours. The media was washed out the following day and the luciferase reporter activity was detected using the LucLite Luciferase Reporter Gene

Assay kit (Packard, Cat. No. 6016911) as recommended. The plates were read on a Wallac Microbeta plate reader, the reading of which was used to determine the IC₅₀ values of the test compounds for inhibiting TGF β -induced PAI-Luciferase reporter activity in HepG2 cells. Compounds of formulae I, II, III, IV, V, and VI typically exhibited IC₅₀ values of less 10 μ M.

[0130] Cytotoxicity was determined using the same cell culture conditions as described above. Specifically, cell viability was determined after overnight incubation with the CytoLite cell viability kit (Packard, cat. no. 6016901). Compounds of formula I, II, III, IV, V, and VI typically exhibited LD₂₅ values greater than 10 μ M.

Example 6: Assay for Evaluating Inhibition of TGF β Type I Receptor Kinase Activity in Cells

[0131] The cellular inhibition of activin signaling activity by compounds of formula I, II, III, IV, V, or VI is determined in a similar manner as described above in Example 5, except that 100 ng/ml of activin is added to serum starved cells in place of the 2.5 ng/ml TGF β .

Example 7: Assay for TGF β -Induced Collagen Expression

Preparation of Immortalized Collagen Promotor-Green Fluorescent Protein Cells

[0132] Fibroblasts are derived from the skin of adult transgenic mice expressing Green Fluorescent Protein (GFP) under the control of the collagen 1A1 promoter (see Krempen, K. et al., Gene Exp. 8: 151-163 (1999)). Cells are immortalized with a temperature sensitive large T antigen that is in an active stage at 33°C, and then expanded at 33°C before being transferred to 37°C at which temperature the large T antigen becomes inactive (see, e.g., Xu, S. et al., Exp. Cell Res., 220: 407-414 (1995)). Over the course of about 4 days and one split, the cells cease proliferating. The cells are then frozen in aliquots sufficient for a single 96-well plate.

Assay of TGF β -induced Collagen-GFP Expression

[0133] Cells are thawed, plated in complete DMEM (contains non-essential amino acids, 1mM sodium pyruvate and 2mM L-glutamine) with 10 % fetal calf serum, and then incubated for overnight at 37°C, 5% CO₂. The cells are trypsinized in the following day and transferred into 96-well format with 30,000 cells per well in 50 μ l complete DMEM containing 2 % fetal calf serum, but without phenol red. The cells are incubated at 37°C for 3 to 4 hours to allow them to adhere to the plate. Solutions containing a test compound of

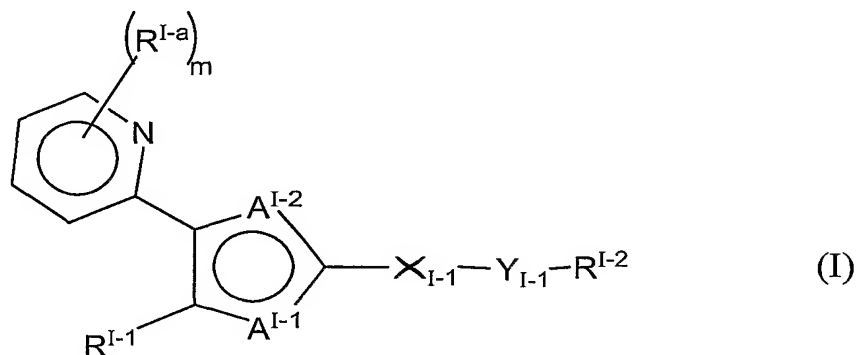
formula I, II, III, IV, V, or VI are then added to wells with no TGF β (in triplicates), as well as wells with 1 ng/ml TGF β (in triplicates). DMSO is also added to all of the wells at a final concentration of 0.1%. GFP fluorescence emission at 530 nm following excitation at 485 nm is measured 48 hours after the addition of solutions containing a test compound on a CytoFluor microplate reader (PerSeptive Biosystems). The data are expressed as the ratio of TGF β -induced to non-induced for each test sample.

OTHER EMBODIMENTS

[0134] It is to be understood that while the invention has been described in conjunction with the detailed description thereof, the foregoing description is intended to illustrate and not limit the scope of the invention, which is defined by the scope of the following claims. Other aspects, advantages, and modifications are within the scope of the present invention.

CLAIMS:

1. A method of inhibiting intimal thickening by administering to a subject in need thereof an inhibitor of TGFb type I receptor or Alk4.
2. The method of claim 1, wherein the inhibitor has the structure shown in formula I:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{I-1} is aryl, heteroaryl, aralkyl, or heteroaralkyl;

each R^{I-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thio urea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

X_{I-1} is cycloalkyl or heterocycloalkyl;

Y_{I-1} is a bond, $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^b)(R^c))_q-$, wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

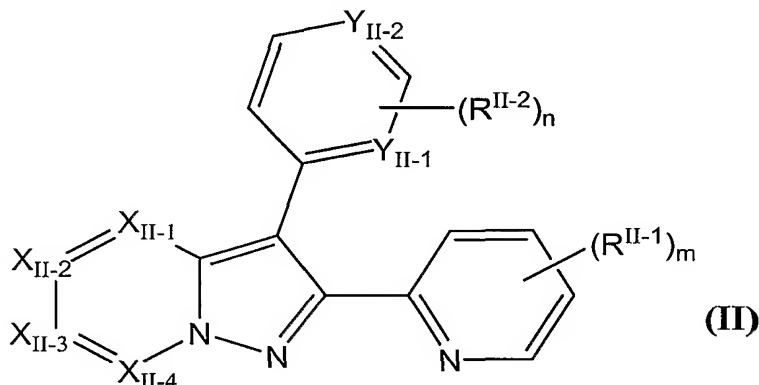
R^{I-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl,

heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{I-1} and A^{I-2} , independently, is O, S, N, or NR^b , provided that at least one of A^{I-1} and A^{I-2} is N; and

m is 0, 1, 2, or 3, and when $m \geq 2$, two adjacent R^{I-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

3. The method of claim 1, wherein the inhibitor has the structure shown in formula II:



or a pharmaceutically acceptable salt or N-oxide thereof, wherein

each of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} is independently CR^x or N, provided that no more than two of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} can be N simultaneously;

each of Y_{II-1} and Y_{II-2} is independently CR^y or N, provided that at least one of Y_{II-1} and Y_{II-2} must be N;

each R^{II-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

each R^{II-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy,

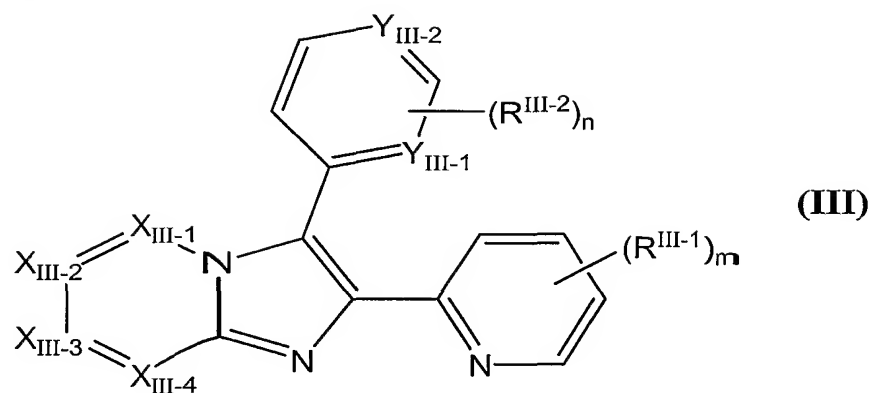
(heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^1 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^2 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^x and R^y is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylaminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

4. The method of claim 1, wherein the inhibitor has the structure shown in formula III



or a pharmaceutically acceptable salt or N-oxide thereof, wherein

each of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} is independently CR^{III-x} or N, provided that no more than two of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} can be N simultaneously;

each of Y_{III-1} and Y_{III-2} is independently CR^{III-y} or N, provided that at least one of Y_{III-1} and Y_{III-2} must be N;

each R^{III-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

each R^{III-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, (cycloalkyl)alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroaryl sulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl(alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino,

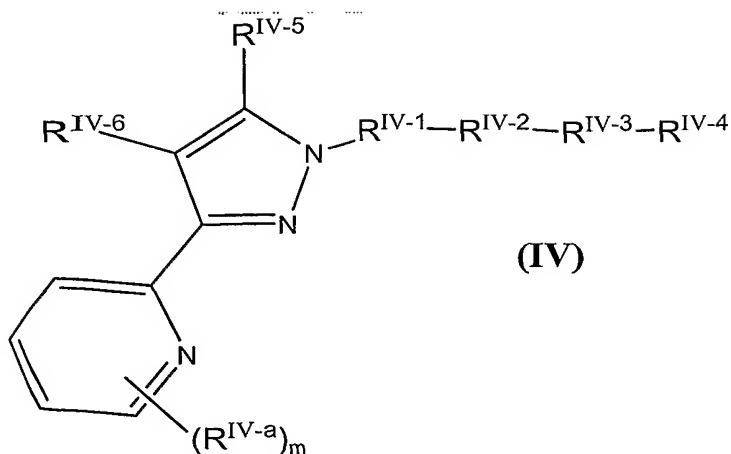
heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{III-1} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{III-2} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^{III-x} and R^{III-y} is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaryl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylaminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

5. The method of claim 1, wherein the inhibitor has the structure shown in formula IV



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{IV-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy, carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

R^{IV-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, wherein each of $r1$ and $r2$ is independently 2 or 3;

R^{IV-2} is cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, or a bond;

R^{IV-3} is $-C(O)-$, $-C(O)O-$, $-OC(O)-$, $-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-$, $-O-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-O-$, $-O-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-O-$, $-N(R^{IV-b})-C(O)-N(R^{IV-c})-$, $-N(R^{IV-b})-S(O)_p-N(R^{IV-b})-$, $-C(O)-N(R^{IV-b})-S(O)_p-$, $-S(O)_p-N(R^{IV-b})-C(O)-$, $-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-$, $-N(R^{IV-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{IV-b})(R^{IV-c}))_q-$, or a bond; wherein each of R^{IV-b} and R^{IV-c} is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

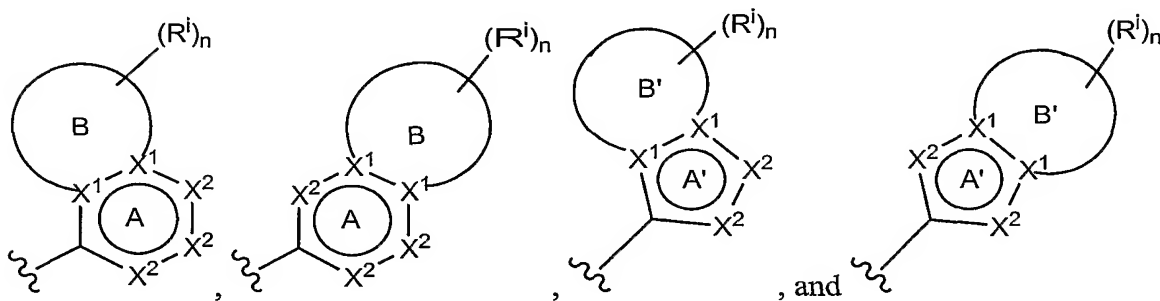
R^{IV-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{IV-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkyl,

heterocycloalkoxy, heterocycloalkylsulfinyl, aryl, aryloxy, arylsulfinyl, heteroaryl, heteroaryloxy, or heteroarylsulfinyl;

R^{IV-6} is (1) a 5- to 6-membered heterocyclyl containing 1-3 hetero ring atoms selected from the group consisting of $-O-$, $-S-$, $-N=$, and $-NR^{IV-d}-$, wherein R^{IV-d} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; said heterocyclyl being substituted with R^{IV-e} and optionally substituted with one to two R^{IV-f} ; wherein R^{IV-e} is oxo, thioxo, alkoxy, alkylsulfinyl, $-NH_2$, $-NH$ (unsubstituted alkyl), or $-N$ (unsubstituted alkyl)₂, and R^{IV-f} is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; or

(2) a fused ring heteroaryl selected from the group consisting of:



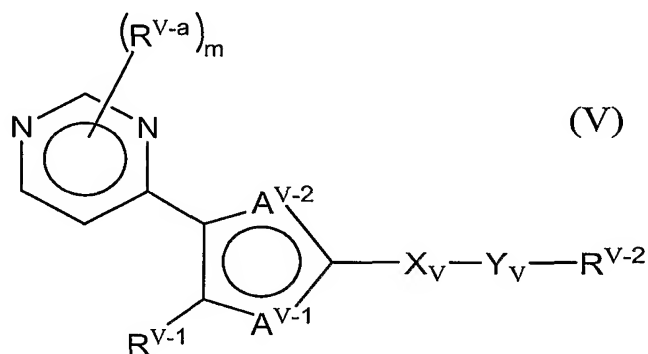
wherein ring A is an aromatic ring containing 0-4 hetero ring atoms, and ring B is a 5- to 7-membered aromatic or nonaromatic ring containing 0-4 hetero ring atoms, provided that at least one of ring A and ring B contains one or more hetero ring atoms; ring A' is an aromatic ring containing 0-4 hetero ring atoms, and ring B' is a 5- to 7-membered saturated or unsaturated ring containing 0-4 hetero ring atoms, provided that at least one of ring A' and ring B' contains one or more hetero ring atoms; each hetero ring atom is $-O-$, $-S-$, $-N=$, or $-NR^{IV-g}-$; each X^1 is independently N or C; each X^2 is independently $-O-$, $-S-$, $-N=$, $-NR^{IV-g}-$, or $-CHR^{IV-h}-$; wherein R^{IV-g} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; each of R^{IV-h} and R^{IV-i} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl,

cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl; and n is 0-2; and

m is 0-3, and when $m \geq 2$, two adjacent R^{IV-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

provided that if R^{IV-6} is 2-naphthyridinyl, 4-quinolinyl, imidazo[1,2-a]pyridyl, or benzimidazolyl, then $-R^{IV-1}-R^{IV-2}-R^{IV-3}-R^{IV-4}$ is not H, unsubstituted alkyl, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{H})-\text{alkyl}$, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{alkyl})_2$, or benzyl.

6. The method of claim 1, wherein the inhibitor has the structure shown in formula V



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{V-1} is heteroaryl;

each R^{V-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbamoylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroaryl-sulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

X_V is cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or a bond;

Y_V is a bond, $-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{S}(\text{O})_p-\text{O}-$, $-\text{O}-\text{S}(\text{O})_p-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{O}-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{O}-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{N}(\text{R}^c)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$,

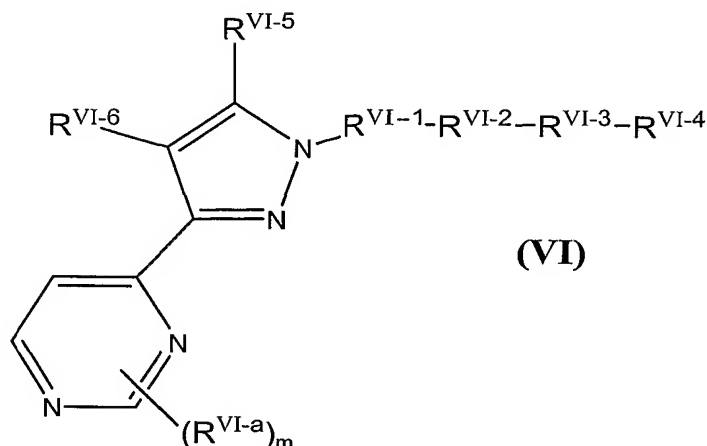
-C(O)-O-S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-N(R^c)-C(O)-, -N(R^b)-S(O)_p-O-C(O)-, -S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-, -N(R^b)-, -S(O)_p-, -O-, -S-, or -(C(R^b)(R^c))_q-, wherein each of R^b and R^c, independently, is hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

R^{V-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{V-1} and A^{V-2}, independently, is N or NR^b; and

m is 0, 1, 2, or 3, and when m ≥ 2, two adjacent R^{V-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety, provided that if X_v is a bond, then Y_v is a bond; R^{V-2} is hydrogen or alkyl; m is 1, 2, or 3; and at least one R^{V-a} is substituted at the 2-pyrimidinyl position.

7. The method of claim 1, wherein the inhibitor has the structure shown in formula VI:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{VI-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, $-NH_2$, $-NH$ (unsubstituted alkyl), $-N$ (unsubstituted alkyl) $_2$, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

R^{VI-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, where each of $r1$ and $r2$, independently, is 2 or 3;

R^{VI-2} is cycloalkylene, heterocycloalkylene, cycloalkenylene, heterocycloalkenylene, arylene, heteroarylene, or a bond;

R^{VI-3} is $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-C(O)-N(R^b)-O-$, $-O-N(R^b)-C(O)-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-S(O)_p-N(R^b)-O-$, $-O-N(R^b)-S(O)_p-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, $-(C(R^b)(R^c))_q-$, or a bond; wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

R^{VI-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{VI-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryloxy, arylsulfinyl, heteroaryloxy, or heteroarylulfinyl;

R^{VI-6} is a 5- to 6-membered monocyclic heterocyclyl or a 8- to 11-membered bicyclic heteroaryl; each being optionally substituted with alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylulfonyl, or heteroaroyl; and

m is 0-3, and when $m \geq 2$, two adjacent R^{VI-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

8. The method of claim 1, wherein the inhibitor is

- 1) 4-(4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 2) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 3) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 4) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 5) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 6) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 7) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 8) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;

- 9) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 10) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 11) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 12) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 13) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 14) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzyl ester;
- 15) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2,4-dichloro-benzylamide;
- 16) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-yl]-ethanone;
- 17) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-furan-2-yl-methyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 18) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 19) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]cyclohexylamine;
- 20) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-phenyl-methanesulfonamide;
- 21) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 22) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 23) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 24) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-ethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 25) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-pyridine;
- 26) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-nitro-benzyl ester;

- 27) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4,5-dimethoxy-2-nitro-benzyl ester;
- 28) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 3-fluoro-benzylamide;
- 29) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-fluoro-benzylamide;
- 30) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzylamide;
- 31) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 32) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methyl-benzylamide;
- 33) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methoxy-benzylamide;
- 34) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzylamide;
- 35) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzoic acid;
- 36) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid amide;
- 37) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzonitrile;
- 38) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 39) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 40) {5-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-dimethyl-amine;
- 41) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 42) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 43) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 44) 1-{4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-phenyl}-ethanone;
- 45) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 46) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-fluoro-5-trifluoromethyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 47) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-cyclohexylmethyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 48) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-cyclopropanecarboxylic acid ethyl ester;
- 49) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-pyrrolidine-1-carboxylic acid tert-butyl ester;
- 50) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 51) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 52) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 53) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 54) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 55) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 56) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonylmethyl]-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 57) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 58) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 59) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-fluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 60) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 61) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 62) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-p-tolylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 63) 3-(4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 64) 3-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 65) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 66) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 67) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 68) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-pyridine;
- 69) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 70) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 71) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 72) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 73) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 74) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 75) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-bis-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 76) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 77) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 78) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 79) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 80) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 81) 4-[5-Benzo[1,3]dioxol-5-yl-1-methyl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 82) 4-[4-Benzo[1,3]dioxol-5-yl-1-methyl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 83) {4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 84) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-phenoxy-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 85) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 86) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 87) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 88) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 89) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 90) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 91) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 92) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 93) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 94) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;

- 95) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 96) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 97) 4-[5-Benzo[1,3]dioxol-5-yl-1-hydroxy-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 98) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 99) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-pyridin-2-yl-methanesulfonamide;
- 100) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 101) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 102) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 103) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 104) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-bromo-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 105) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 106) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-2-trifluoromethyl-furan-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 107) 4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl-fluoride;
- 108) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-trifluoromethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 109) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-bromo-pyridin-2-yl)-1-hydroxy-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 110) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-bromo-pyridine;
- 111) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;

- 112) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 113) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-2H-imidazol-2-yl]-piperidine-1-sulfonic acid dimethylamide;
- 114) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-3-phenyl-propan-1-one;
- 115) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 116) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonitrile;
- 117) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylamine;
- 118) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-phenyl-methanesulfonamide;
- 119) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 120) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-pyridin-2-yl-methanesulfonamide;
- 121) 2-{5-Benzo[1,3]dioxol-5-yl-2-[4-(1H-tetrazol-5-yl)-bicyclo[2.2.2]oct-1-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 122) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 123) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 124) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 125) Thiophene-3-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 126) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 127) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 128) Methanesulfonic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl ester;

- 129) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetonitrile;
- 130) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetic acid;
- 131) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-methanesulfonamide;
- 132) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 133) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 134) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 135) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-phenyl-methanesulfonamide;
- 136) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 137) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzylamide;
- 138) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-2-ylmethyl)-amide;
- 139) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid 3-chloro-4-fluoro-benzylamide;
- 140) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (furan-2-ylmethyl)-amide;
- 141) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 142) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 143) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 144) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 145) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 146) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 147) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-2-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 148) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 149) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 150) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methylamide;
- 151) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid ethylamide;
- 152) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid butylamide;
- 153) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid isopropylamide;
- 154) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (3-imidazol-1-yl-propyl)-amide;
- 155) 2-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-phenylamine;
- 156) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1-methyl-5-methylsulfanyl-1H-[1,2,4]triazol-3-yl)-amide;
- 157) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid cyclohexylamide;
- 158) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-pyrrolidin-1-yl-methanone;
- 159) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dimethylamide;
- 160) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid diethylamide;
- 161) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dipropylamide;
- 162) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (5,7-difluoro-benzothiazol-2-yl)-amide;

- 163) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzothiazol-2-ylamide;
- 164) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1H-benzoimidazol-2-yl)-amide;
- 165) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (2-hydroxy-1-methyl-2-phenyl-ethyl)-amide;
- 166) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-4-ylmethyl)-amide;
- 167) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(3-chloro-phenyl)-methanone;
- 168) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-fluoro-phenyl)-methanone;
- 169) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-methoxy-phenyl)-methanone;
- 170) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-cyclopropyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 171) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 172) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 173) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-carbamic acid benzyl ester;
- 174) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydrazide;
- 175) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-acetamide;
- 176) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-methanesulfonamide;
- 177) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-phenyl-methanesulfonamide;
- 178) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 179) Propane-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;

- 180) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 181) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-4-yl-methanesulfonamide;
- 182) (4-Methoxy-benzyl)-{4-[5-(6-methyl-pyridin-2-yl)-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-amine;
- 183) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 184) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 185) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 186) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 187) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 188) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 189) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 190) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 191) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 192) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 193) N-{4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-sulfamide;
- 194) Sulfamic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl ester;
- 195) {4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 196) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-methanesulfonamide;

- 197) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-benzenesulfonamide;
- 198) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 199) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 200) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 201) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 202) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 203) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 204) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 205) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-methanesulfonamide;
- 206) 2,2,2-Trifluoro-N-{4-[4-(6-methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 207) 4-[4-(5-Fluoro-6-methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 208) {4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-(4-methoxy-benzyl)-amine;
- 209) 4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-ylamine;
- 210) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-ethyl-pyridine;
- 211) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 212) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 213) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;

- 214) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide.
- 215) 4-[2-(6-Methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 216) 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 217) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 218) 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine;
- 219) 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 220) 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine;
- 221) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine;
- 222) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 223) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 224) (2-Methoxy-ethyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 225) (3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-propyl)-carbamic acid tert-butyl ester;
- 226) (3-Imidazol-1-yl-propyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 227) (4-Methoxy-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 228) [2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 229) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 230) (4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-carbamic acid tert-butyl ester;
- 231) (4-Amino-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 232) (5-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-pentyl)-carbamic acid tert-butyl ester;
- 233) [3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 234) [3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-7-yl]-methanol;

- 235) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-morpholin-4-yl-ethyl)-amine;
- 236) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-2-yl-ethyl)-amine;
- 237) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-3-yl-ethyl)-amine;
- 238) [3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 239) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-4-yl-ethyl)-amine;
- 240) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(3-morpholin-4-yl-propyl)-amine;
- 241) [3-(4-Methyl-piperazin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 244) [3-(4-Methyl-piperidin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 245) [4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-yl]-pyridin-3-ylmethyl-amine;
- 246) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((R)-1-phenyl-ethyl)-amine;
- 247) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((S)-1-phenyl-ethyl)-amine;
- 248) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(1H-tetrazol-5-yl)-amine;
- 249) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2H-pyrazol-3-yl)-amine;
- 250) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-morpholin-4-yl-ethyl)-amine;
- 251) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-2-yl-ethyl)-amine;
- 252) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-3-yl-ethyl)-amine;
- 253) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-4-yl-ethyl)-amine;

- 254) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-morpholin-4-yl-propyl)-amine;
- 255) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-piperidin-1-yl-propyl)-amine;
- 256) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-[1,3,4]thiadiazol-2-yl-amine;
- 257) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 258) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 259) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 260) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 261) {7,7-Dimethyl-8-[5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-pentyl]-2-oxo-4-trifluoromethyl-7,8-dihydro-2H-1-oxa-8-aza-anthracen-5-yl}-methanesulfonic acid;
- 262) 2-(2,7-Difluoro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-3,5,6-trifluoro-4-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-methylsulfanyl]-benzoic acid;
- 263) -(6-Methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 264) 2-(6-Methyl-pyridin-2-yl)-3-(2-piperidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 265) 2-(6-Methyl-pyridin-2-yl)-3-(2-pyrrolidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 266) 2-(6-Methyl-pyridin-2-yl)-3-[2-(1H-tetrazol-5-yl)-pyrimidin-4-yl]-imidazo[1,2-a]pyridine;
- 267) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyridine;
- 268) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyrimidin-7-ylamine;
- 269) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-ylamine;
- 270) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 271) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid;
- 272) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;

- 273) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 274) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 275) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-methoxy-ethyl)-amide;
- 276) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 277) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide;
- 278) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid amide;
- 279) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid cyclopropylamide;
- 280) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ethylamide;
- 281) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid hydroxyamide;
- 282) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methoxy-amide;
- 283) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 284) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid;
- 285) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 286) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-amino-ethyl)-amide;
- 287) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 288) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-hydroxy-ethyl)-amide;
- 289) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-oxo-2-pyridin-3-yl-ethyl)-amide;

- 290) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 291) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (piperidin-3-ylmethyl)-amide;
- 292) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid 2,2-dimethylhydrazide;
- 293) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid amide;
- 294) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid cyclopropylamide;
- 295) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 296) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethylamide;
- 297) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid hydroxyamide;
- 298) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid methoxy-amide;
- 299) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 300) 3-(2-Azetidin-1-yl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 301) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 302) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 303) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-7-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 304) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-8-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 305) 3,3-Dimethyl-N-[2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-butyramide;
- 306) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 307) 3-(2-Methylsulfonyl-pyrimidin-4-yl)-2-pyridin-2-yl-imidazo[1,2-a]pyridine;

- 308) 3,6-Dichloro-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-2-(2,4,5,7-Tetrachloro-6-hydroxy-3-oxo-9,9a-dihydro-3 H-xanthen-9-yl)-terephthalamic acid;
- 309) 3-[2-(2-Methyl-aziridin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 310) 3-[2-(4-Methyl-piperazin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 311) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-amino}-propionic acid methyl ester;
- 312) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-amino}-propionic acid methyl ester;
- 313) 3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-phenol;
- 314) 4-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-benzenesulfonamide;
- 315) 4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 316) 4-[2-(6-Chloro-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 317) 4-[2-(6-Methyl-pyridin-2-yl)-7-trifluoromethyl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 318) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 319) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carbonitrile;
- 320) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carboxylic acid amide;
- 321) 4-[6-Bromo-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 322) 4-[6-Chloro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 323) 4-[6-Fluoro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 324) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-morpholin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 325) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-2-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 326) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-3-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;

- 327) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 328) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 329) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 330) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 331) 4-[7-Aminomethyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 332) 4-[7-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 333) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 334) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 335) 4-[8-Bromo-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 336) 4-[8-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 337) 6-Chloro-3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 338) 5-Dimethylamino-naphthalene-1-sulfonic acid (4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-amide;
- 339) 6-(2,7-Difluoro-6-hydroxy-3-oxo-3H-xanthen-9-yl)-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-isophthalamide;
- 340) 6-Amino-9-[2-carboxy-5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-phenyl]-xanthen-3-ylidene-ammonium;
- 341) 6-Bromo-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 342) 6-Fluoro-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 343) 7-Amino-4-methyl-3-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methyl]-2-oxo-2H-chromene-6-sulfonic acid;
- 344) Cyclobutyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;

- 345) Cyclopentyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 346) Cyclopropyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 347) Cyclopropyl-methyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 348) Dimethyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 349) Isopropyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350) Methyl- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350a) N-(2- {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-acetamide;
- 351) N-(4- {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-acetamide;
- 352) N,N-Dimethyl-N'- {4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-ethane-1,2-diamine;
- 353) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 354) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 355) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 356) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-methanesulfonamide;
- 357) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-methanesulfonamide;
- 358) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 359) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 360) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;

- 361) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 362) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 363) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 364) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 365) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 366) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 367) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 368) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 369) N-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide;
- 370) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-butane-1,4-diamine;
- 371) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-propane-1,3-diamine;
- 372) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(BODIPY FL) amide; and
- 373) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(Texas Red-X) amide
- 374) N-[3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 375) N-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide,
- 376) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propylamine,
- 377) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-acetamide,
- 378) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-methanesulfonamide,
- 379) dimethyl-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-amine,
- 380) 4-{3-pyridin-2-yl-1-[2-(1H-tetrazol-5-yl)-ethyl]-1H-pyrazol-4-yl}-quinoline,

- 381) 4-[3-(3-pyridin-2-yl-1H-pyrazol-4-yl)-(3-pyrrolidin-1-yl-propyl)-1H-pyrazol-4-yl]-quinoline,
- 382) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyridin-2-ylamine,
- 383) 2,4-dimethoxy-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 384) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionic acid,
- 385) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indole,
- 386) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-pyridine,
- 387) N-hydroxy-3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionamide,
- 388) 2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethylamine,
- 389) N-[2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethyl]-methanesulfonamide,
- 390) 2-methyl-4-methylsulfanyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 391) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridine,
- 392) 2-[4-(2,3-dihydro-benzofuran-5-yl)-1H-pyrazol-3-yl]-pyridine,
- 393) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[d]isoxazole,
- 394) 3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propionitrile,
- 395) N-{3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propyl}-methanesulfonamide,
- 396) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-6-methyl-pyridine,
- 397) [4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-acetonitrile,
- 398) N-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethyl}-methanesulfonamide,
- 399) 4-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-2-methylsulfanyl-pyrimidine,
- 400) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-phthalazin-1-one,
- 401) 1-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2,3-dihydro-indol-1-yl]-ethanone,
- 402) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 403) 3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 404) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-4H-benzo[1,4]oxazin-3-one,
- 405) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoxaline,
- 406) 3-(4-nitro-benzyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 407) 5-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 408) 4-methyl-7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3,4-dihydro-1H-benzo[e][1,4]diazepine-2,5-dione,
- 409) 2,3-dimethyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 410) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 410a) 1-methoxy-4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-isoquinoline,
- 411) 2-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,

- 411a) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-isoquinolin-1-one,
412) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-trifluoromethyl-pyridine,
412a) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-vinyl-pyridine,
413) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propenyl-pyridine,
414) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-ethyl-pyridine,
415) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propyl-pyridine,
416) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-cyclopropyl-pyridine,
417) 1-[6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridin-2-yl]-ethanol,
418) 4-methoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
419) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline,
420) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-ylamine,
421) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
422) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrido[1,2-a]pyrimidin-4-one,
423) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
424) 3-methyl-6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3H-quinazolin-4-one,
425) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid,
426) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
427) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
428) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-isopropyl-pyridine,
429) 2-(4-benzo[1,3]dioxol-5-yl-5-trifluoromethyl-1H-pyrazol-3-yl)-6-bromo-pyridine,
430) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
431) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
432) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
432a) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-methyl-3H-quinazolin-4-one,
433) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-b]pyridazine,
433a) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoline,
434) 6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-3-fluoro-2-methyl-pyridine,
435) 7-methoxy-3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
436) (4-morpholin-4-yl-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amine,
437) 4-isopropoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
438) 6-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-quinolin-4-ylamine,

- 439) {4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-carbamic acid benzyl ester,
- 440) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexylamine,
- 441) N-{4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-methanesulfonamide,
- 442) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 443) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 444) 1-tert-butyl-3-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-urea,
- 445) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]thiadiazole,
- 446) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]oxadiazole,
- 447) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-benzooxazole,
- 448) 4-morpholin-4-yl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
- 449) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 450) 4-(4-methoxy-phenyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
- 451) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-benzo[1,2,5]thiadiazole,
- 452) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzothiazole,
- 453) 3-(3-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
- 454) 5-methyl-thiophene-2-carboxylic acid [6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amide,
- 455) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-phenyl-benzo[c]isoxazole,
- 456) 3-(4-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
- 457) 3-(4-chloro-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
- 458) 3-(4-ethyl-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
- 459) (4-methoxy-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-methanone,
- 460) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3-thiophen-3-yl-benzo[c]isoxazole,
- 461) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid,
- 462) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid methylamide,
- 463) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid dimethylamide,
- 464) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid (2,2-dimethyl-propyl)-amide,
- 465) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid phenylamide,
- 466) morpholin-4-yl-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazol-3-yl]-methanone,
- 467) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid benzylamide,
- 468) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid cyclopentylamide;

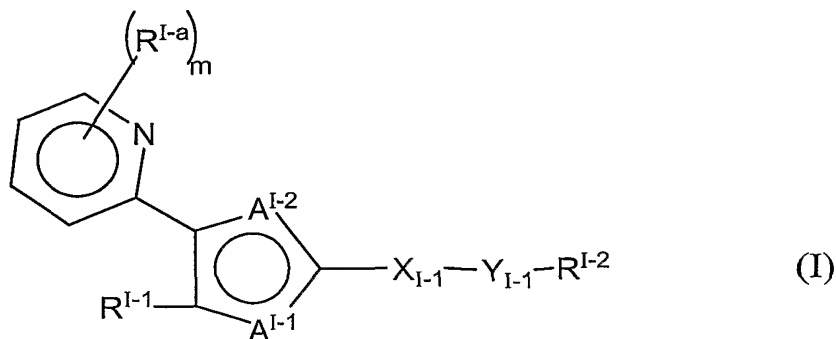
- 469) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzamide;
- 470) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzonitrile;
- 471) 4-[5-(2-methanesulfonyl-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl] - bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 472) 4-[5-(2-methoxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 473) 4-[5-(2-hydroxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 474) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 475) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 476) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 477) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 478) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 479) 4-[5-(2-amino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 480) {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 481) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 482) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 483) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 484) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 485) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 486) 6-[2-tert-butyl-5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;

- 487) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 488) {4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 489) 6-[5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 490) 6-[2-tert-butyl-5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 491) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 492) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 493) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 494) 4-[5-(2-methyl-pyrimidin-4-yl)-4-[1,2,4]triazolo[4,3-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 495) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 496) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 497) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 498) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexanol,
- 499) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol,
- 500) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-methyl-pyrimidine,
- 500a) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 501) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 502) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 502a) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 503) 6-[3-(2-cyclopropyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
- 504) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-trifluoromethyl-pyrimidine,
- 505) 7-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- or
- 506) 6-[3-(2-Trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoline.

9. The method of claim 1, wherein the inhibitor is administered locally.

10. The method of claim 1, wherein the inhibitor is administered via an implantable device.

11. The method of claim 10, wherein the device is a delivery pump.
12. The method of claim 10, wherein the device is a stent.
13. A method of inhibiting vascular remodeling by administering to a subject in need thereof an inhibitor to TGF β type I receptor or Alk4.
14. The method of claim 13, wherein the inhibitor has the structure shown in formula I:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{I-1} is aryl, heteroaryl, aralkyl, or heteroaralkyl;

each R^{I-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfenyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

X_{I-1} is cycloalkyl or heterocycloalkyl;

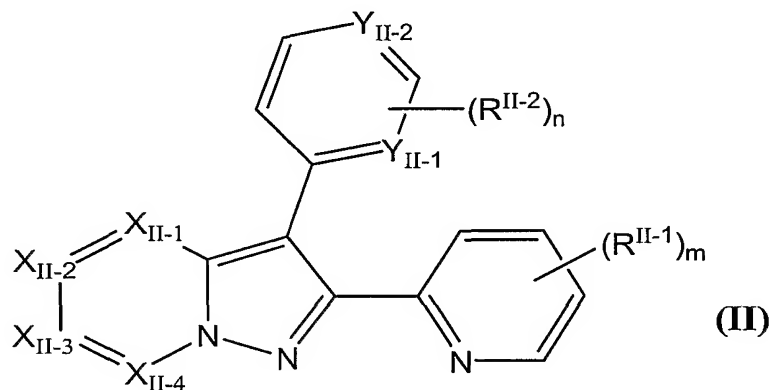
Y_{I-1} is a bond, $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^b)(R^c))_q-$, wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; p is 1 or 2; and q is 1-4;

R^{I-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{I-1} and A^{I-2} , independently, is O, S, N, or NR^b , provided that at least one of A^{I-1} and A^{I-2} is N; and

m is 0, 1, 2, or 3, and when $m \geq 2$, two adjacent R^{I-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

15. The method of claim 13, wherein the inhibitor has the structure shown in formula II:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} is independently CR^x or N, provided that no more than two of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} can be N simultaneously;

each of Y_{II-1} and Y_{II-2} is independently CR^y or N, provided that at least one of Y_{II-1} and Y_{II-2} must be N;

each R^{II-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

each R^{II-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH(alkyl-heterocycloalkyl)$, $-NH(alkyl-heteroaryl)$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaroyl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl,

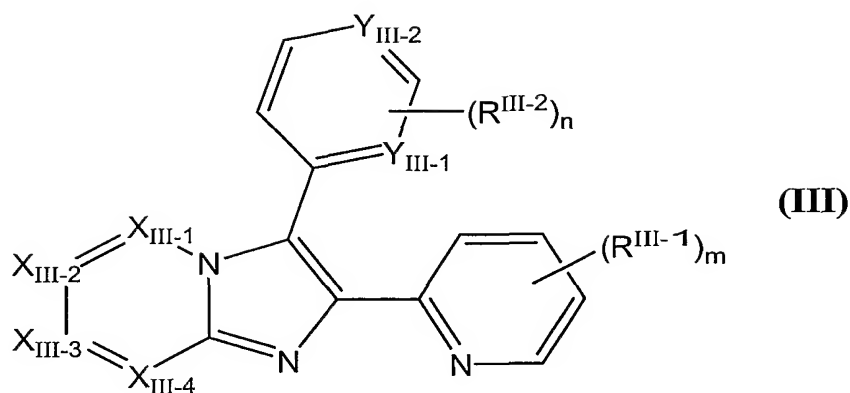
(heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^1 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^2 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^x and R^y is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

16. The method of claim 13, wherein the inhibitor has the structure shown in formula III



or a pharmaceutically acceptable salt or N-oxide thereof, wherein

each of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} is independently CR^{III-x} or N, provided that only two of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} can be N simultaneously;

each of Y_{III-1} and Y_{III-2} is independently CR^{III-y} or N, provided that at least one of Y_{III-1} and Y_{III-2} must be N;

each R^{III-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

each R^{III-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, (cycloalkyl)alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroaryl sulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl(alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino,

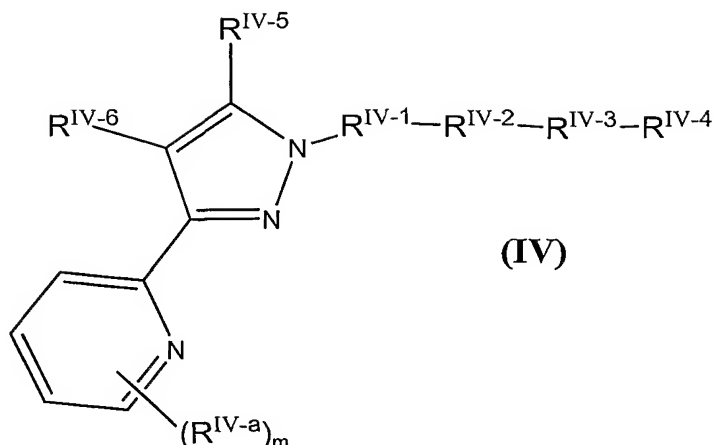
heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{III-1} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{III-2} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^{III-x} and R^{III-y} is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylaminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl; or a pharmaceutically acceptable salt or N-oxide thereof.

17. The method of claim 13, wherein the inhibitor has the structure shown in formula IV



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{IV-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

R^{IV-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, where each of $r1$ and $r2$ is independently 2 or 3;

R^{IV-2} is cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, or a bond;

R^{IV-3} is $-C(O)-$, $-C(O)O-$, $-OC(O)-$, $-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-$, $-O-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-O-$, $-O-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-O-$, $-N(R^{IV-b})-C(O)-N(R^{IV-c})-$, $-N(R^{IV-b})-S(O)_p-N(R^{IV-b})-$, $-C(O)-N(R^{IV-b})-S(O)_p-$, $-S(O)_p-N(R^{IV-b})-C(O)-$, $-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-$, $-N(R^{IV-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{IV-b})(R^{IV-c}))_q-$, or a bond; wherein each of R^{IV-b} and R^{IV-c} is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

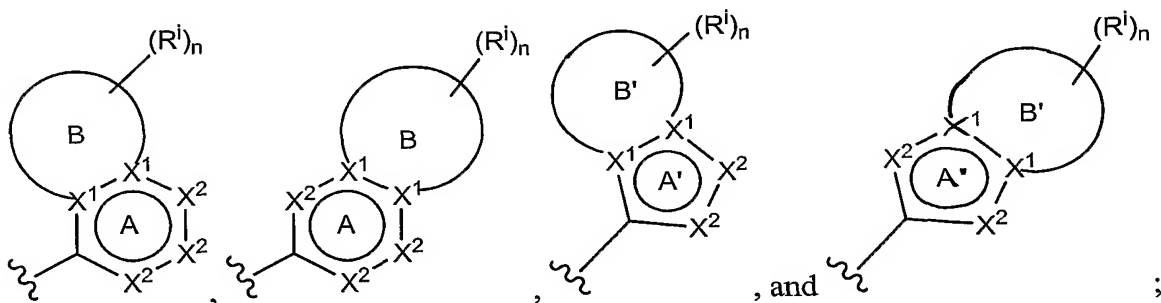
R^{IV-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{IV-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkyl,

heterocycloalkoxy, heterocycloalkylsulfinyl, aryl, aryloxy, arylsulfinyl, heteroaryl, heteroaryloxy, or heteroarylsulfinyl;

R^{IV-6} is (1) a 5- to 6-membered heterocyclyl containing 1-3 hetero ring atoms selected from the group consisting of $-O-$, $-S-$, $-N=$, and $-NR^{IV-d}-$, wherein R^{IV-d} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; said heterocyclyl being substituted with R^{IV-e} and optionally substituted with one to two R^{IV-f} ; where R^{IV-e} is oxo, thioxo, alkoxy, alkylsulfinyl, $-NH_2$, $-NH$ (unsubstituted alkyl), or $-N$ (unsubstituted alkyl)₂, and R^{IV-f} is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; or

(2) a fused ring heteroaryl selected from the group consisting of:



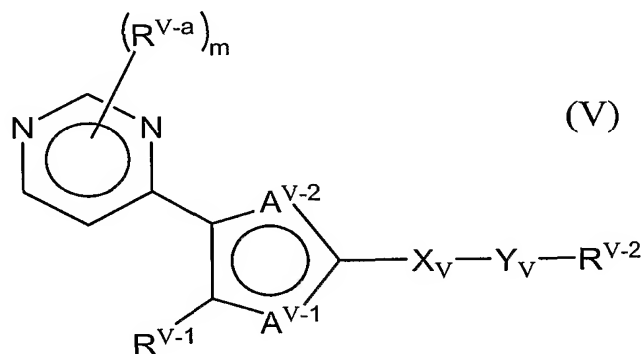
wherein ring A is an aromatic ring containing 0-4 hetero ring atoms, and ring B is a 5- to 7-membered aromatic or nonaromatic ring containing 0-4 hetero ring atoms, provided that at least one of ring A and ring B contains one or more hetero ring atoms; ring A' is an aromatic ring containing 0-4 hetero ring atoms, and ring B' is a 5- to 7-membered saturated or unsaturated ring containing 0-4 hetero ring atoms, provided that at least one of ring A' and ring B' contains one or more hetero ring atoms; each hetero ring atom is $-O-$, $-S-$, $-N=$, or $-NR^{IV-g}-$; each X^1 is independently N or C; each X^2 is independently $-O-$, $-S-$, $-N=$, $-NR^{IV-g}-$, or $-CHR^{IV-h}-$; wherein R^{IV-g} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; each of R^{IV-h} and R^{IV-i} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl,

cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl; and n is 0-2; and

m is 0-3, and when $m \geq 2$, two adjacent R^{IV-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

provided that if R^{IV-6} is 2-naphthyridinyl, 4-quinolinyl, imidazo[1,2-a]pyridyl, or benzimidazolyl, then $-R^{IV-1}-R^{IV-2}-R^{IV-3}-R^{IV-4}$ is not H, unsubstituted alkyl, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{H})-\text{alkyl}$, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{alkyl})_2$, or benzyl.

18. The method of claim 13, wherein the inhibitor has the structure shown in formula V



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{V-1} is heteroaryl;

each R^{V-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

X_V is cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or a bond;

Y_V is a bond, $-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{S}(\text{O})_p-\text{O}-$, $-\text{O}-\text{S}(\text{O})_p-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{O}-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{O}-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{N}(\text{R}^c)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$,

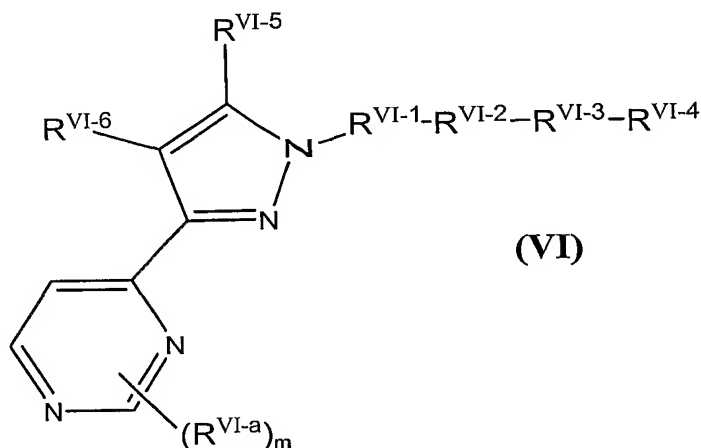
-C(O)-O-S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-N(R^c)-C(O)-, -N(R^b)-S(O)_p-O-C(O)-, -S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-, -N(R^b)-, -S(O)_p-, -O-, -S-, or -(C(R^b)(R^c))_q-, wherein each of R^b and R^c, independently, is hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

R^{V-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{V-1} and A^{V-2}, independently, is N or NR^b; and

m is 0, 1, 2, or 3, and when m ≥ 2, two adjacent R^{V-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety, provided that if X_v is a bond, then Y_v is a bond; R^{V-2} is hydrogen or alkyl; m is 1, 2, or 3; and at least one R^{V-a} is substituted at the 2-pyrimidinyl position.

19. The method of claim 13, wherein the inhibitor has the structure shown in formula VI:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{VI-a}, independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, -NH₂, -NH(unsubstituted alkyl), -N(unsubstituted alkyl)₂, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

R^{VI-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, wherein each of $r1$ and $r2$, independently, is 2 or 3;

R^{VI-2} is cycloalkylene, heterocycloalkylene, cycloalkenylene, heterocycloalkenylene, arylene, heteroarylene, or a bond;

R^{VI-3} is $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-C(O)-N(R^b)-O-$, $-O-N(R^b)-C(O)-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-S(O)_p-N(R^b)-O-$, $-O-N(R^b)-S(O)_p-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, $-(C(R^b)(R^c))_q-$, or a bond; wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; wherein p is 1 or 2 and q is 1-4;

R^{VI-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{VI-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryloxy, arylsulfinyl, heteroaryloxy, or heteroarylsulfinyl;

R^{VI-6} is a 5- to 6-membered monocyclic heterocyclyl or an 8- to 11-membered bicyclic heteroaryl; each being optionally substituted with alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; and

m is 0-3 and when $m \geq 2$, two adjacent R^{VI-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

20. The method of claim 13, wherein the inhibitor is

1) 4-(4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;

- 2) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 3) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 4) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 5) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 6) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 7) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 8) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 9) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 10) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 11) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 12) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 13) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 14) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzyl ester;
- 15) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2,4-dichloro-benzylamide;
- 16) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-yl]-ethanone;
- 17) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-furan-2-yl-methyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 18) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 19) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]cyclohexylamine;

- 20) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-phenyl-methanesulfonamide;
- 21) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 22) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 23) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamamic acid benzyl ester;
- 24) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-ethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 25) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-pyridine;
- 26) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-nitro-benzyl ester;
- 27) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4,5-dimethoxy-2-nitro-benzyl ester;
- 28) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 3-fluoro-benzylamide;
- 29) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-fluoro-benzylamide;
- 30) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzylamide;
- 31) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 32) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methyl-benzylamide;
- 33) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methoxy-benzylamide;
- 34) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzylamide;
- 35) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzoic acid;
- 36) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid amide;
- 37) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzonitrile;

- 38) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 39) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 40) {5-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-dimethyl-amine;
- 41) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 42) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 43) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 44) 1-{4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-phenyl}-ethanone;
- 45) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 46) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-fluoro-5-trifluoromethyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 47) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-cyclohexylmethyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 48) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-cyclopropanecarboxylic acid ethyl ester;
- 49) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-pyrrolidine-1-carboxylic acid tert-butyl ester;
- 50) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 51) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 52) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 53) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 54) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 55) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 56) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonylmethyl]-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 57) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 58) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 59) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-fluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 60) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 61) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 62) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-p-tolylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 63) 3-(4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 64) 3-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 65) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 66) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 67) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 68) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-pyridine;
- 69) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 70) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 71) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 72) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 73) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 74) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 75) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-bis-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 76) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 77) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 78) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 79) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 80) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 81) 4-[5-Benzo[1,3]dioxol-5-yl-1-methyl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 82) 4-[4-Benzo[1,3]dioxol-5-yl-1-methyl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 83) {4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 84) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-phenoxy-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 85) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 86) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 87) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 88) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 89) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 90) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 91) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 92) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 93) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 94) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 95) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 96) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 97) 4-[5-Benzo[1,3]dioxol-5-yl-1-hydroxy-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 98) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 99) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-pyridin-2-yl-methanesulfonamide;
- 100) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 101) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 102) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 103) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 104) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-bromo-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 105) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 106) 2- {5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-2-trifluoromethyl-furan-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 107) 4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl-fluoride;
- 108) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-trifluoromethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 109) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-bromo-pyridin-2-yl)-1-hydroxy-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 110) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-bromo-pyridine;
- 111) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 112) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 113) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-2H-imidazol-2-yl]-piperidine-1-sulfonic acid dimethylamide;
- 114) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-3-phenyl-propan-1-one;
- 115) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 116) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonitrile;
- 117) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylamine;
- 118) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-phenyl-methanesulfonamide;
- 119) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 120) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-pyridin-2-yl-methanesulfonamide;
- 121) 2-{5-Benzo[1,3]dioxol-5-yl-2-[4-(1H-tetrazol-5-yl)-bicyclo[2.2.2]oct-1-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 122) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;

- 123) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 124) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 125) Thiophene-3-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 126) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 127) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 128) Methanesulfonic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl ester;
- 129) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetonitrile;
- 130) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetic acid;
- 131) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-methanesulfonamide;
- 132) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 133) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 134) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 135) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-phenyl-methanesulfonamide;
- 136) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 137) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzylamide;
- 138) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-2-ylmethyl)-amide;
- 139) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid 3-chloro-4-fluoro-benzylamide;

- 140) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (furan-2-ylmethyl)-amide;
- 141) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 142) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 143) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 144) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 145) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 146) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 147) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-2-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 148) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 149) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 150) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methylamide;
- 151) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid ethylamide;
- 152) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid butylamide;
- 153) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid isopropylamide;
- 154) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (3-imidazol-1-yl-propyl)-amide;
- 155) 2-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-phenylamine;
- 156) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1-methyl-5-methylsulfanyl-1H-[1,2,4]triazol-3-yl)-amide;

- 157) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid cyclohexylamide;
- 158) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-pyrrolidin-1-yl-methanone;
- 159) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dimethylamide;
- 160) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid diethylamide;
- 161) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dipropylamide;
- 162) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (5,7-difluoro-benzothiazol-2-yl)-amide;
- 163) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzothiazol-2-ylamide;
- 164) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1H-benzoimidazol-2-yl)-amide;
- 165) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (2-hydroxy-1-methyl-2-phenyl-ethyl)-amide;
- 166) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-4-ylmethyl)-amide;
- 167) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(3-chloro-phenyl)-methanone;
- 168) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-fluoro-phenyl)-methanone;
- 169) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-methoxy-phenyl)-methanone;
- 170) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-cyclopropyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 171) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 172) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 173) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-carbamic acid benzyl ester;

- 174) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydrazide;
- 175) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-acetamide;
- 176) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-methanesulfonamide;
- 177) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-phenyl-methanesulfonamide;
- 178) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 179) Propane-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 180) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 181) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-4-yl-methanesulfonamide;
- 182) (4-Methoxy-benzyl)-{4-[5-(6-methyl-pyridin-2-yl)-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-amine;
- 183) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 184) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 185) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 186) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 187) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 188) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 189) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 190) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;

- 191) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 192) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 193) N-{4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-sulfamide;
- 194) Sulfamic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl ester;
- 195) {4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 196) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-methanesulfonamide;
- 197) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-benzenesulfonamide;
- 198) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 199) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 200) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 201) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 202) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 203) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 204) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 205) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-methanesulfonamide;
- 206) 2,2,2-Trifluoro-N-{4-[4-(6-methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 207) 4-[4-(5-Fluoro-6-methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

- 208) {4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-(4-methoxy-benzyl)-amine;
- 209) 4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-ylamine;
- 210) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-ethyl-pyridine;
- 211) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 212) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 213) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 214) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-acetamide.
- 215) 4-[2-(6-Methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 216) 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 217) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 218) 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine;
- 219) 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 220) 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine;
- 221) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine;
- 222) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 223) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 224) (2-Methoxy-ethyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 225) (3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-propyl)-carbamic acid tert-butyl ester;
- 226) (3-Imidazol-1-yl-propyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 227) (4-Methoxy-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 228) [2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;

- 229) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 230) (4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-carbamic acid tert-butyl ester;
- 231) (4-Amino-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 232) (5-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-pentyl)-carbamic acid tert-butyl ester;
- 233) [3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 234) [3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-7-yl]-methanol;
- 235) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-morpholin-4-yl-ethyl)-amine;
- 236) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-2-yl-ethyl)-amine;
- 237) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-3-yl-ethyl)-amine;
- 238) [3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 239) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-4-yl-ethyl)-amine;
- 240) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(3-morpholin-4-yl-propyl)-amine;
- 241) [3-(4-Methyl-piperazin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 244) [3-(4-Methyl-piperidin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 245) [4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-yl]-pyridin-3-ylmethylamine;
- 246) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((R)-1-phenyl-ethyl)-amine;
- 247) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((S)-1-phenyl-ethyl)-amine;

- 248) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(1H-tetrazol-5-yl)-amine;
- 249) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2H-pyrazol-3-yl)-amine;
- 250) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-morpholin-4-yl-ethyl)-amine;
- 251) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-2-yl-ethyl)-amine;
- 252) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-3-yl-ethyl)-amine;
- 253) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-4-yl-ethyl)-amine;
- 254) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-morpholin-4-yl-propyl)-amine;
- 255) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-piperidin-1-yl-propyl)-amine;
- 256) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-[1,3,4]thiadiazol-2-yl)-amine;
- 257) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 258) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 259) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 260) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 261) {7,7-Dimethyl-8-[5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-pentyl]-2-oxo-4-trifluoromethyl-7,8-dihydro-2H-1-oxa-8-aza-anthracen-5-yl}-methanesulfonic acid;
- 262) 2-(2,7-Difluoro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-3,5,6-trifluoro-4-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-methylsulfanyl]-benzoic acid;
- 263) -(6-Methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 264) 2-(6-Methyl-pyridin-2-yl)-3-(2-piperidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 265) 2-(6-Methyl-pyridin-2-yl)-3-(2-pyrrolidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;

- 266) 2-(6-Methyl-pyridin-2-yl)-3-[2-(1H-tetrazol-5-yl)-pyrimidin-4-yl]-imidazo[1,2-a]pyridine;
- 267) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyridine;
- 268) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyrimidin-7-ylamine;
- 269) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-ylamine;
- 270) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 271) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid;
- 272) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 273) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 274) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 275) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-methoxy-ethyl)-amide;
- 276) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 277) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide;
- 278) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid amide;
- 279) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid cyclopropylamide;
- 280) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ethylamide;
- 281) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid hydroxyamide;
- 282) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methoxy-amide;
- 283) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;

- 284) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid;
- 285) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 286) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-amino-ethyl)-amide;
- 287) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 288) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-hydroxy-ethyl)-amide;
- 289) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-oxo-2-pyridin-3-yl-ethyl)-amide;
- 290) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 291) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (piperidin-3-ylmethyl)-amide;
- 292) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid 2,2-dimethylhydrazide;
- 293) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid amide;
- 294) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid cyclopropylamide;
- 295) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 296) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethylamide;
- 297) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid hydroxyamide;
- 298) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid methoxy-amide;
- 299) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 300) 3-(2-Azetidin-1-yl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 301) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;

- 302) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 303) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-7-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 304) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-8-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 305) 3,3-Dimethyl-N-[2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-butyramide;
- 306) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 307) 3-(2-Methylsulfonyl-pyrimidin-4-yl)-2-pyridin-2-yl-imidazo[1,2-a]pyridine;
- 308) 3,6-Dichloro-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-2-(2,4,5,7-Tetrachloro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-terephthalamic acid;
- 309) 3-[2-(2-Methyl-aziridin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 310) 3-[2-(4-Methyl-piperazin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 311) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-amino}-propionic acid methyl ester;
- 312) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-amino}-propionic acid methyl ester;
- 313) 3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-phenol;
- 314) 4-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-benzenesulfonamide;
- 315) 4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 316) 4-[2-(6-Chloro-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 317) 4-[2-(6-Methyl-pyridin-2-yl)-7-trifluoromethyl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 318) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 319) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carbonitrile;
- 320) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carboxylic acid amide;

- 321) 4-[6-Bromo-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 322) 4-[6-Chloro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 323) 4-[6-Fluoro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 324) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-morpholin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 325) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-2-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 326) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-3-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 327) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 328) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 329) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 330) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 331) 4-[7-Aminomethyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 332) 4-[7-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 333) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 334) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 335) 4-[8-Bromo-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 336) 4-[8-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 337) 6-Chloro-3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 338) 5-Dimethylamino-naphthalene-1-sulfonic acid (4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-amide;

- 339) 6-(2,7-Difluoro-6-hydroxy-3-oxo-3H-xanthen-9-yl)-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-isophthalamide acid;
- 340) 6-Amino-9-[2-carboxy-5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-phenyl]-xanthen-3-ylidene-ammonium;
- 341) 6-Bromo-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 342) 6-Fluoro-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 343) 7-Amino-4-methyl-3-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methyl]-2-oxo-2H-chromene-6-sulfonic acid;
- 344) Cyclobutyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 345) Cyclopentyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 346) Cyclopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 347) Cyclopropyl-methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 348) Dimethyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 349) Isopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350) Methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350a) N-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-acetamide;
- 351) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-acetamide;
- 352) N,N-Dimethyl-N'-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-ethane-1,2-diamine;
- 353) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 354) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;

- 355) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 356) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-methanesulfonamide;
- 357) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-methanesulfonamide;
- 358) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 359) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 360) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 361) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 362) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 363) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 364) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 365) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 366) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 367) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 368) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 369) N-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide;
- 370) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-butane-1,4-diamine;
- 371) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-propane-1,3-diamine;

- 372) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(BODIPY FL) amide; and
- 373) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(Texas Red-X) amide
- 374) N-[3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 375) N-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide.
- 376) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propylamine,
- 377) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-acetamide,
- 378) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-methanesulfonamide,
- 379) dimethyl-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-amine,
- 380) 4-{3-pyridin-2-yl-1-[2-(1H-tetrazol-5-yl)-ethyl]-1H-pyrazol-4-yl}-quinoline,
- 381) 4-[3-pyridin-2-yl-1-(3-pyrrolidin-1-yl-propyl)-1H-pyrazol-4-yl]-quinoline,
- 382) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyridin-2-ylamine,
- 383) 2,4-dimethoxy-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 384) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionic acid,
- 385) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indole,
- 386) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-pyridine,
- 387) N-hydroxy-3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionamide,
- 388) 2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethylamine,
- 389) N-[2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethyl]-methanesulfonamide,
- 390) 2-methyl-4-methylsulfanyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 391) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridine,
- 392) 2-[4-(2,3-dihydro-benzofuran-5-yl)-1H-pyrazol-3-yl]-pyridine,
- 393) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[d]isoxazole,
- 394) 3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propionitrile,
- 395) N-{3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propyl}-methanesulfonamide,
- 396) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-6-methyl-pyridine,
- 397) [4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-acetonitrile,
- 398) N-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethyl}-methanesulfonamide,
- 399) 4-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-2-methylsulfanyl-pyrimidine,
- 400) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-phthalazin-1-one,

- 401) 1-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2,3-dihydro-indol-1-yl]-ethanone,
 402) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 403) 3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 404) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-4H-benzo[1,4]oxazin-3-one,
 405) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoxaline,
 406) 3-(4-nitro-benzyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 407) 5-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 408) 4-methyl-7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3,4-dihydro-1H-benzo[e][1,4]diazepine-2,5-dione,
 409) 2,3-dimethyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 410) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 410a) 1-methoxy-4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-isoquinoline,
 411) 2-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 411a) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-isoquinolin-1-one,
 412) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-trifluoromethyl-pyridine,
 412a) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-vinyl-pyridine,
 413) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propenyl-pyridine,
 414) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-ethyl-pyridine,
 415) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propyl-pyridine,
 416) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-cyclopropyl-pyridine,
 417) 1-[6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridin-2-yl]-ethanol,
 418) 4-methoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
 419) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline,
 420) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-ylamine,
 421) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 422) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrido[1,2-a]pyrimidin-4-one,
 423) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 424) 3-methyl-6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3H-quinazolin-4-one,
 425) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid,
 426) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
 427) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
 428) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-isopropyl-pyridine,

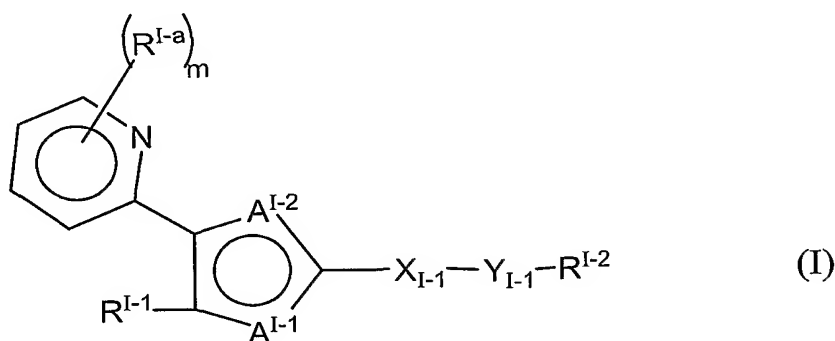
- 429) 2-(4-benzo[1,3]dioxol-5-yl-5-trifluoromethyl-1H-pyrazol-3-yl)-6-bromo-pyridine,
 430) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 431) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 432) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
 432a) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-methyl-3H-quinazolin-4-one,
 433) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-b]pyridazine,
 433a) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoline,
 434) 6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-3-fluoro-2-methyl-pyridine,
 435) 7-methoxy-3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 436) (4-morpholin-4-yl-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amine,
 437) 4-isopropoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
 438) 6-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-quinolin-4-ylamine,
 439) {4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
 carbamic acid benzyl ester,
 440) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexylamine,
 441) N-{4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
 methanesulfonamide,
 442) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
 443) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 444) 1-tert-butyl-3-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-urea,
 445) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]thiadiazole,
 446) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]oxadiazole,
 447) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-benzooxazole,
 448) 4-morpholin-4-yl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
 449) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
 450) 4-(4-methoxy-phenyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
 451) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-benzo[1,2,5]thiadiazole,
 452) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzothiazole,
 453) 3-(3-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
 454) 5-methyl-thiophene-2-carboxylic acid [6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amide,
 455) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-phenyl-benzo[c]isoxazole,
 456) 3-(4-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
 457) 3-(4-chloro-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
 458) 3-(4-ethyl-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,

- 459) (4-methoxy-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-methanone,
460) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3-thiophen-3-yl-benzo[c]isoxazole,
461) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid,
462) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid methylamide,
463) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid dimethylamide,
464) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid (2,2-dimethyl-propyl)-amide,
465) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid phenylamide,
466) morpholin-4-yl-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazol-3-yl]-methanone,
467) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid benzylamide,
468) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid cyclopentylamide;
469) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzamide;
470) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzonitrile;
471) 4-[5-(2-methanesulfonyl-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
472) 4-[5-(2-methoxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
473) 4-[5-(2-hydroxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
474) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
475) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
476) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
477) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
478) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
479) 4-[5-(2-amino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
480) {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;

- 481) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 482) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 483) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 484) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 485) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 486) 6-[2-tert-butyl-5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 487) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 488) {4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 489) 6-[5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 490) 6-[2-tert-butyl-5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 491) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 492) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 493) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 494) 4-[5-(2-methyl-pyrimidin-4-yl)-4-[1,2,4]triazolo[4,3-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 495) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 496) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 497) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 498) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexanol;
- 499) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 500) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-methyl-pyrimidine;
- 500a) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine;

- 501) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 502) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 502a) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 503) 6-[3-(2-cyclopropyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 504) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-trifluoromethyl-pyrimidine,
 505) 7-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 or
 506) 6-[3-(2-Trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoline.

21. The method of claim 13, wherein the inhibitor is administered locally.
 22. The method of claim 13, wherein the inhibitor is administered via an implantable device.
 23. The method of claim 22, wherein the device is a delivery pump.
 24. The method of claim 22, wherein the device is a stent.
 25. An implantable device comprising an inhibitor of TGF β type I receptor or Alk4.
 26. The device of claim 25, wherein the inhibitor has the structure shown in formula I:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{I-1} is aryl, heteroaryl, aralkyl, or heteroaralkyl;

each R^{I-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

X_{I-1} is cycloalkyl or heterocycloalkyl;

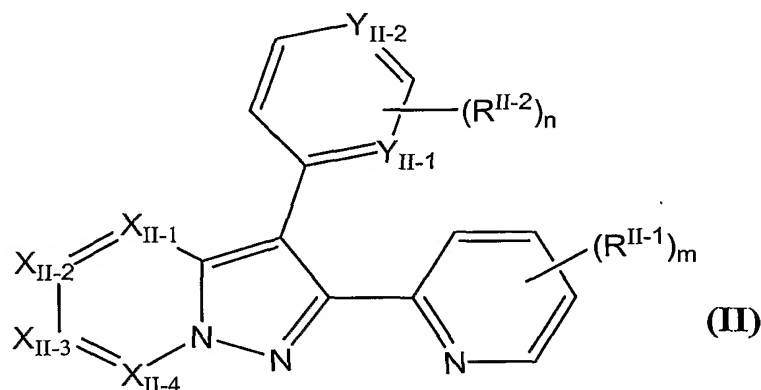
\bar{Y}_{I-1} is a bond, $-\bar{C}(O)-$, $-\bar{C}(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^b)(R^c))_q-$, wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; p is 1 or 2; and q is 1-4;

R^{I-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{I-1} and A^{I-2} , independently, is O, S, N, or NR^b , provided that at least one of A^{I-1} and A^{I-2} is N; and

m is 0, 1, 2, or 3, provided that when $m \geq 2$, two adjacent R^{I-a} groups can optionally together to form a 4- to 8-membered optionally substituted cyclic moiety.

27. The device of claim 25, wherein the inhibitor has the structure shown in formula II:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} is independently CR^x or N, provided that no more than two of X_{II-1} , X_{II-2} , X_{II-3} , and X_{II-4} can be N simultaneously;

each of Y_{II-1} and Y_{II-2} is independently CR^y or N, provided that at least one of Y_{II-1} and Y_{II-2} must be N;

each R^{II-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy,

heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

each R^{1-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

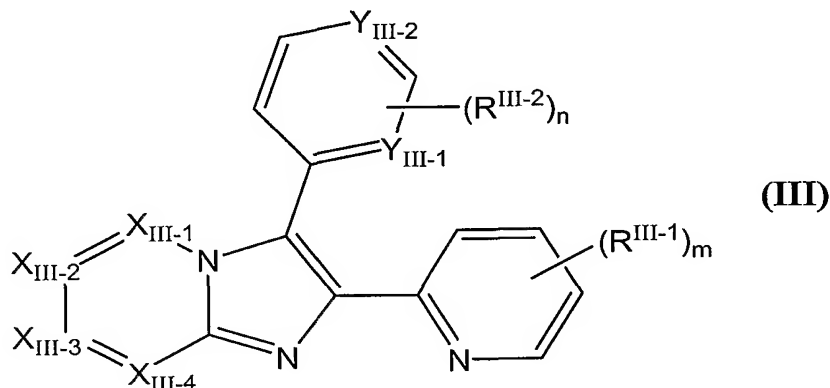
m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^1 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^2 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^x and R^y is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl,

(cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

28.. The device of claim 25, wherein the inhibitor has the structure shown in formula III



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each of X^{III-1}, X^{III-2}, X^{III-3}, and X^{III-4} is independently CR^{III-x} or N, provided that only two of X^{III-1}, X^{III-2}, X^{III-3}, and X^{III-4} can be N simultaneously;

each of Y^{III-1} and Y^{III-2} is independently CR^{III-y} or N, provided that at least one of Y^{III-1} and Y^{III-2} must be N;

each R^{III-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfanyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy-carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

each R^{III-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, -NH₂, -NH(alkyl), -N(alkyl)₂, -NH(cycloalkyl), -N(alkyl)(cycloalkyl), -NH(heterocycloalkyl), -NH(heteroaryl), -NH-alkyl-heterocycloalkyl, -NH-alkyl-heteroaryl, -NH(aralkyl), cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, (cycloalkyl)alkoxy, aryloxy, arylalkoxy,

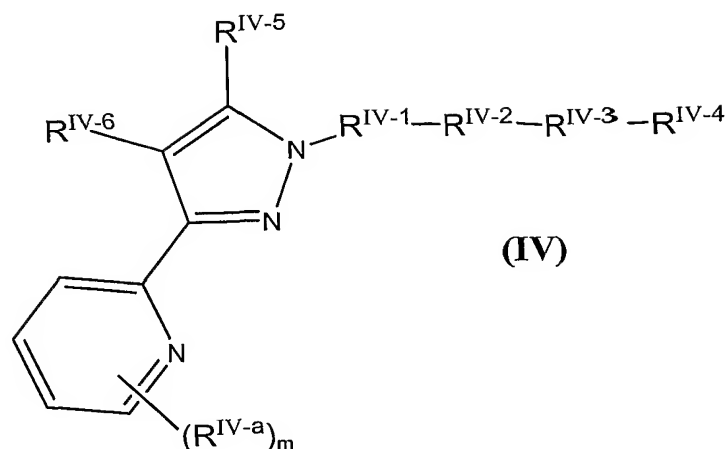
heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylalkylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl(alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{III-1} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{III-2} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^{III-x} and R^{III-y} is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylalkyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl.

29. The device of claim 25, wherein the inhibitor has the structure shown in formula IV



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{IV-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

R^{IV-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, wherein each of $r1$ and $r2$ is independently 2 or 3;

R^{IV-2} is cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, or a bond;

R^{IV-3} is $-C(O)-$, $-C(O)O-$, $-OC(O)-$, $-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-$, $-O-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-O-$, $-O-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-O-$, $-N(R^{IV-b})-C(O)-N(R^{IV-c})-$, $-N(R^{IV-b})-S(O)_p-N(R^{IV-b})-$, $-C(O)-N(R^{IV-b})-S(O)_p-$, $-S(O)_p-N(R^{IV-b})-C(O)-$, $-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-$, $-N(R^{IV-b})-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^{IV-b})(R^{IV-c}))_q-$, or a bond; wherein each of R^{IV-b} and R^{IV-c} is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; and p is 1 or 2 and q is 1-4;

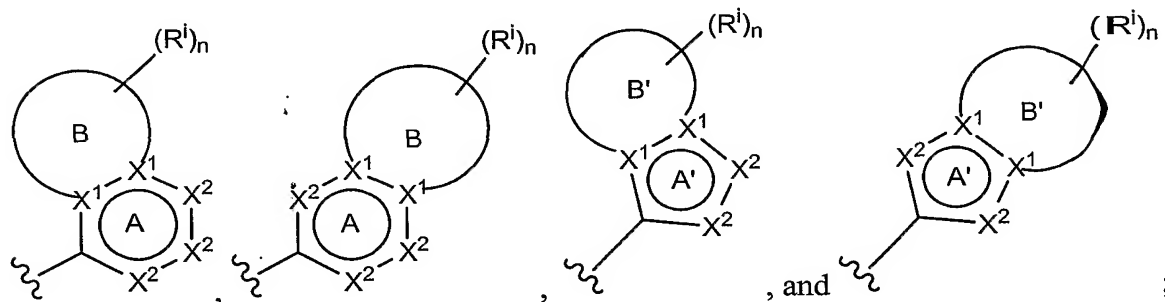
R^{IV-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{IV-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkyl,

heterocycloalkoxy, heterocycloalkylsulfinyl, aryl, aryloxy, arylsulfinyl, heteroaryl, heteroaryloxy, or heteroarylsulfinyl;

R^{IV-6} is (1) a 5- to 6-membered heterocyclyl containing 1-3 hetero ring atoms selected from the group consisting of $-O-$, $-S-$, $-N=$, and $-NR^{IV-d}-$, wherein R^{IV-d} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; said heterocyclyl being substituted with R^{IV-e} and optionally substituted with one to two R^{IV-f} ; wherein R^{IV-e} is oxo, thioxo, alkoxy, alkylsulfinyl, $-NH_2$, $-NH$ (unsubstituted alkyl), or $-N$ (unsubstituted alkyl)₂, and R^{IV-f} is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; or

(2) a fused ring heteroaryl selected from the group consisting of:



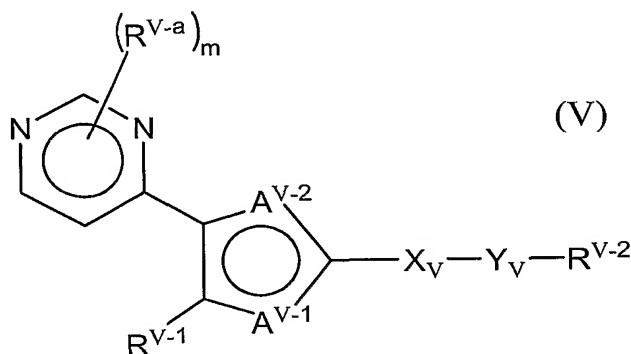
wherein ring A is an aromatic ring containing 0-4 hetero ring atoms and ring B is a 5- to 7-membered aromatic or nonaromatic ring containing 0-4 hetero ring atoms, provided that at least one of ring A and ring B contains one or more hetero ring atoms; ring A' is an aromatic ring containing 0-4 hetero ring atoms and ring B' is a 5- to 7-membered saturated or unsaturated ring containing 0-4 hetero ring atoms, provided that at least one of ring A' and ring B' contains one or more hetero ring atoms; each hetero ring atom is $-O-$, $-S-$, $-N=$, or $-NR^{IV-g}-$; each X^1 is independently N or C; each X^2 is independently $-O-$, $-S-$, $-N=$, $-NR^{IV-g}-$, or $-CHR^{IV-h}-$; where R^{IV-g} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; each of R^{IV-h} and R^{IV-i} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl,

cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl; and n is 0-2; and

m is 0-3, and when $m \geq 2$, two adjacent R^{IV-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

provided that if R^{IV-6} is 2-naphthyridinyl, 4-quinolinyl, imidazo[1,2-a]pyridyl, or benzimidazolyl, then $-R^{IV-1}-R^{IV-2}-R^{IV-3}-R^{IV-4}$ is not H, unsubstituted alkyl, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{H})-\text{alkyl}$, $-\text{CH}_2-\text{C}(\text{O})-\text{N}(\text{alkyl})_2$, or benzyl.

30. The device of claim 25, wherein the inhibitor has the structure shown in formula V



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

R^{V-1} is heteroaryl;

each R^{V-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy-carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

X_V is cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or a bond;

Y_V is a bond, $-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{S}(\text{O})_p-\text{O}-$, $-\text{O}-\text{S}(\text{O})_p-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{C}(\text{O})-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{O}-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{O}-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{O}-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{O}-$, $-\text{O}-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{N}(\text{R}^b)-\text{C}(\text{O})-\text{N}(\text{R}^c)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-\text{C}(\text{O})-$, $-\text{C}(\text{O})-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-$,

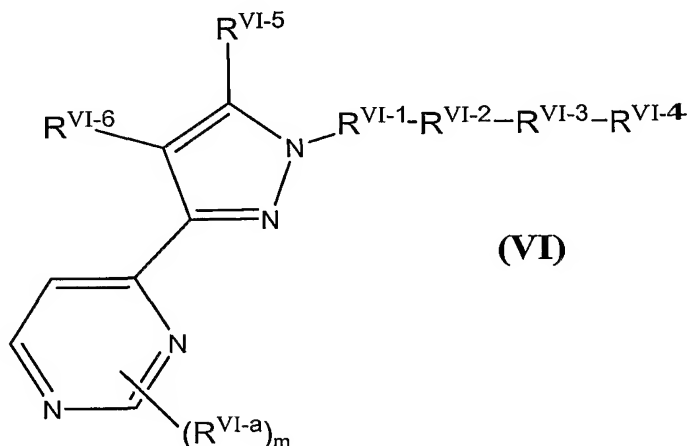
$-\text{C}(\text{O})-\text{O}-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{N}(\text{R}^c)-\text{C}(\text{O})-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-\text{O}-\text{C}(\text{O})-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^b)-$, $-\text{N}(\text{R}^b)-\text{S}(\text{O})_p-$, $-\text{N}(\text{R}^b)-$, $-\text{S}(\text{O})_p-$, $-\text{O}-$, $-\text{S}-$, or $-(\text{C}(\text{R}^b)(\text{R}^c))_q-$, wherein each of R^b and R^c , independently, is hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; p is 1 or 2 and q is 1-4;

R^{V-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{V-1} and A^{V-2} , independently, is N or NR^b ; and

m is 0, 1, 2, or 3, and when $m \geq 2$, two adjacent R^{V-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety, provided that if X_v is a bond, then Y_v is a bond; R^{V-2} is hydrogen or alkyl; m is 1, 2, or 3; and at least one R^{V-a} is substituted at the 2-pyrimidinyl position.

31. The device of claim 25, wherein the inhibitor has the structure shown in formula VI:



or an N-oxide or a pharmaceutically acceptable salt thereof, wherein

each R^{VI-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, $-\text{NH}_2$, $-\text{NH}(\text{unsubstituted alkyl})$, $-\text{N}(\text{unsubstituted alkyl})_2$, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroaryl sulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

R^{VI-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, where each of $r1$ and $r2$, independently, is 2 or 3;

R^{VI-2} is cycloalkylene, heterocycloalkylene, cycloalkenylene, heterocycloalkenylene, arylene, heteroarylene, or a bond;

R^{VI-3} is $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-C(O)-N(R^b)-O-$, $-O-N(R^b)-C(O)-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-S(O)_p-N(R^b)-O-$, $-O-N(R^b)-S(O)_p-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, $-(C(R^b)(R^c))_q-$, or a bond; wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; and p is 1 or 2 and q is 1-4;

R^{VI-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{VI-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryloxy, arylsulfinyl, heteroaryloxy, or heteroarylsulfinyl;

R^{VI-6} is a 5- to 6-membered monocyclic heterocyclyl or a 8- to 11-membered bicyclic heteroaryl; each being optionally substituted with alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; and

m is 0-3, and when $m \geq 2$, two adjacent R^{VI-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

32. The device of claim 25, wherein the inhibitor is

1) 4-(4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;

- 2) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 3) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 4) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 5) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 6) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 7) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 8) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 9) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 10) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 11) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 12) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 13) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 14) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzyl ester;
- 15) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2,4-dichloro-benzylamide;
- 16) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-yl]-ethanone;
- 17) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-furan-2-yl-methyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 18) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 19) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]cyclohexylamine;

- 20) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-phenyl-methanesulfonamide;
- 21) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 22) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 23) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 24) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-ethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 25) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-pyridine;
- 26) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-nitro-benzyl ester;
- 27) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4,5-dimethoxy-2-nitro-benzyl ester;
- 28) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 3-fluoro-benzylamide;
- 29) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-fluoro-benzylamide;
- 30) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzylamide;
- 31) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 32) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methyl-benzylamide;
- 33) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methoxy-benzylamide;
- 34) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzylamide;
- 35) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzoic acid;
- 36) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid amide;
- 37) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzonitrile;

- 38) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 39) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 40) {5-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-dimethyl-amine;
- 41) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 42) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 43) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 44) 1-{4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-phenyl}-ethanone;
- 45) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 46) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-fluoro-5-trifluoromethyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 47) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-cyclohexylmethyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 48) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-cyclopropanecarboxylic acid ethyl ester;
- 49) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-pyrrolidine-1-carboxylic acid tert-butyl ester;
- 50) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 51) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 52) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 53) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 54) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 55) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 56) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonylmethyl]-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 57) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 58) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 59) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-fluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 60) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 61) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 62) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-p-tolylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 63) 3-(4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 64) 3-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 65) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 66) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 67) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 68) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-pyridine;
- 69) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 70) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 71) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 72) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 73) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 74) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 75) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-bis-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 76) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 77) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 78) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 79) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 80) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 81) 4-[5-Benzo[1,3]dioxol-5-yl-1-methyl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 82) 4-[4-Benzo[1,3]dioxol-5-yl-1-methyl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 83) {4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 84) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-phenoxy-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 85) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 86) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 87) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 88) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 89) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 90) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 91) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 92) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 93) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 94) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 95) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 96) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 97) 4-[5-Benzo[1,3]dioxol-5-yl-1-hydroxy-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 98) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 99) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-pyridin-2-yl-methanesulfonamide;
- 100) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 101) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 102) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 103) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 104) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-bromo-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 105) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 106) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-2-trifluoromethyl-furan-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 107) 4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl-fluoride;
- 108) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-trifluoromethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 109) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-bromo-pyridin-2-yl)-1-hydroxy-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 110) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-bromo-pyridine;
- 111) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 112) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 113) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-2H-imidazol-2-yl]-piperidine-1-sulfonic acid dimethylamide;
- 114) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-3-phenyl-propan-1-one;
- 115) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 116) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonitrile;
- 117) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylamine;
- 118) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-phenyl-methanesulfonamide;
- 119) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 120) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-pyridin-2-yl-methanesulfonamide;
- 121) 2-{5-Benzo[1,3]dioxol-5-yl-2-[4-(1H-tetrazol-5-yl)-bicyclo[2.2.2]oct-1-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 122) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;

- 123) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 124) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 125) Thiophene-3-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 126) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 127) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 128) Methanesulfonic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl ester;
- 129) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetonitrile;
- 130) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetic acid;
- 131) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-methanesulfonamide;
- 132) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 133) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 134) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 135) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-phenyl-methanesulfonamide;
- 136) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 137) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzylamide;
- 138) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-2-ylmethyl)-amide;
- 139) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid 3-chloro-4-fluoro-benzylamide;

- 140) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (furan-2-ylmethyl)-amide;
- 141) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 142) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 143) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 144) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 145) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 146) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 147) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-2-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 148) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 149) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 150) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methylamide;
- 151) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid ethylamide;
- 152) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid butylamide;
- 153) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid isopropylamide;
- 154) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (3-imidazol-1-yl-propyl)-amide;
- 155) 2-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-phenylamine;
- 156) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1-methyl-5-methylsulfanyl-1H-[1,2,4]triazol-3-yl)-amide;

- 157) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid cyclohexylamide;
- 158) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-pyrrolidin-1-yl-methanone;
- 159) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dimethylamide;
- 160) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid diethylamide;
- 161) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dipropylamide;
- 162) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (5,7-difluoro-benzothiazol-2-yl)-amide;
- 163) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzothiazol-2-ylamide;
- 164) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1H-benzoimidazol-2-yl)-amide;
- 165) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (2-hydroxy-1-methyl-2-phenyl-ethyl)-amide;
- 166) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-4-ylmethyl)-amide;
- 167) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(3-chloro-phenyl)-methanone;
- 168) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-fluoro-phenyl)-methanone;
- 169) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-methoxy-phenyl)-methanone;
- 170) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-cyclopropyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 171) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 172) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 173) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-carbamic acid benzyl ester;

- 174) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydrazide;
- 175) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-acetamide;
- 176) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-methanesulfonamide;
- 177) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-phenyl-methanesulfonamide;
- 178) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 179) Propane-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 180) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 181) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-4-yl-methanesulfonamide;
- 182) (4-Methoxy-benzyl)-{4-[5-(6-methyl-pyridin-2-yl)-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-amine;
- 183) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 184) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 185) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 186) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 187) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 188) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 189) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 190) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;

- 191) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 192) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 193) N-{4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-sulfamide;
- 194) Sulfamic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl ester;
- 195) {4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 196) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-methanesulfonamide;
- 197) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-benzenesulfonamide;
- 198) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 199) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 200) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 201) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 202) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 203) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 204) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 205) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-methanesulfonamide;
- 206) 2,2,2-Trifluoro-N-{4-[4-(6-methyl-pyridin-2-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 207) 4-[4-(5-Fluoro-6-methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

- 208) {4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-(4-methoxy-benzyl)-amine;
- 209) 4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-ylamine;
- 210) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)]-3H-imidazol-4-yl]-6-ethyl-pyridine;
- 211) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 212) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 213) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 214) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-acetamide.
- 215) 4-[2-(6-Methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 216) 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 217) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 218) 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine;
- 219) 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 220) 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine;
- 221) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine;
- 222) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 223) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 224) (2-Methoxy-ethyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 225) (3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-propyl)-carbamic acid tert-butyl ester;
- 226) (3-Imidazol-1-yl-propyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 227) (4-Methoxy-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 228) [2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;

- 229) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 230) (4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-carbamic acid tert-butyl ester;
- 231) (4-Amino-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 232) (5-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-pentyl)-carbamic acid tert-butyl ester;
- 233) [3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 234) [3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-7-yl]-methanol;
- 235) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-morpholin-4-yl-ethyl)-amine;
- 236) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-2-yl-ethyl)-amine;
- 237) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-3-yl-ethyl)-amine;
- 238) [3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 239) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-4-yl-ethyl)-amine;
- 240) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(3-morpholin-4-yl-propyl)-amine;
- 241) [3-(4-Methyl-piperazin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 244) [3-(4-Methyl-piperidin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 245) [4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-yl]-pyridin-3-ylmethylamine;
- 246) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((R)-1-phenyl-ethyl)-amine;
- 247) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((S)-1-phenyl-ethyl)-amine;

- 248) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(1H-tetrazol-5-yl)-amine;
- 249) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2H-pyrazol-3-yl)-amine;
- 250) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-morpholin-4-yl-ethyl)-amine;
- 251) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-2-yl-ethyl)-amine;
- 252) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-3-yl-ethyl)-amine;
- 253) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-4-yl-ethyl)-amine;
- 254) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-morpholin-4-yl-propyl)-amine;
- 255) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-piperidin-1-yl-propyl)-amine;
- 256) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-[1,3,4]thiadiazol-2-yl-amine;
- 257) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 258) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 259) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 260) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 261) {7,7-Dimethyl-8-[5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-pentyl]-2-oxo-4-trifluoromethyl-7,8-dihydro-2H-1-oxa-8-aza-anthracen-5-yl}-methanesulfonic acid;
- 262) 2-(2,7-Difluoro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-3,5,6-trifluoro-4-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methylsulfanyl]-benzoic acid;
- 263) -(6-Methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 264) 2-(6-Methyl-pyridin-2-yl)-3-(2-piperidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 265) 2-(6-Methyl-pyridin-2-yl)-3-(2-pyrrolidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;

- 266) 2-(6-Methyl-pyridin-2-yl)-3-[2-(1H-tetrazol-5-yl)-pyrimidin-4-yl]-imidazo[1,2-a]pyridine;
- 267) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyridine;
- 268) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyrimidin-7-ylamine;
- 269) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-ylamine;
- 270) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 271) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid;
- 272) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 273) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 274) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 275) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-methoxy-ethyl)-amide;
- 276) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 277) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide;
- 278) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid amide;
- 279) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid cyclopropylamide;
- 280) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ethylamide;
- 281) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid hydroxyamide;
- 282) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methoxy-amide;
- 283) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;

- 284) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid;
- 285) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 286) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-amino-ethyl)-amide;
- 287) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 288) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-hydroxy-ethyl)-amide;
- 289) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-oxo-2-pyridin-3-yl-ethyl)-amide;
- 290) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 291) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (piperidin-3-ylmethyl)-amide;
- 292) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid 2,2-dimethylhydrazide;
- 293) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid amide;
- 294) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid cyclopropylamide;
- 295) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 296) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethylamide;
- 297) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid hydroxyamide;
- 298) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid methoxy-amide;
- 299) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 300) 3-(2-Azetidin-1-yl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 301) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;

- 302) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 303) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-7-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 304) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-8-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 305) 3,3-Dimethyl-N-[2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-butyramide;
- 306) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 307) 3-(2-Methylsulfonyl-pyrimidin-4-yl)-2-pyridin-2-yl-imidazo[1,2-a]pyridine;
- 308) 3,6-Dichloro-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-2-(2,4,5,7-Tetrachloro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-terephthalamic acid;
- 309) 3-[2-(2-Methyl-aziridin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 310) 3-[2-(4-Methyl-piperazin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 311) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-amino}-propionic acid methyl ester;
- 312) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-amino}-propionic acid methyl ester;
- 313) 3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-phenol;
- 314) 4-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-benzenesulfonamide;
- 315) 4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 316) 4-[2-(6-Chloro-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 317) 4-[2-(6-Methyl-pyridin-2-yl)-7-trifluoromethyl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 318) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 319) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carbonitrile;
- 320) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carboxylic acid amide;

- 321) 4-[6-Bromo-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 322) 4-[6-Chloro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 323) 4-[6-Fluoro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 324) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-morpholin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 325) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-2-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 326) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-3-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 327) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 328) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 329) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 330) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 331) 4-[7-Aminomethyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 332) 4-[7-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 333) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 334) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 335) 4-[8-Bromo-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 336) 4-[8-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 337) 6-Chloro-3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 338) 5-Dimethylamino-naphthalene-1-sulfonic acid (4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-amide;

- 339) 6-(2,7-Difluoro-6-hydroxy-3-oxo-3H-xanthen-9-yl)-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-isophthalamic acid;
- 340) 6-Amino-9-[2-carboxy-5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-phenyl]-xanthen-3-ylidene-ammonium;
- 341) 6-Bromo-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 342) 6-Fluoro-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 343) 7-Amino-4-methyl-3-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methyl]-2-oxo-2H-chromene-6-sulfonic acid;
- 344) Cyclobutyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 345) Cyclopentyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 346) Cyclopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 347) Cyclopropyl-methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 348) Dimethyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 349) Isopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350) Methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 350a) N-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-acetamide;
- 351) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-acetamide;
- 352) N,N-Dimethyl-N'-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-ethane-1,2-diamine;
- 353) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 354) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;

- 355) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 356) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-methanesulfonamide;
- 357) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-methanesulfonamide;
- 358) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 359) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 360) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 361) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 362) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 363) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 364) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butyramide;
- 365) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 366) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 367) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 368) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 369) N-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide;
- 370) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-butane-1,4-diamine;
- 371) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-propane-1,3-diamine;

- 372) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(BODIPY FL) amide; and
- 373) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(Texas Red-X) amide
- 374) N-[3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 375) N-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide.
- 376) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propylamine,
- 377) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-acetamide,
- 378) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-methanesulfonamide,
- 379) dimethyl-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-amine,
- 380) 4-{3-pyridin-2-yl-1-[2-(1H-tetrazol-5-yl)-ethyl]-1H-pyrazol-4-yl}-quinoline,
- 381) 4-[3-pyridin-2-yl-1-(3-pyrrolidin-1-yl-propyl)-1H-pyrazol-4-yl]-quinoline,
- 382) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyridin-2-ylamine,
- 383) 2,4-dimethoxy-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 384) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionic acid,
- 385) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indole,
- 386) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-pyridine,
- 387) N-hydroxy-3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionamide,
- 388) 2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethylamine,
- 389) N-[2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethyl]-methanesulfonamide,
- 390) 2-methyl-4-methylsulfanyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 391) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridine,
- 392) 2-[4-(2,3-dihydro-benzofuran-5-yl)-1H-pyrazol-3-yl]-pyridine,
- 393) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[d]isoxazole,
- 394) 3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propionitrile,
- 395) N-{3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propyl}-methanesulfonamide,
- 396) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-6-methyl-pyridine,
- 397) [4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-acetonitrile,
- 398) N-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethyl}-methanesulfonamide,
- 399) 4-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-2-methylsulfanyl-pyrimidine,
- 400) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-phthalazin-1-one,

- 401) 1-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2,3-dihydro-indol-1-yl]-ethanone,
 402) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 403) 3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 404) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-4H-benzo[1,4]oxazin-3-one,
 405) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoxaline,
 406) 3-(4-nitro-benzyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 407) 5-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 408) 4-methyl-7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3,4-dihydro-1H-benzo[e][1,4]diazepine-2,5-dione,
 409) 2,3-dimethyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 410) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 410a) 1-methoxy-4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-isoquinoline,
 411) 2-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
 411a) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-isoquinolin-1-one,
 412) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-trifluoromethyl-pyridine,
 412a) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-vinyl-pyridine,
 413) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propenyl-pyridine,
 414) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-ethyl-pyridine,
 415) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propyl-pyridine,
 416) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-cyclopropyl-pyridine,
 417) 1-[6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridin-2-yl]-ethanol,
 418) 4-methoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
 419) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline,
 420) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-ylamine,
 421) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
 422) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrido[1,2-a]pyrimidin-4-one,
 423) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
 424) 3-methyl-6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3H-quinazolin-4-one,
 425) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid,
 426) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
 427) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
 428) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-isopropyl-pyridine,

- 429) 2-(4-benzo[1,3]dioxol-5-yl-5-trifluoromethyl-1H-pyrazol-3-yl)-6-bromo-pyridine,
430) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
431) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
432) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
432a) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-methyl-3H-quinazolin-4-one,
433) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-b]pyridazine,
433a) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoline,
434) 6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-3-fluoro-2-methyl-pyridine,
435) 7-methoxy-3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
436) (4-morpholin-4-yl-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amine,
437) 4-isopropoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
438) 6-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-quinolin-4-ylamine,
439) {4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
carbamic acid benzyl ester,
440) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexylamine,
441) N-{4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
methanesulfonamide,
442) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
443) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
444) 1-tert-butyl-3-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-urea,
445) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]thiadiazole,
446) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]oxadiazole,
447) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-benzooxazole,
448) 4-morpholin-4-yl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
449) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
450) 4-(4-methoxy-phenyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
451) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-benzo[1,2,5]thiadiazole,
452) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzothiazole,
453) 3-(3-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
454) 5-methyl-thiophene-2-carboxylic acid [6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-
yl]-amide,
455) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-phenyl-benzo[c]isoxazole,
456) 3-(4-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
457) 3-(4-chloro-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
458) 3-(4-ethyl-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,

- 459) (4-methoxy-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-methanone,
460) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3-thiophen-3-yl-benzo[c]isoxazole,
461) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid,
462) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid methylamide,
463) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid dimethylamide,
464) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid (2,2-dimethyl-propyl)-amide,
465) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid phenylamide,
466) morpholin-4-yl-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazol-3-yl]-methanone,
467) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid benzylamide,
468) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid cyclopentylamide;
469) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzamide;
470) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzonitrile;
471) 4-[5-(2-methanesulfonyl-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
472) 4-[5-(2-methoxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
473) 4-[5-(2-hydroxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
474) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
475) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
476) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
477) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
478) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
479) 4-[5-(2-amino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
480) {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;

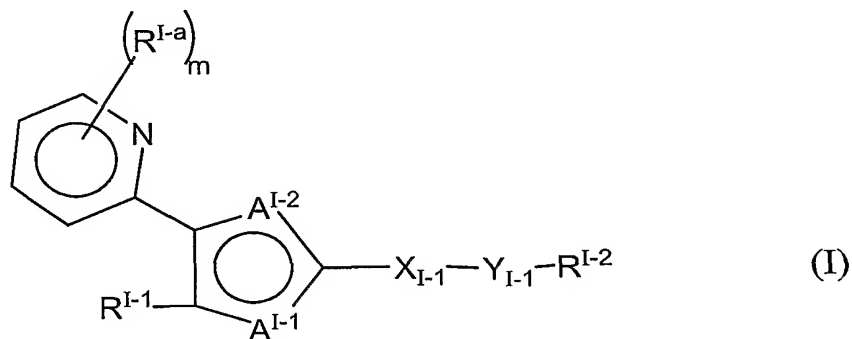
- 481) N- {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 482) N- {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 483) N- {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 484) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 485) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 486) 6-[2-tert-butyl-5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 487) 6-[5-(2-byclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 488) {4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 489) 6-[5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 490) 6-[2-tert-butyl-5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 491) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 492) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 493) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 494) 4-[5-(2-methyl-pyrimidin-4-yl)-4-[1,2,4]triazolo[4,3-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 495) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 496) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 497) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 498) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexanol;
- 499) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol,
- 500) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-methyl-pyrimidine,
- 500a) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,

- 501) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4] triazolo[1,5-a]pyridine,
 502) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 502a) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 503) 6-[3-(2-cyclopropyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
 504) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-trifluoromethyl-pyrimidine,
 505) 7-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4] triazolo[1,5-a]pyridine,
 or
 506) 6-[3-(2-Trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline.

33. The device of claim 25, wherein device is a delivery pump.

34. The device of claim 25, wherein the device is a stent.

35. A method of treating or preventing restenosis, vascular disease, or hypertension by administering to a subject in need thereof a compound of the formula I, II, III, IV, V, or VI, or an N-oxide or a pharmaceutically acceptable salt thereof, wherein the compound of formula I has the structure:



wherein

R^{I-1} is aryl, heteroaryl, aralkyl, or heteroaralkyl;

each R^{I-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl;

X_{I-1} is cycloalkyl or heterocycloalkyl;

Y_{I-1} is a bond, -C(O)-, -C(O)-O-, -O-C(O)-, -S(O)_p-O-, -O-S(O)_p-, -C(O)-N(R^b)-,

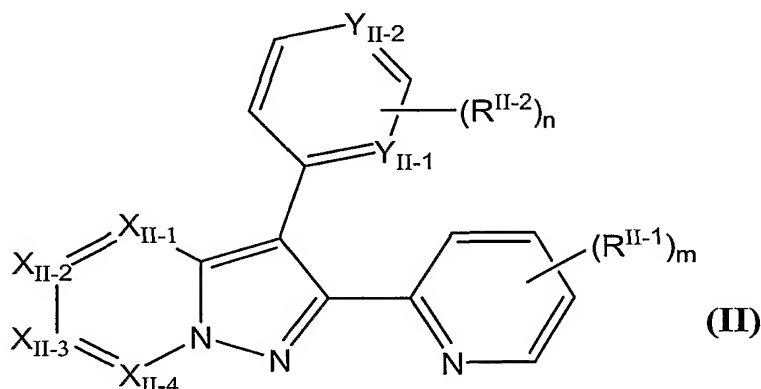
-N(R^b)-C(O)-, -O-C(O)-N(R^b)-, -N(R^b)-C(O)-O-, -O-S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-O-,
 -N(R^b)-C(O)-N(R^c)-, -N(R^b)-S(O)_p-N(R^c)-, -C(O)-N(R^b)-S(O)_p-, -S(O)_p-N(R^b)-C(O)-,
 -C(O)-N(R^b)-S(O)_p-N(R^c)-, -C(O)-O-S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-N(R^c)-C(O)-,
 -N(R^b)-S(O)_p-O-C(O)-, -S(O)_p-N(R^b)-, -N(R^b)-S(O)_p-, -N(R^b)-, -S(O)_p-, -O-, -S-, or
 -(C(R^b)(R^c))_q-, wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl,
 alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl, p is 1 or 2,
 and q is 1-4;

R^{I-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl,
 cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl,
 (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl,
 heteroaralkyl, or (heteroaryl)alkenyl;

each of A^{I-1} and A^{I-2}, independently, is O, S, N, or NR^b, provided that at least
 one of A^{I-1} and A^{I-2} is N; and

m is 0, 1, 2, or 3, and when m ≥ 2, two adjacent R^{I-a} groups can optionally join
 together to form a 4- to 8-membered optionally substituted cyclic moiety;

the compound of formula II has the structure:



wherein

each of X_{II-1}, X_{II-2}, X_{II-3}, and X_{II-4} is independently CR^x or N, provided that no
 more than two of X_{II-1}, X_{II-2}, X_{II-3}, and X_{II-4} can be N simultaneously;

each of Y_{II-1} and Y_{II-2} is independently CR^y or N, provided that at least one of
 Y_{II-1} and Y_{II-2} must be N;

each R^{II-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy,
 amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl,
 alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino,
 alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl,
 cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy,

heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

each R^{1-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, $-NH(heterocycloalkyl)$, $-NH(heteroaryl)$, $-NH-alkyl-heterocycloalkyl$, $-NH-alkyl-heteroaryl$, $-NH(aralkyl)$, cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

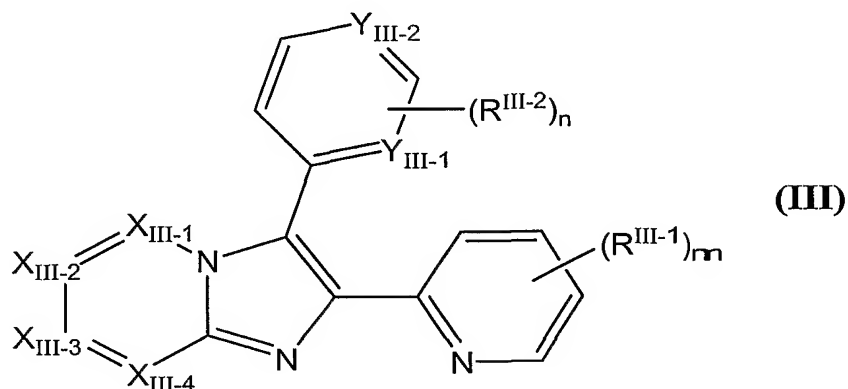
m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^1 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^2 groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^x and R^y is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylamino, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino,

(heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl;

the compound of formula III has the structure:



wherein

each of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} is independently CR^{III-x} or N, provided that no more than two of X_{III-1} , X_{III-2} , X_{III-3} , and X_{III-4} can be N simultaneously;

each of Y_{III-1} and Y_{III-2} is independently CR^{III-y} or N, provided that at least one of Y_{III-1} and Y_{III-2} must be N;

each R^{III-1} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

each R^{III-2} is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, $-NH(alkyl)$, $-N(alkyl)_2$, $-NH(cycloalkyl)$, $-N(alkyl)(cycloalkyl)$, -

-NH(heterocycloalkyl), -NH(heteroaryl), -NH-alkyl-heterocycloalkyl, -NH-alkyl-heteroaryl, -NH(aralkyl), cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, (cycloalkyl)alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl, cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfanyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl(alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonfylaminoalkylcarbonylaminoalkylamino, arylsulfonfylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

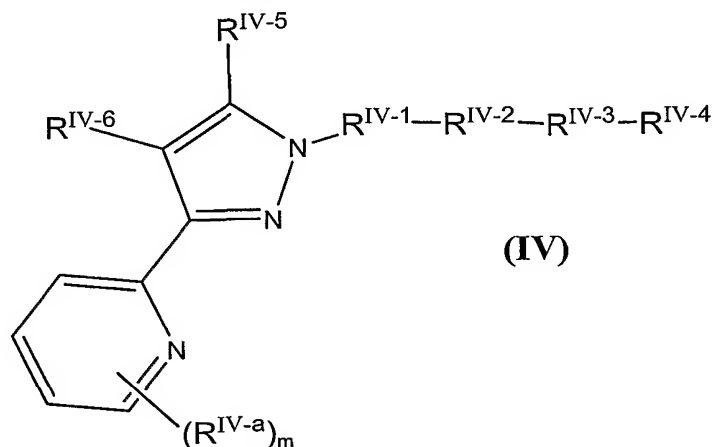
m is 0, 1, 2, 3, or 4, and when $m \geq 2$, two adjacent R^{III-1} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3, and when $n \geq 2$, two adjacent R^{III-2} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^{III-x} and R^{III-y} is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfanyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonfylaminocarbonyl, alkylsulfonfylamino, cycloalkylcarbonylamino, cycloalkylsulfonfylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonfylamino, arylcarbonylamino, arylsulfonfylamino, aralkylcarbonylamino, aralkylsulfonfylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonfylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonfylamino, heteroarylcarbonylamino, heteroarylsulfonfylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonfylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl,

(cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl, (heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl;

the compound of formula IV has the structure:



wherein

each R^{IV-a} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

R^{IV-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, where each of $r1$ and $r2$ is independently 2 or 3;

R^{IV-2} is cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, or a bond;

R^{IV-3} is $-C(O)-$, $-C(O)O-$, $-OC(O)-$, $-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-$, $-O-C(O)-N(R^{IV-b})-$, $-N(R^{IV-b})-C(O)-O-$, $-O-S(O)_p-N(R^{IV-b})-$, $-N(R^{IV-b})-S(O)_p-O-$, $-N(R^{IV-b})-C(O)-N(R^{IV-c})-$, $-N(R^{IV-b})-S(O)_p-N(R^{IV-b})-$, $-C(O)-N(R^{IV-b})-S(O)_p-$, $-S(O)_p-N(R^{IV-b})-$

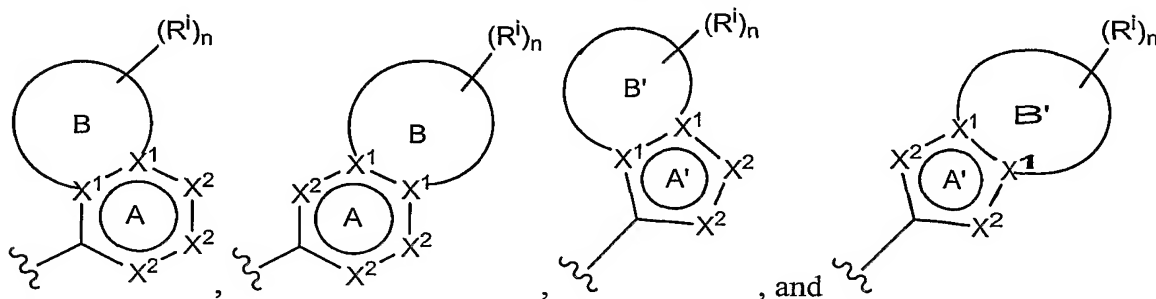
$\text{C}(\text{O})-$, $-\text{S}(\text{O})_p-\text{N}(\text{R}^{\text{IV-b}})-$, $-\text{N}(\text{R}^{\text{IV-b}})-\text{S}(\text{O})_p-$, $-\text{N}(\text{R}^{\text{IV-b}})-$, $-\text{S}(\text{O})_p-$, $-\text{O}-$, $-\text{S}-$, or $-(\text{C}(\text{R}^{\text{IV-b}})(\text{R}^{\text{IV-c}}))_q-$, or a bond; wherein each of $\text{R}^{\text{IV-b}}$ and $\text{R}^{\text{IV-c}}$ is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl; p is 1 or 2; and q is 1-4;

$\text{R}^{\text{IV-4}}$ is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

$\text{R}^{\text{IV-5}}$ is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryl, aryloxy, arylsulfinyl, heteroaryl, heteroaryloxy, or heteroarylsulfinyl;

$\text{R}^{\text{IV-6}}$ is (1) a 5- to 6-membered heterocyclyl containing 1-3 hetero ring atoms selected from the group consisting of $-\text{O}-$, $-\text{S}-$, $-\text{N}=\text{}$, and $-\text{NR}^{\text{IV-d}}-$, where $\text{R}^{\text{IV-d}}$ is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; said heterocyclyl being substituted with $\text{R}^{\text{IV-e}}$ and optionally substituted with one to two $\text{R}^{\text{IV-f}}$; where $\text{R}^{\text{IV-e}}$ is oxo, thioxo, alkoxy, alkylsulfinyl, $-\text{NH}_2$, $-\text{NH}(\text{unsubstituted alkyl})$, or $-\text{N}(\text{unsubstituted alkyl})_2$, and $\text{R}^{\text{IV-f}}$ is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl-sulfanyl, or heteroaroyl; or

(2) a fused ring heteroaryl selected from the group consisting of:



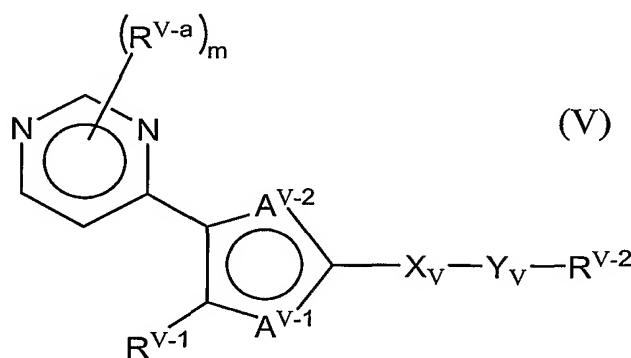
wherein ring A is an aromatic ring containing 0-4 hetero ring atoms, and ring B is a 5- to 7-membered aromatic or nonaromatic ring containing 0-4 hetero ring atoms, provided that at least one of ring A and ring B contains one or more hetero ring

atoms; ring A' is an aromatic ring containing 0-4 hetero ring atoms, and ring B' is a 5- to 7-membered saturated or unsaturated ring containing 0-4 hetero ring atoms, provided that at least one of ring A' and ring B' contains one or more hetero ring atoms; each hetero ring atom is $-O-$, $-S-$, $-N=$, or $-NR^{IV-g}-$; each X^1 is independently N or C; each X^2 is independently $-O-$, $-S-$, $-N=$, $-NR^{IV-g}-$, or $-CHR^{IV-h}-$; where R^{IV-g} is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, or heteroaralkyl; each of R^{IV-h} and R^{IV-i} is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; and n is 0-2; and

m is 0-3, and when $m \geq 2$, two adjacent R^{IV-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety;

provided that if R^{IV-6} is 2-naphthyridinyl, 4-quinolinyl, imidazo[1,2-a]pyridyl, or benzimidazolyl, then $-R^{IV-1}-R^{IV-2}-R^{IV-3}-R^{IV-4}$ is not H, unsubstituted alkyl, $-CH_2-C(O)-N(H)-alkyl$, $-CH_2-C(O)-N(alkyl)_2$, or benzyl;

the compound of formula V has the structure



wherein

R^{V-1} is heteroaryl;

each R^{V-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thio, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl,

alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

X_v is cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or a bond;

Y_v is a bond, $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-C(O)-N(R^b)-O-$, $-O-N(R^b)-C(O)-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-S(O)_p-N(R^b)-O-$, $-O-N(R^b)-S(O)_p-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, or $-(C(R^b)(R^c))_q-$, wherein each of R^b and R^c , independently, is hydrogen, hydroxy, alkyl, alkoxy, amino, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl, p is 1 or 2, and q is 1-4;

R^{V-2} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, aryl, aralkyl, arylalkenyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, heteroaryl, heteroaralkyl, or (heteroaryl)alkenyl;

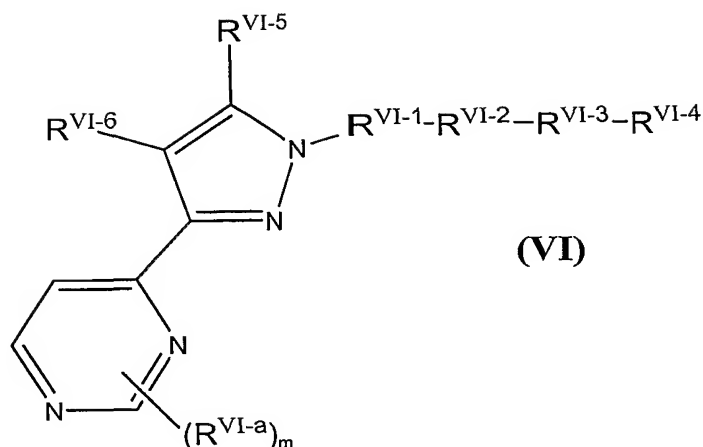
each of A^{V-1} and A^{V-2} , independently, is N or NR^b ; and

m is 0, 1, 2, or 3, and when $m \geq 2$, two adjacent R^{V-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety,

provided that if X_v is a bond, then Y_v is a bond; R^{V-2} is hydrogen or alkyl; m is

1, 2, or 3; and at least one R^{V-a} is substituted at the 2-pyrimidinyl position; and

the compound of formula VI has the structure:



wherein

each R^{VI-a} , independently, is alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, $-NH_2$, $-NH$ (unsubstituted alkyl), $-N$ (unsubstituted alkyl)₂, nitro, oxo, thioxo, cyano, guanidino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroaryl sulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, heterocycloalkylcarbonyl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl;

R^{VI-1} is a bond, alkylene, alkenylene, alkynylene, or $-(CH_2)_{r1}-O-(CH_2)_{r2}-$, where each of r_1 and r_2 , independently, is 2 or 3;

R^{VI-2} is cycloalkylene, heterocycloalkylene, cycloalkenylene, heterocycloalkenylene, arylene, heteroarylene, or a bond;

R^{VI-3} is $-C(O)-$, $-C(O)-O-$, $-O-C(O)-$, $-S(O)_p-O-$, $-O-S(O)_p-$, $-C(O)-N(R^b)-$, $-N(R^b)-C(O)-$, $-O-C(O)-N(R^b)-$, $-N(R^b)-C(O)-O-$, $-C(O)-N(R^b)-O-$, $-O-N(R^b)-C(O)-$, $-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-O-$, $-S(O)_p-N(R^b)-O-$, $-O-N(R^b)-S(O)_p-$, $-N(R^b)-C(O)-N(R^c)-$, $-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-N(R^b)-S(O)_p-$, $-S(O)_p-N(R^b)-C(O)-$, $-C(O)-N(R^b)-S(O)_p-N(R^c)-$, $-C(O)-O-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-N(R^c)-C(O)-$, $-N(R^b)-S(O)_p-O-C(O)-$, $-S(O)_p-N(R^b)-$, $-N(R^b)-S(O)_p-$, $-N(R^b)-$, $-S(O)_p-$, $-O-$, $-S-$, $-(C(R^b)(R^c))_q-$, or a bond; wherein each of R^b and R^c is independently hydrogen, hydroxy, alkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl, or heteroaralkyl, p is 1 or 2, and q is 1-4;

R^{VI-4} is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, cycloalkenyl, (cycloalkenyl)alkyl, heterocycloalkenyl, (heterocycloalkenyl)alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl;

R^{VI-5} is hydrogen, unsubstituted alkyl, halo-substituted alkyl, alkoxy, alkylsulfinyl, amino, alkenyl, alkynyl, cycloalkoxy, cycloalkylsulfinyl, heterocycloalkoxy, heterocycloalkylsulfinyl, aryloxy, arylsulfinyl, heteroaryloxy, or heteroarylulfinyl;

R^{VI-6} is a 5- to 6-membered monocyclic heterocyclyl or a 8- to 11-membered bicyclic heteroaryl; each being optionally substituted with alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, cycloalkylcarbonyl, heterocycloalkylcarbonyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl; and m is 0-3, and when $m \geq 2$, two adjacent R^{VI-a} groups can optionally join together to form a 4- to 8-membered optionally substituted cyclic moiety.

36. The method of claim 35, wherein restenosis is coronary restenosis, peripheral restenosis, carotid restenosis.

37. The method of claim 35, wherein vascular disease is intimal thickening, vascular remodeling, or organ transplant-related vascular disease.

38. The method of claim 37, wherein the vascular disease is intimal thickening or vascular remodeling.

39. The method of claim 35, wherein hypertension is primary or secondary hypertension, systolic hypertension, pulmonary hypertension or hypertension-induced vascular remodeling.

40. The method of claim 35, wherein the compound is

1) 4-(4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;

- 2) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 3) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 4) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 5) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 6) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 7) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 8) 3-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 9) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-6-methyl-pyridine;
- 10) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 11) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 12) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 13) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 14) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzyl ester;
- 15) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2,4-dichloro-benzylamide;
- 16) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-yl]-ethanone;
- 17) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-furan-2-yl-methyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 18) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 19) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]cyclohexylamine;

- 20) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-phenyl-methanesulfonamide;
- 21) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 22) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 23) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;
- 24) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-ethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 25) 2-(5-Benzo[1,3]dioxol-5-yl-2-piperidin-4-yl-3H-imidazol-4-yl)-pyridine;
- 26) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-nitro-benzyl ester;
- 27) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4,5-dimethoxy-2-nitro-benzyl ester;
- 28) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 3-fluoro-benzylamide;
- 29) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-fluoro-benzylamide;
- 30) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzylamide;
- 31) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 32) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methyl-benzylamide;
- 33) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 4-methoxy-benzylamide;
- 34) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid 2-chloro-benzylamide;
- 35) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzoic acid;
- 36) 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid amide;
- 37) 4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-benzonitrile;

- 38) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 39) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 40) {5-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-dimethyl-amine;
- 41) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 42) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 43) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 44) 1-{4-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonyl]-phenyl}-ethanone;
- 45) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-methyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 46) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-fluoro-5-trifluoromethyl-benzyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 47) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-cyclohexylmethyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 48) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-cyclopropanecarboxylic acid ethyl ester;
- 49) 2-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidin-1-ylmethyl]-pyrrolidine-1-carboxylic acid tert-butyl ester;
- 50) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 51) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 52) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 53) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 54) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 55) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 56) 1-[4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-sulfonylmethyl]-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 57) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 58) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 59) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-fluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 60) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 61) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 62) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-p-tolylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-pyridine;
- 63) 3-(4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 64) 3-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-piperidine-1-carboxylic acid benzyl ester;
- 65) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 66) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 67) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 68) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-pyridine;
- 69) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 70) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 71) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;

- 72) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 73) 3-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-pyrrolidine-1-carboxylic acid benzyl ester;
- 74) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 75) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-bis-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 76) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 77) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 78) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-2-yl-methanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 79) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 80) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-pyridine;
- 81) 4-[5-Benzo[1,3]dioxol-5-yl-1-methyl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 82) 4-[4-Benzo[1,3]dioxol-5-yl-1-methyl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 83) {4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 84) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-phenoxy-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 85) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-ethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 86) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 87) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 88) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-3-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 89) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(pyridin-4-ylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 90) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,5-difluoro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 91) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3-trifluoromethyl-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 92) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 93) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-piperidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 94) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 95) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 96) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 97) 4-[5-Benzo[1,3]dioxol-5-yl-1-hydroxy-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 98) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 99) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-C-pyridin-2-yl-methanesulfonamide;
- 100) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 101) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexyl}-amide;
- 102) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 103) 4-[4-Benzo[1,3]dioxol-5-yl-1-hydroxy-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 104) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-bromo-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 105) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;

- 106) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(5-methyl-2-trifluoromethyl-furan-3-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 107) 4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl-fluoride;
- 108) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-trifluoromethyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 109) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-bromo-pyridin-2-yl)-1-hydroxy-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 110) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-bromo-pyridine;
- 111) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 112) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 113) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-2H-imidazol-2-yl]-piperidine-1-sulfonic acid dimethylamide;
- 114) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-3-phenyl-propan-1-one;
- 115) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(propane-2-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 116) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonitrile;
- 117) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylamine;
- 118) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-phenyl-methanesulfonamide;
- 119) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 120) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-C-pyridin-2-yl-methanesulfonamide;
- 121) 2-{5-Benzo[1,3]dioxol-5-yl-2-[4-(1H-tetrazol-5-yl)-bicyclo[2.2.2]oct-1-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 122) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;

- 123) Thiophene-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 124) 1-Methyl-1H-imidazole-4-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 125) Thiophene-3-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-amide;
- 126) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 127) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-phenyl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 128) Methanesulfonic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl ester;
- 129) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetonitrile;
- 130) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetic acid;
- 131) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-methanesulfonamide;
- 132) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(biphenyl-4-sulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 133) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 134) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 135) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-phenyl-methanesulfonamide;
- 136) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-ylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 137) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzylamide;
- 138) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-2-ylmethyl)-amide;
- 139) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid 3-chloro-4-fluoro-benzylamide;

- 140) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (furan-2-ylmethyl)-amide;
- 141) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-methanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 142) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(butane-1-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 143) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(1-methyl-1H-imidazole-4-sulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 144) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-pyrrolidin-3-yl)-3H-imidazol-4-yl]-6-methyl-pyridine;
- 145) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-pyrrolidin-3-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 146) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-nitro-phenylmethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 147) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(2-naphthalen-2-yl-ethanesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 148) 1-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-7,7-dimethyl-bicyclo[2.2.1]heptan-2-one;
- 149) 2-{5-Benzo[1,3]dioxol-5-yl-2-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-3H-imidazol-4-yl}-6-methyl-pyridine;
- 150) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methylamide;
- 151) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid ethylamide;
- 152) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid butylamide;
- 153) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid isopropylamide;
- 154) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (3-imidazol-1-yl-propyl)-amide;
- 155) 2-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-sulfonylmethyl}-phenylamine;
- 156) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1-methyl-5-methylsulfanyl-1H-[1,2,4]triazol-3-yl)-amide;

- 157) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid cyclohexylamide;
- 158) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-pyrrolidin-1-yl-methanone;
- 159) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dimethylamide;
- 160) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid diethylamide;
- 161) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid dipropylamide;
- 162) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (5,7-difluoro-benzothiazol-2-yl)-amide;
- 163) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid benzothiazol-2-ylamide;
- 164) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (1H-benzoimidazol-2-yl)-amide;
- 165) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (2-hydroxy-1-methyl-2-phenyl-ethyl)-amide;
- 166) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid (pyridin-4-ylmethyl)-amide;
- 167) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(3-chloro-phenyl)-methanone;
- 168) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-fluoro-phenyl)-methanone;
- 169) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-piperidin-1-yl}-(4-methoxy-phenyl)-methanone;
- 170) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-cyclopropyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 171) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
- 172) 4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 173) {4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-carbamic acid benzyl ester;

- 174) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydrazide;
- 175) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-acetamide;
- 176) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-methanesulfonamide;
- 177) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-phenyl-methanesulfonamide;
- 178) Butane-1-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 179) Propane-2-sulfonic acid {4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-amide;
- 180) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-2-yl-methanesulfonamide;
- 181) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-cyclohexylmethyl}-C-pyridin-4-yl-methanesulfonamide;
- 182) (4-Methoxy-benzyl)-{4-[5-(6-methyl-pyridin-2-yl)-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-amine;
- 183) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 184) 4-[5-(6-Methyl-pyridin-2-yl)-4-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 185) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 186) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 187) 4-[4-(6-Methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 188) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 189) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 190) 4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;

- 191) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 192) 4-[4-(6-Cyclopropyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 193) N-{4-[5-Benzo[1,3]dioxol-5-yl-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-sulfamide;
- 194) Sulfamic acid 4-[4-benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl ester;
- 195) {4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-carbamic acid benzyl ester;
- 196) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-methanesulfonamide;
- 197) N-{4-[4-Benzo[1,3]dioxol-5-yl-5-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carbonyl}-benzenesulfonamide;
- 198) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 199) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 200) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 201) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 202) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 203) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 204) 4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 205) N-{4-[4-(6-Methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-methanesulfonamide;
- 206) 2,2,2-Trifluoro-N-{4-[4-(6-methyl-pyridin-2-yl)-5-quinoxalin-6-yl]-1H-imidazol-2-yl]-cyclohexyl}-acetamide;
- 207) 4-[4-(5-Fluoro-6-methyl-pyridin-2-yl)-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl]-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;

- 208) {4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-yl}-(4-methoxy-benzyl)-amine;
- 209) 4-[2-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-5-(6-methyl-pyridin-2-yl)-1H-imidazol-4-yl]-pyridin-2-ylamine;
- 210) 2-[5-Benzo[1,3]dioxol-5-yl-2-(1-phenylmethanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-6-ethyl-pyridine;
- 211) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 212) 4-[5-(3-Methyl-4-oxo-3,4-dihydro-quinazolin-6-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
- 213) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 214) N-{4-[5-(6-Methyl-pyridin-2-yl)-4-quinoxalin-6-yl]-1H-imidazol-2-yl}-bicyclo[2.2.2]oct-1-yl}-acetamide.
- 215) 4-[2-(6-Methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 216) 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 217) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 218) 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine;
- 219) 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 220) 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine;
- 221) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine;
- 222) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 223) 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine;
- 224) (2-Methoxy-ethyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 225) (3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-propyl)-carbamic acid tert-butyl ester;
- 226) (3-Imidazol-1-yl-propyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 227) (4-Methoxy-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 228) [2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;

- 229) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 230) (4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-carbamic acid tert-butyl ester;
- 231) (4-Amino-benzyl)-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 232) (5-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-pentyl)-carbamic acid tert-butyl ester;
- 233) [3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 234) [3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-7-yl]-methanol;
- 235) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-morpholin-4-yl-ethyl)-amine;
- 236) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-2-yl-ethyl)-amine;
- 237) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-3-yl-ethyl)-amine;
- 238) [3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-6-yl]-methanol;
- 239) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(2-pyridin-4-yl-ethyl)-amine;
- 240) [3-(2-Amino-pyrimidin-4-yl)-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-yl]-(3-morpholin-4-yl-propyl)-amine;
- 241) [3-(4-Methyl-piperazin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 244) [3-(4-Methyl-piperidin-1-yl)-propyl]-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 245) [4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-yl]-pyridin-3-ylmethyl-amine;
- 246) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((R)-1-phenyl-ethyl)-amine;
- 247) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-((S)-1-phenyl-ethyl)-amine;

- 248) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(1H-tetrazol-5-yl)-amine;
- 249) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2H-pyrazol-3-yl)-amine;
- 250) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-morpholin-4-yl-ethyl)-amine;
- 251) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-2-yl-ethyl)-amine;
- 252) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-3-yl-ethyl)-amine;
- 253) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(2-pyridin-4-yl-ethyl)-amine;
- 254) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-morpholin-4-yl-propyl)-amine;
- 255) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-(3-piperidin-1-yl-propyl)-amine;
- 256) {4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-[1,3,4]thiadiazol-2-yl-amine;
- 257) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 258) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 259) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 260) 2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 261) {7,7-Dimethyl-8-[5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-pentyl]-2-oxo-4-trifluoromethyl-7,8-dihydro-2H-1-oxa-8-aza-anthracen-5-yl}-methanesulfonic acid;
- 262) 2-(2,7-Difluoro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-3,5,6-trifluoro-4-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbonyl)-methylsulfanyl]-benzoic acid;
- 263) -(6-Methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 264) 2-(6-Methyl-pyridin-2-yl)-3-(2-piperidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 265) 2-(6-Methyl-pyridin-2-yl)-3-(2-pyrrolidin-1-yl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;

- 266) 2-(6-Methyl-pyridin-2-yl)-3-[2-(1H-tetrazol-5-yl)-pyrimidin-4-yl]-imidazo[1,2-a]pyridine;
- 267) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyridine;
- 268) 2-(6-Methyl-pyridin-2-yl)-3-pyrimidin-4-yl-imidazo[1,2-a]pyrimidin-7-ylamine;
- 269) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-8-ylamine;
- 270) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 271) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid;
- 272) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 273) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 274) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 275) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-methoxy-ethyl)-amide;
- 276) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 277) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide;
- 278) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid amide;
- 279) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid cyclopropylamide;
- 280) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid ethylamide;
- 281) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid hydroxyamide;
- 282) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methoxy-amide;
- 283) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;

- 284) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid;
- 285) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ([1,4]dioxan-2-ylmethyl)-amide;
- 286) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-amino-ethyl)-amide;
- 287) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-amide;
- 288) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-hydroxy-ethyl)-amide;
- 289) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-oxo-2-pyridin-3-yl-ethyl)-amide;
- 290) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 291) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid (piperidin-3-ylmethyl)-amide;
- 292) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid 2,2-dimethylhydrazide;
- 293) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid amide;
- 294) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid cyclopropylamide;
- 295) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;
- 296) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethylamide;
- 297) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid hydroxyamide;
- 298) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid methoxy-amide;
- 299) 3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-ylamine;
- 300) 3-(2-Azetidin-1-yl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 301) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carboxylic acid ethyl ester;

- 302) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carboxylic acid methyl ester;
- 303) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-7-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 304) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-8-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 305) 3,3-Dimethyl-N-[2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-butyramide;
- 306) 3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonitrile;
- 307) 3-(2-Methylsulfonyl-pyrimidin-4-yl)-2-pyridin-2-yl-imidazo[1,2-a]pyridine;
- 308) 3,6-Dichloro-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-2-(2,4,5,7-Tetrachloro-6-hydroxy-3-oxo-9,9a-dihydro-3H-xanthen-9-yl)-terephthalamic acid;
- 309) 3-[2-(2-Methyl-aziridin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 310) 3-[2-(4-Methyl-piperazin-1-yl)-pyrimidin-4-yl]-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 311) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-amino}-propionic acid methyl ester;
- 312) 3-{[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-amino}-propionic acid methyl ester;
- 313) 3-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-phenol;
- 314) 4-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-benzenesulfonamide;
- 315) 4-(2-Pyridin-2-yl-imidazo[1,2-a]pyridin-3-yl)-pyrimidin-2-ylamine;
- 316) 4-[2-(6-Chloro-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 317) 4-[2-(6-Methyl-pyridin-2-yl)-7-trifluoromethyl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 318) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 319) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carbonitrile;
- 320) 4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidine-2-carboxylic acid amide;

- 321) 4-[6-Bromo-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 322) 4-[6-Chloro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 323) 4-[6-Fluoro-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 324) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-morpholin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 325) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-2-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 326) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-3-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 327) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-(2-pyridin-4-yl-ethylamino)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 328) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 329) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-8-morpholin-4-yl-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 330) 4-[6-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 331) 4-[7-Aminomethyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 332) 4-[7-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 333) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 334) 4-[8-Benzyloxy-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl-amine;
- 335) 4-[8-Bromo-6-methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ol;
- 336) 4-[8-Methyl-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamine;
- 337) 6-Chloro-3-(2-methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine;
- 338) 5-Dimethylamino-naphthalene-1-sulfonic acid (4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-amide;

- 339) 6-(2,7-Difluoro-6-hydroxy-3-oxo-3H-xanthen-9-yl)-N-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-isophthamic acid;
- 340) 6-Amino-9-[2-carboxy-5-(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-phenyl]-xanthen-3-ylidene-ammonium;
- 341) 6-Bromo-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 342) 6-Fluoro-2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyridine;
- 343) 7-Amino-4-methyl-3-[(4-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butylcarbamoyl)-methyl]-2-oxo-2H-chromene-6-sulfonic acid;
- 344) Cyclobutyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 345) Cyclopentyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 346) Cyclopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 347) Cyclopropyl-methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine;
- 348) Dimethyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine,
- 349) Isopropyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine,
- 350) Methyl-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-amine,
- 350a) N-(2-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-ethyl)-acetamide;
- 351) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-acetamide;
- 352) N,N-Dimethyl-N'-(4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl)-ethane-1,2-diamine;
- 353) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 354) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfonyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;

- 355) N-[2-(6-Methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 356) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-6-carbonyl]-methanesulfonamide;
- 357) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridine-7-carbonyl]-methanesulfonamide;
- 358) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 359) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butylamide;
- 360) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 361) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 362) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 363) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-2-(3-methoxy-phenyl)-acetamide;
- 364) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3,3-dimethyl-butylamide;
- 365) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-3-pyridin-3-yl-propionamide;
- 366) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-nicotinamide;
- 367) N-[3-(2-Methanesulfonyl-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 368) N-[3-(2-Amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-propionamide;
- 369) N-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide;
- 370) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-butane-1,4-diamine;
- 371) N1-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-propane-1,3-diamine;

- 372) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(BODIPY FL) amide; and
- 373) N-(4-{4-[2-(6-Methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-ylamino}-butyl)-(Texas Red-X) amide
- 374) N-[3-(2-amino-pyrimidin-4-yl)-2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyrimidin-7-yl]-acetamide;
- 375) N-{4-[2-(6-methyl-pyridin-2-yl)-imidazo[1,2-a]pyridin-3-yl]-pyrimidin-2-yl}-acetamide.
- 376) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propylamine,
- 377) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-acetamide,
- 378) N-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-methanesulfonamide,
- 379) dimethyl-[3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propyl]-amine,
- 380) 4-{3-pyridin-2-yl-1-[2-(1H-tetrazol-5-yl)-ethyl]-1H-pyrazol-4-yl}-quinoline,
- 381) 4-[3-pyridin-2-yl-1-(3-pyrrolidin-1-yl-propyl)-1H-pyrazol-4-yl]-quinoline,
- 382) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyridin-2-ylamine,
- 383) 2,4-dimethoxy-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 384) 3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionic acid,
- 385) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indole,
- 386) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-pyridine,
- 387) N-hydroxy-3-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-propionamide,
- 388) 2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethylamine,
- 389) N-[2-(3-pyridin-2-yl-4-quinolin-4-yl-pyrazol-1-yl)-ethyl]-methanesulfonamide,
- 390) 2-methyl-4-methylsulfanyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrimidine,
- 391) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridine,
- 392) 2-[4-(2,3-dihydro-benzofuran-5-yl)-1H-pyrazol-3-yl]-pyridine,
- 393) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[d]isoxazole,
- 394) 3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propionitrile,
- 395) N-{3-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-propyl}-methanesulfonamide,
- 396) 2-[4-(2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-pyrazol-3-yl]-6-methyl-pyridine,
- 397) [4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-acetonitrile,
- 398) N-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethyl}-methanesulfonamide,
- 399) 4-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-2-methylsulfanyl-pyrimidine,
- 400) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-phthalazin-1-one,

- 401) 1-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2,3-dihydro-indol-1-yl]-ethanone,
- 402) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 403) 3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 404) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-4H-benzox[1,4]oxazin-3-one,
- 405) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoxaline,
- 406) 3-(4-nitro-benzyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 407) 5-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 408) 4-methyl-7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3,4-dihydro-1H-benzo[e][1,4]diazepine-2,5-dione,
- 409) 2,3-dimethyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 410) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 410a) 1-methoxy-4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-isoquinoline,
- 411) 2-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
- 411a) 4-(3-pyridin-2-yl-1H-pyrazol-4-yl)-2H-isoquinolin-1-one,
- 412) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-trifluoromethyl-pyridine,
- 412a) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-vinyl-pyridine,
- 413) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propenyl-pyridine,
- 414) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-ethyl-pyridine,
- 415) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-propyl-pyridine,
- 416) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-cyclopropyl-pyridine,
- 417) 1-[6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-pyridin-2-yl]-ethanol,
- 418) 4-methoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
- 419) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinoline,
- 420) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-ylamine,
- 421) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
- 422) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-pyrido[1,2-a]pyrimidin-4-one,
- 423) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
- 424) 3-methyl-6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3H-quinazolin-4-one,
- 425) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid,
- 426) 4-(2-{2-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-ethoxy}-ethoxy)-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
- 427) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester,
- 428) 2-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-6-isopropyl-pyridine,

- 429) 2-(4-benzo[1,3]dioxol-5-yl-5-trifluoromethyl-1H-pyrazol-3-yl)-6-bromo-pyridine,
430) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
431) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
432) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
432a) 6-[3-(6-cyclopropyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-methyl-3H-quinazolin-4-one,
433) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-b]pyridazine,
433a) 6-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoline,
434) 6-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-3-fluoro-2-methyl-pyridine,
435) 7-methoxy-3-methyl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3H-quinazolin-4-one,
436) (4-morpholin-4-yl-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-amine,
437) 4-isopropoxy-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
438) 6-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-quinolin-4-ylamine,
439) {4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
carbamic acid benzyl ester,
440) 4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexylamine,
441) N-{4-[4-benzo[1,3]dioxol-5-yl-3-(6-methyl-pyridin-2-yl)-pyrazol-1-yl]-cyclohexyl}-
methanesulfonamide,
442) 6-[3-(5-fluoro-6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
443) 7-(3-pyridin-2-yl-1H-pyrazol-4-yl)-[1,2,4]triazolo[1,5-a]pyridine,
444) 1-tert-butyl-3-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-urea,
445) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]thiadiazole,
446) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[1,2,5]oxadiazole,
447) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-benzooxazole,
448) 4-morpholin-4-yl-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
449) 6-[3-(6-trifluoromethyl-pyridin-2-yl)-1H-pyrazol-4-yl]-quinoxaline,
450) 4-(4-methoxy-phenyl)-6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazoline,
451) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-benzo[1,2,5]thiadiazole,
452) 6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzothiazole,
453) 3-(3-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
454) 5-methyl-thiophene-2-carboxylic acid [6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-
yl]-amide,
455) 5-[3-(6-methyl-pyridin-2-yl)-1H-pyrazol-4-yl]-3-phenyl-benzo[c]isoxazole,
456) 3-(4-methoxy-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
457) 3-(4-chloro-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,
458) 3-(4-ethyl-phenyl)-5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-benzo[c]isoxazole,

- 459) (4-methoxy-phenyl)-[6-(3-pyridin-2-yl-1H-pyrazol-4-yl)-quinazolin-4-yl]-methanone,
 460) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-3-thiophen-3-yl-benzo[c]isoxazole,
 461) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid,
 462) 5-(3-Pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid methylamide,
 463) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid dimethylamide,
 464) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid (2,2-dimethyl-propyl)-amide,
 465) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid phenylamide,
 466) morpholin-4-yl-[5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazol-3-yl]-methanone,
 467) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid benzylamide,
 468) 5-(3-pyridin-2-yl-1H-pyrazol-4-yl)-1H-indazole-3-carboxylic acid cyclopentylamide,
 469) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzamide;
 470) 4-[4-benzo[1,3]dioxol-5-yl-5-(2-methylsulfanyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-benzonitrile;
 471) 4-[5-(2-methanesulfonyl-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
 472) 4-[5-(2-methoxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
 473) 4-[5-(2-hydroxy-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
 474) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
 475) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
 476) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
 477) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid hydroxyamide;
 478) 4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methoxy-amide;
 479) 4-[5-(2-amino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
 480) {4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-carbamic acid benzyl ester;

- 481) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-acetamide;
- 482) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanesulfonamide;
- 483) N-{4-[5-(2-cyclopropylamino-pyrimidin-4-yl)-4-(6-methyl-pyridin-2-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-2,2,2-trifluoro-acetamide;
- 484) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 485) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 486) 6-[2-tert-butyl-5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 487) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 488) {4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]oct-1-yl}-methanol;
- 489) 6-[5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 490) 6-[2-tert-butyl-5-(2-trifluoromethyl-pyrimidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 491) 4-[5-quinoxalin-6-yl-4-(2-trifluoromethyl-pyrimidin-4-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 492) 4-[4-(2-cyclopropyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-piperidine-1-carboxylic acid benzyl ester;
- 493) 6-[5-(2-cyclopropyl-pyrimidin-4-yl)-2-(1-methanesulfonyl-piperidin-4-yl)-3H-imidazol-4-yl]-quinoxaline;
- 494) 4-[5-(2-methyl-pyrimidin-4-yl)-4-[1,2,4]triazolo[4,3-a]pyridin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol;
- 495) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid amide;
- 496) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid;
- 497) 4-[4-(2-methyl-pyrimidin-4-yl)-5-[1,2,4]triazolo[1,5-a]pyridine-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octane-1-carboxylic acid methyl ester;
- 498) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-cyclohexanol; and
- 499) 4-[4-(2-methyl-pyrimidin-4-yl)-5-quinoxalin-6-yl-1H-imidazol-2-yl]-bicyclo[2.2.2]octan-1-ol,
- 500) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-methyl-pyrimidine,
- 500a) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,

- 501) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
502) 6-[3-(2-methyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
502a) 6-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
503) 6-[3-(2-cyclopropyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoxaline,
504) 4-(4-benzo[1,3]dioxol-5-yl-1H-pyrazol-3-yl)-2-trifluoromethyl-pyrimidine,
505) 7-[3-(2-trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-[1,2,4]triazolo[1,5-a]pyridine,
or
506) 6-[3-(2-Trifluoromethyl-pyrimidin-4-yl)-1H-pyrazol-4-yl]-quinoline.

